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Document Listing

Document	Image pages	Text pages	Error pages
US 4377584 A	16	0	0
Total	16	0	0

L14 ANSWER 1 OF 54 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:411062 CAPLUS
DOCUMENT NUMBER: 142:442337
TITLE: Therapeutic use of androgens for various conditions including cardiovascular disease, immune disorders, trauma, and inflammation
INVENTOR(S): Reading, Christopher L.; Ahlem, Clarence N.; Auci, Dominick L.; Dowding, Charles; Frincke, James M.; Li, Mei; Page, Theodore M.; Stickney, Dwight R.; Trauger, Richard J.; White, Steven K.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 180 pp., Cont.-in-part of U.S. Ser. No. 651,515.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2005101581	A1	20050512	US 2003-728400		20031205
US 2004138187	A1	20040715	US 2003-651515		20030828
PRIORITY APPLN. INFO.:			US 2002-407146P	P	20020828
			US 2002-408332P	P	20020904
			US 2003-479257P	P	20030617
			US 2003-651515	A2	20030828

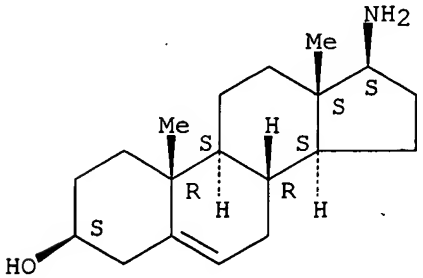
OTHER SOURCE(S): MARPAT 142:442337

AB The invention relates to the use of compds. to ameliorate or treat a condition such as a cystic fibrosis, neutropenia or other exemplified conditions including cardiovascular disease, immune disorders, trauma, and inflammation. Exemplary compds. that can be used include 3β-hydroxy-17β-aminoandrost-5-ene, 3β-hydroxy-16α-fluoro-17β-aminoandrost-5-ene, 3α-hydroxy-16α-fluoro-17β-aminoandrost-5-ene, 3β-hydroxy-16β-fluoro-17β-aminoandrost-5-ene, 1α,3β-dihydroxy-4α-fluoroandrost-5-ene-17-one, 1α,3β, 17β-trihydroxy-4α-fluorandrost-5-ene, 1β,3β-dihydroxy-6α-bromoandrost-5-ene, 1α-fluoro-3β,12α-dihydroxyandrost-5-ene-17-one, 1α-fluoro-3β,4α-dihydroxyandrost-5-ene and 4α-fluoro-3β,6α, 17β-trihydroxyandrostane.

IT 4350-66-7 668987-02-8 668987-03-9
668987-04-0 668987-06-2
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(therapeutic use of androgens for various conditions including cardiovascular disease, immune disorders, trauma, and inflammation)

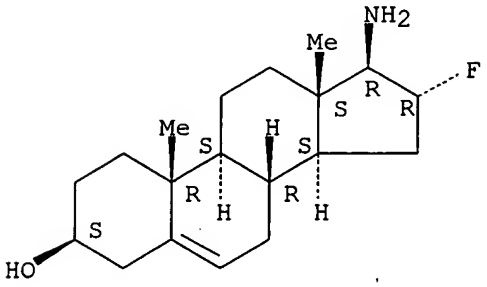
RN 4350-66-7 CAPLUS
CN Androst-5-en-3-ol, 17-amino-, (3β,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



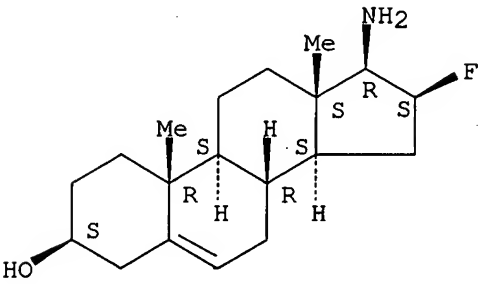
RN 668987-02-8 CAPLUS
CN Androst-5-en-3-ol, 17-amino-16-fluoro-, (3β,16α,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



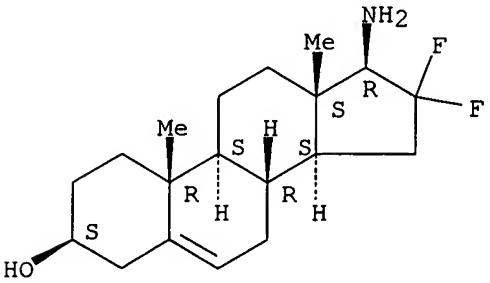
RN 668987-03-9 CAPLUS
CN Androst-5-en-3-ol, 17-amino-16-fluoro-, (3β,16β,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



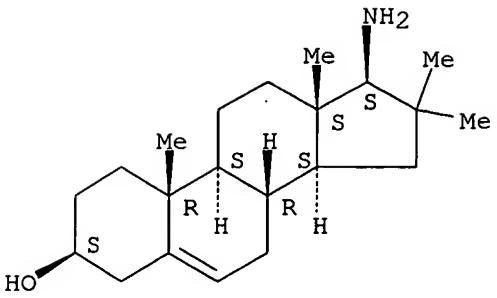
RN 668987-04-0 CAPLUS
CN Androst-5-en-3-ol, 17-amino-16,16-difluoro-, (3β,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 668987-06-2 CAPLUS
CN Androst-5-en-3-ol, 17-amino-16,16-dimethyl-, (3β,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L14 ANSWER 2 OF 54 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:203677 CAPLUS
DOCUMENT NUMBER: 140:229914
TITLE: Immunostimulatory methods and compositions with androgen derivatives and other therapeutic uses
INVENTOR(S): Reading, Christopher; Ahlem, Clarence N.; Auci, Dominick L.; Dowding, Charles; Frincke, James; Li, Mei; Page, Theodore M.; Trauger, Richard J.; Stickney, Dwight R.; White, Steven K.
PATENT ASSIGNEE(S): Hollis-Eden Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 380 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004019953	A1	20040311	WO 2003-US327186	20030828
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2496867	AA	20040311	CA 2003-2496867	20030828
AU 2003278744	A1	20040319	AU 2003-278744	20030828
EP 1539183	A1	20050615	EP 2003-770268	20030828
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006506445	T2	20060223	JP 2004-569763	20030828

PRIORITY APPLN. INFO.:

US 2002-407146P	P	20020828
US 2002-408332P	P	20020904
US 2003-479257P	P	20030617
WO 2003-US27186	W	20030828

OTHER SOURCE(S): MARPAT 140:229914

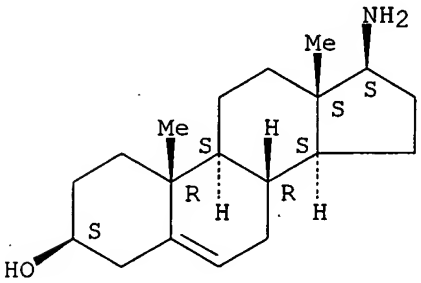
AB The invention relates to the use of compds. to ameliorate or treat conditions such as a cystic fibrosis, neutropenia or other exemplified conditions. Exemplary compds. that can be used include 3β-hydroxy-17β-aminoandrost-5-ene, 3β-hydroxy-16α-fluoro-17β-aminoandrost-5-ene, 3α-hydroxy-16α-fluoro-17β-aminoandrost-5-ene, 3β-hydroxy-16β-fluoro-17β-aminoandrost-5-ene, 1α,3β-dihydroxy-4α-fluoroandrost-5-ene-17-one, 1α,3β, 17β-trihydroxy-4α-fluorandrost-5-ene, 1β,3β-dihydroxy-6α-bromoandrost-5-ene,

1α-fluoro-3β,12α-dihydroxyandrost-5-ene-17-one,
1α-fluoro-3β,4α-dihydroxyandrost-5-ene and
4α-fluoro-3β,6α, 17β-trihydroxyandrostante.

IT 4350-66-7 668987-02-8 668987-03-9
668987-04-0 668987-06-2
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(immunostimulatory methods and compns. with androgen derivs. and other therapeutic uses)

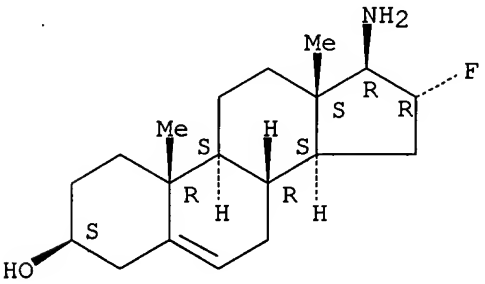
RN 4350-66-7 CAPLUS
CN Androst-5-en-3-ol, 17-amino-, (3β,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



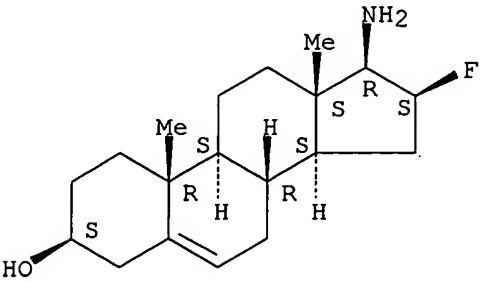
RN 668987-02-8 CAPLUS
CN Androst-5-en-3-ol, 17-amino-16-fluoro-, (3β,16α,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 668987-03-9 CAPLUS
CN Androst-5-en-3-ol, 17-amino-16-fluoro-, (3β,16β,17β)- (9CI) (CA INDEX NAME)

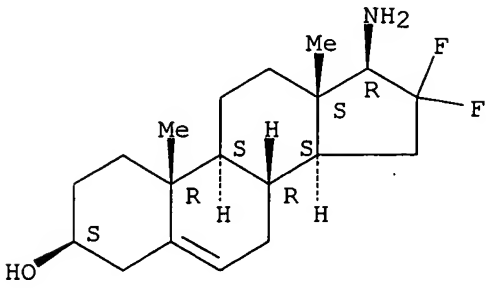
Absolute stereochemistry.



RN 668987-04-0 CAPLUS

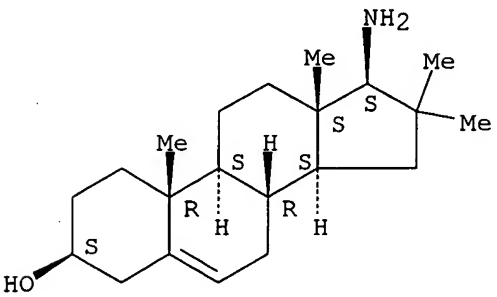
CN Androst-5-en-3-ol, 17-amino-16,16-difluoro-, (3β,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 668987-06-2 CAPLUS
CN Androst-5-en-3-ol, 17-amino-16,16-dimethyl-, (3β,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

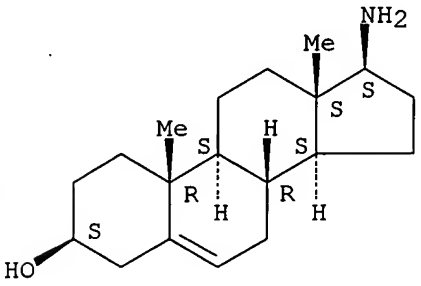
L14 ANSWER 3 OF 54 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:379640 CAPLUS
DOCUMENT NUMBER: 138:35624
TITLE: Tricarbocyanine cholesteryl laurates labeled LDL: new near infrared fluorescent probes (NIRFs) for monitoring tumors and gene therapy of familial hypercholesterolemia
AUTHOR(S): Zheng, Gang; Li, Hui; Yang, Kathy; Blessington, Dana; Licha, Kai; Lund-Katz, Sissel; Chance, Britton; Glickson, Jerry D.
CORPORATE SOURCE: Department of Radiology, University of Pennsylvania, Philadelphia, PA, 19104, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2002), 12(11), 1485-1488
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB For monitoring low-d. lipoprotein receptors (LDLr) in tumors and in livers of patients with familial hypercholesterolemia (FH) treated with gene therapy, a series of tricarbocyanine cholesteryl laurates were synthesized with the cholesteryl laurate moiety serving as the lipid-chelating anchor for low-d. lipoprotein (LDL). One of these conjugates, TCL17, was successfully used to label LDL to give a new NIRF, TCL17-LDL. Ex vivo biol. studies on an LDLr overexpressing tumor model, human hepatoblastoma

G2 (HepG2), confirmed that this NIRF were internalized selectively by the tumor and detected with high sensitivity by a low-temperature 3-D redox scanner.

IT 4350-66-7P 478623-01-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(IR fluorescent probes (NIRFs) for monitoring tumors and gene therapy of familial hypercholesterolemia)

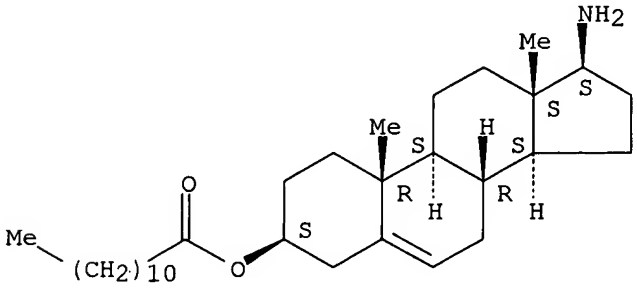
RN 4350-66-7 CAPLUS
CN Androst-5-en-3-ol, 17-amino-, (3β,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 478623-01-7 CAPLUS
CN Androst-5-en-3-ol, 17-amino-, dodecanoate (ester), (3β,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 4 OF 54 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:287003 CAPLUS
DOCUMENT NUMBER: 137:17202
TITLE: Low-Density Lipoprotein Reconstituted by Pyropheophorbide Cholesteryl Oleate as Target-Specific Photosensitizer
AUTHOR(S): Zheng, Gang; Li, Hui; Zhang, Min; Lund-Katz, Sissel; Chance, Britton; Glickson, Jerry D.
CORPORATE SOURCE: Department of Radiology, Department of Biochemistry and Biophysics, University of Pennsylvania Medical School, Philadelphia, PA, 19104, USA
SOURCE: Bioconjugate Chemistry (2002), 13(3), 392-396
CODEN: BCCHES; ISSN: 1043-1802
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB To target tumors overexpressing low-d. lipoprotein receptors (LDLr), a

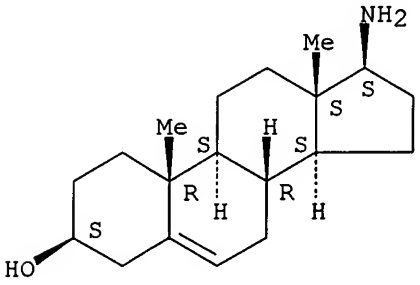
pyropheophorbide cholesterol oleate conjugate was synthesized and successfully reconstituted into the low-d. lipoprotein (LDL) lipid core. Laser scanning confocal microscopy studies demonstrated that this photosensitizer-reconstituted LDL can be internalized via LDLr by human hepatoblastoma G2 (HepG2) tumor cells.

IT 4350-66-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(tumor uptake of pyropheophorbide cholesterol oleate reconstituted into LDL)

RN 4350-66-7 CAPLUS

CN Androst-5-en-3-ol, 17-amino-, (3β,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

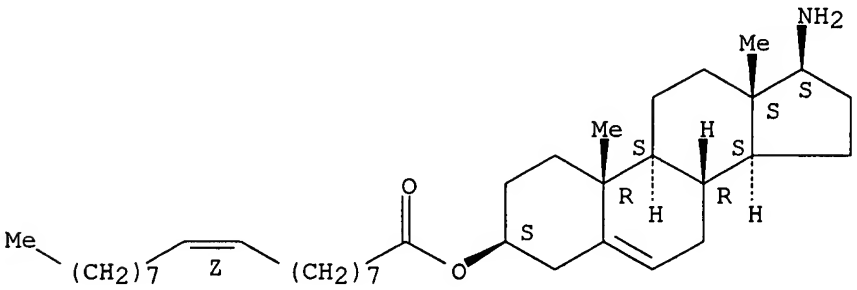


IT 435336-49-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(tumor uptake of pyropheophorbide cholesterol oleate reconstituted into LDL)

RN 435336-49-5 CAPLUS

CN 9-Octadecenoic acid (9Z)-, (3β,17β)-17-aminoandrost-5-en-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 5 OF 54 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1993:192093 CAPLUS

DOCUMENT NUMBER: 118:192093

TITLE: Synthesis and antitumor activity of platinum(II) complexes of cholesterol derivatives

AUTHOR(S): Brunner, H.; Sperl, G.

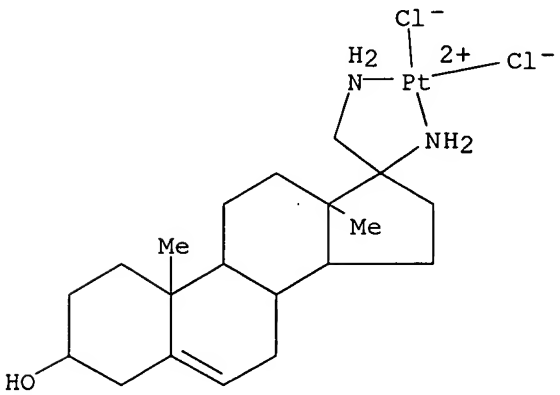
CORPORATE SOURCE: Inst. Anorg. Chem., Univ. Regensburg, Regensburg, 8400, Germany

SOURCE: Bulletin des Societes Chimiques Belges (1992), 101(11), 935-43

CODEN: BSCBAG; ISSN: 0037-9646
DOCUMENT TYPE: Journal
LANGUAGE: German

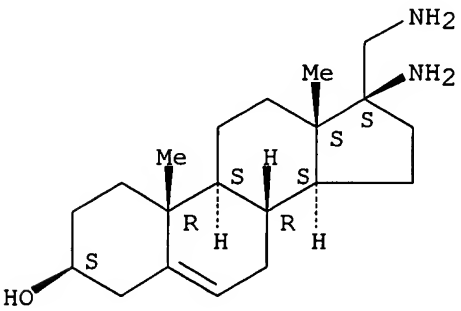
AB Low-d. lipoprotein receptor-binding moieties were introduced into Pt(II) complexes in order to facilitate the selective transport into cancer cells. Cholesterol esters of amino acids and 2,3-diaminopropionic acid were attached to the PtCl2 fragment via their NH2 groups. Steroidal amine and diamine ligands were synthesized and transformed into the dichloroplatinum(II) complexes. A steroidal carboxylic acid was prepared and coupled with the Pt(NH3)2 fragment. The antitumor activity of the compds. was tested on lymphatic P 388 leukemia of the CD2F1 mouse and on the human mammary carcinoma cell line MDA-MB 231. The Pt(II) complex of cholesterol glycinate gave a maximum growth inhibition of 54%.

IT 147134-73-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and antitumor activity of)
RN 147134-73-4 CAPLUS
CN Platinum, [(3β,17β)-17-amino-17-(aminomethyl)androst-5-en-3-ol-N,N']dichloro-, (SP-4-3)- (9CI) (CA INDEX NAME)



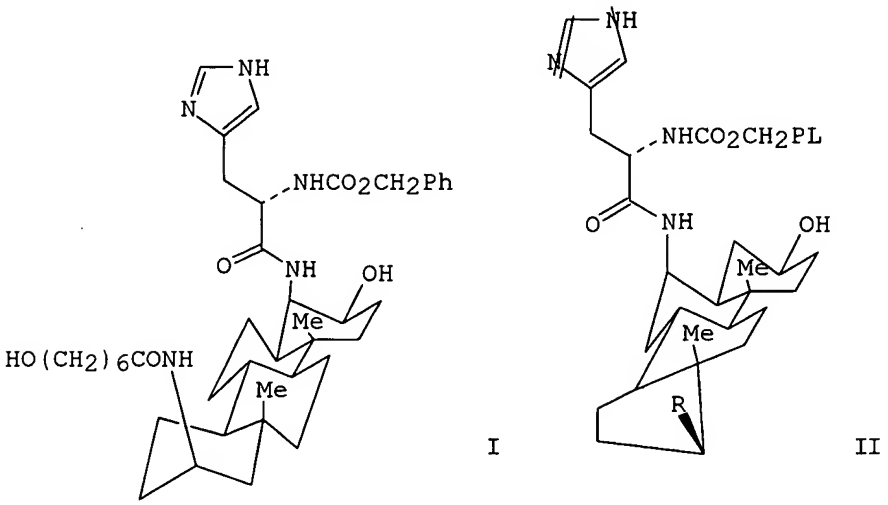
IT 146681-73-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and complexation of, with platinum)
RN 146681-73-4 CAPLUS
CN Androst-5-en-3-ol, 17-amino-17-(aminomethyl)-, (3β,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L14 ANSWER 6 OF 54 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1978:38055 CAPLUS
DOCUMENT NUMBER: 88:38055
TITLE: Bifunctional catalysts. IV. Synthesis and catalytic action of steroids with an alcohol function and imidazole nucleus
AUTHOR(S): Fetizon, M.; Jaudon, P.
CORPORATE SOURCE: Lab. Synth. Org., Ec. Polytech., Palaiseau, Fr.
SOURCE: Tetrahedron (1977), 33(13), 1619-24
CODEN: TETRAB; ISSN: 0040-4020
DOCUMENT TYPE: Journal
LANGUAGE: French
GI

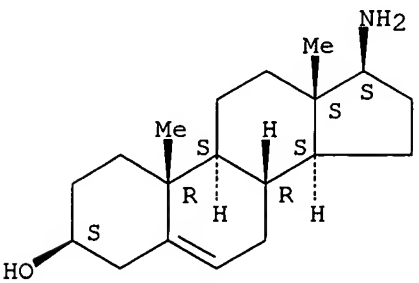


AB The diamino steroids I and II [R = NHCO(CH2)6OH] were prepared from 17β-amino-17aα-methyl-3β-D-homoandrost-5-ene and 17β-amino-3β-hydroxyandrost-5-ene, resp., by sequential condensation with a heptanoic acid derivative, nitration, reduction, condensation and N-benzyloxycarbonylhistidine, and saponification The catalytic effect of I and II [R = NHCO(CH2)6OH, H) on the hydrolysis of AcOC6H4NO2-4 was studied. A slight acceleration was observed with compds. in which hydroxy and imidazole groups are attached to the steroid skeleton. The acceleration was greater with I than with II [R = NHCO(CH2)6OH].

IT 4350-66-7 65351-74-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(condensation reaction of, with hydroxyheptanoic acid derivs.)

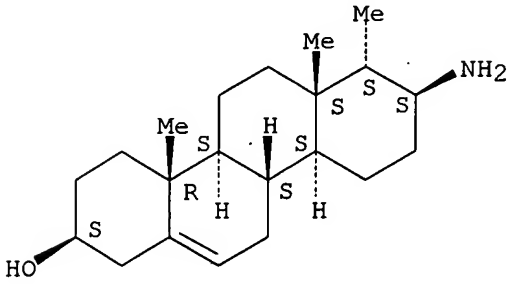
RN 4350-66-7 CAPLUS
CN Androst-5-en-3-ol, 17-amino-, (3β,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 65351-74-8 CAPLUS
CN 2-Chrysenol, 8-amino-1,2,3,4,4a,4b,5,6,6a,7,8,9,10,10a,10b,11-hexadecahydro-4a,6a,7-trimethyl-, (2S,4aR,4bS,6aS,7S,8S,10aS,10bS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L14 ANSWER 7 OF 54 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1966:482490 CAPLUS
DOCUMENT NUMBER: 65:82490
ORIGINAL REFERENCE NO.: 65:15456g-h,15457a-f
TITLE: Steroid guanylhydrazones
INVENTOR(S): Schuetz, Siegismund; Kroneberg, Guenter; Lauenstein, Karl
PATENT ASSIGNEE(S): Farbenfabriken Bayer A.-G.
SOURCE: 5 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 1032564		19660608	GB	19631031
PRIORITY APPLN. INFO.:			GB	19631031

AB Reaction of 1.1 g. 4-chloroandrost-4-ene-3,17-dione in MeOH with 1 g. (H2N)2C: NNH2.H2CO3, (I) in MeOH-HCl (pH 2.0) for 3 days at 20° under N gave 1 g. 4-chloroandrost-4-ene-3,17-dione bis(guanylhydrazone)-2HCl, m. 249-51° (decomposition). Bis(guanylhydrazone)-2HCl compds. were similarly prepared from the following steroids (m.p. derivative given): androstane-3,17-dione, 288-90° (decomposition); pregn-5-en-3β-ol-17,20-dione, 263-5° (decomposition); 5α-cyanoandrostane-3,17-dione, 270-2° (decomposition); pregna-3,5-diene-7,20-dione, 268° (decomposition); androsta-1,4diene-3,17-dione, 288-90° (decomposition); 6β-hydroxypregna-4,14diene-3,20-dione, 252-4° (decomposition); 9α-fluoropregna-1,4-diene-11β,16α,17α,21-tetrol-3,20-dione, 235-7° (decomposition); androst4-ene-3,11,17-trione, 279-81° (decomposition); 9α-fluoro-16α-methyl-pregna-1,4-diene-11β,17α,21-triol-3,20-dione, 253-5° (decomposition) 19-norandrost-4-ene-3,17-dione, 258-60° (decomposition). Reaction of 2.2 g. 3-pyrrolidinopregna-3,5-dien-20-one in 200 mL. warm EtOH with 0.9 g. I in MeOH-HCl at pH 2.0 for 12 h. gave the guanylhydrazone-2HCl, m. 263-5° (decomposition), which treated with dilute NaOH gave 0.5 g. pregn-4-ene-3,20-dione 20-guanylhydrazone, m. 246-8° (decomposition). Androst-4-ene-3,17-dione (2.86 g.) was treated with 0.78 g. K in 30 mL. tert-BuOH for 1 h., 2.68 mL. isoamyl nitrite added and the mixture stirred 12 h. at 20° to give 0.8 g. 2,6-dinitrosoandrost-4-ene-3,17-dione (II), m. 222-4° (decomposition). II (0.5 g.) with 0.5 g. I in MeOH-HCl gave the bis(guanylhydrazone), m. 180-3° (decomposition). Similarly, 3.14g. pregn-4-ene-3,20-dione gave 1

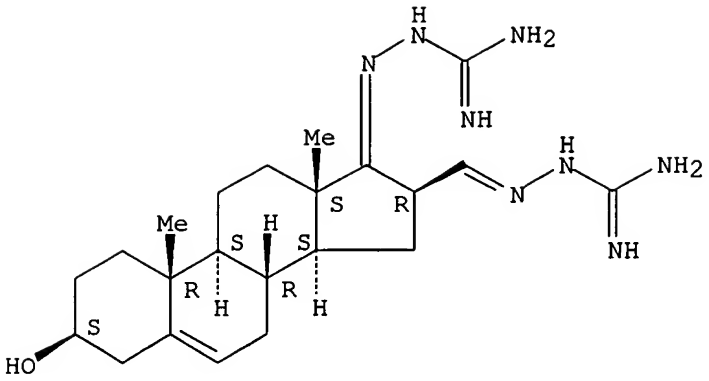
g. 2,6-dinitrosopregn-4-ene-3,20dione, m. 208-10° (decomposition); bis(guanylhydrazone) m. 260-4° (decomposition). Oxidation of 1 g. 2α-(3-oxobutyl)androst-4-en-17β-ol-3-one in 50 mL. HOAc with 25 mL. 2% CrO3 in HOAc for 2 h. gave the 3,17-dione which on reaction with 1.2 g. I for 3 days yielded the tris(guanylhydrazone), m. 233-5° (decomposition). 2-Hydroxymethylenepregn-4-en-20β-ol-3-one (2 g.) in 20 mL. dry C6H6 with 0.5 mL. MeCOCH: CH2 and 10 drops Et3N at room temperature for 5 days gave 2α-(3-oxobutyl)pregn-4-en-20β-ol-3-one (III). Reaction of III in 20 mL. MeOH with 0.9 g. I in MeOHHCl gave the bis(guanylhydrazone)-2HCl, m. 218-20° (decomposition). Also prepared were pregnane-3,6,20-trione tris(guanylhydrazone)-3HCl, m. 257° (decomposition); pregn-4-en-21-ol-3,20-dione bis(guanylhydrazone)-2HCl, m. 284-6° (decomposition); 17α,21-dihydroxypregn-4-ene-3,20-dione bis(guanylhydrazone)2HCl, m. 290-2°; 16α,17α-dihydroxypregn-4-ene-3,20-dione bis(guanylhydrazone), m. 284-7°; B-norpregn-4-ene-3,20-dione bis(guanylhydrazone)-HCl, m. 340-2° (decomposition); 2β(H)pregn-4-eno [3,2-c] cyclohex-2'-ene-1',20-dione bis(guanylhydrazone)-2HCl, m. 320-1° (decomposition); cholestane-3,6-dione bis(guanylhydrazone)-HCl, m. 228-30° (decomposition); androsta-3,5-diene-7,17-dione bis(guanylhydrazone)-2HCl, m. 285-8° (decomposition); 9α-fluoro-11β, 16α, 17a,α-trihydroxy-17a,β-hydroxymethyl-D-homoandrosta-1,4-diene-3,17-dione bis(guanylhydrazone)-2HCl, m. 244-8° (decomposition); pregn-4-ene-3,6,20-trione tris(guanylhydrazone)-3HCl, m. 275° (decomposition); 12α-acetoxy-5β-pregnane-3,20-dione bis(guanylhydrazone)-2HCl, m. 235-8° (decomposition); 2β-formylpregn-4-en-20β-ol-3-one guanylhydrazone-2HCl.EtOH, m. 205-7° (decomposition); 3,5-cycloandrostane-6,17dione bis(guanylhydrazone)-2HCl, m. 284-5°. 16β-Formylandrost-5-en-3β-ol-17-one bis(guanylhydrazone)-2HCl.EtOH, m. 193-5° (decomposition); 2α-(3-oxobutyl)pregn-4-ene-3,20-dione tris(guanylhydrazone)-3HCl, m. 235-7° (decomposition); 2α-(3-oxobutyl)androst-4-en-17β-ol-3-one bis(guanylhydrazone)-2HCl, m. 222-4° (decomposition); 2βH-androst-4-eno[3,2-c]cyclohex-2'-ene-1',17-dione bis(guanylhydrazone)-2HCl, m. 263-5° (decomposition); 16α-(3-oxobutyl)androst-5-en-3β-ol-17-one bis(guanylhydrazone)2HCl, m. 215-17° (decomposition); 2βH-pregn-4-eno[3,2-c]cyclohex-2'-ene-1',20-dione bis(guanylhydrazone)-2HCl, m. 320-1° (decomposition); pregn-4-ene-11α,17α-diol-3,20-dione bis(guanylhydrazone)-2HCl, m. 300-2° (decomposition); 5β-pregnan-12α-ol-3,20dione bis(guanylhydrazone)-2HCl, m. 280-2° (decomposition); 16βH-androst-4-eno [17,16-c] cyclohex-2'-ene-1',3-dione bis(guanylhydrazone)-2HCl, m. 267-70° (decomposition); 17β-formylandrost- en-3-one bis(guanylhydrazone)-2HCl, m. 312°.

IT 7803-07-8, Guanidine, 1,[[16β-(N-guanidinoformimidoyl)-3β-hydroxyandrost-5-en-17-ylidene]amino]- 7803-42-1, Guanidine, 1,[[16β-(N-guanidinoformimidoyl)-3β-hydroxyandrost-5-en-17-ylidene]amino]-, dihydrochloride
(preparation of)

RN 7803-07-8 CAPLUS

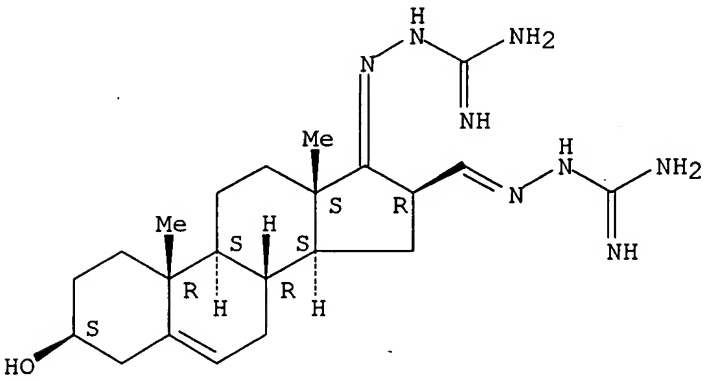
CN Hydrazinecarboximidamide, 2-[[(3β,16β)-17-[(aminoiminomethyl)hydrazono]-3-hydroxyandrost-5-en-16-yl]methylene]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



RN 7803-42-1 CAPLUS
CN Hydrazinecarboximidamide, 2-[[(3β,16β)-17-[(aminoiminomethyl)hydrazono]-3-hydroxyandrost-5-en-16-yl]methylene]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



●2 HCl

L14 ANSWER 8 OF 54 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1962:73633 CAPLUS
DOCUMENT NUMBER: 56:73633
ORIGINAL REFERENCE NO.: 56:14357e-i
TITLE: Synthesis of primary amines from N-substituted imido esters
AUTHOR(S): de Ruggieri, Pietro; Gandolfi, Carmelo; Chiaramonti, Domenico
CORPORATE SOURCE: Ormonoterpia Richter, Milan
SOURCE: Gazzetta Chimica Italiana (1961), 91, 665-71
CODEN: GCITA9; ISSN: 0016-5603
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB cf. preceding abstract. MeC(OR'):NR (I) were transformed into RNH2 (II) by the following method: one part I in 20-30 parts EtOH, tetrahydrofuran, or dioxane was treated at 0-5° with 15-20 parts 3N HCl and then, during 3 hrs., with 15-20 parts 3% or 5% Na-Hg, the solution decanted, made alkaline, and the product isolated by filtration, extraction, or distillation; the reduction
was carried out also by stirring 6-8 hrs. with Zn-Hg. RN:CHPh (III) were

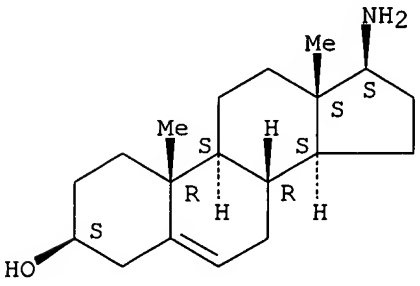
prepared from II with BzH in EtOH. The following simple I were transformed into the corresponding II (R, R', and b.p./mm. of I given): Me, Et, 99-100°/760; Et, Et, 80-2°/760; Me2CHCH2, Et, 145-7°/760; C15H31, Et, 163-5°/5; cyclohexyl, Me, 56-7°/10; cyclohexyl, Et, 61-3°/7; PhCH2, Et, 108-10°/17. The following steroids carrying the MeC(OR'):N group in the 17β-position were transformed into the corresponding 17β-amines by the same method (parent steroid, R', m.p. of II, [α]D of II, m.p., and [α]D of III derivative listed): androst-5-en-3β-ol (IV), Me or Et, 166-8°, -54°, 236-8°, 1°; IV acetate, Me or Et, 132-4°, -74°, 191-3°, -13°; 5α-androstan-3β-ol (V), Et, 160-2°, -, -, -; V acetate, 102-5°, -7.6°, -, -, -; 16α-methylandrost-5-en-3β-ol (VI), Et, 168-71°, -85°, 225-7°, -15.2° (17β-forms) [and 175-6°, +5.3°, 100-2°, 66° (17α-forms)]; VI acetate, Et, 152-4°, -71°, 219-21° -14.4°; 16α-methyl-5α-androstan-3β-ol (VII), Me or Et, 162-3°, -10°, 194-6°, 45°; VII acetate, Me or Et, 135-7°, -15°, 210-12°, 41°; 16α-methyl-3β-acetoxyandrost-5-ene, Et, 194-6°, -15° (3β-ol), 198-202°, 29°; 16β-methyl-3β-acetoxy-5α-androstane, Et, 228-31°, 9.1° (3β-ol), -, -. In the case of the two latter compds. the reaction was accompanied by saponification of the 3-acetoxy group.

IT 4350-66-7, Androst-5-en-3β-ol, 17β-amino-
33640-28-7, Androst-5-en-3β-ol, 17β-amino-, acetate (ester)
(preparation of)

RN 4350-66-7 CAPLUS

CN Androst-5-en-3-ol, 17-amino-, (3β,17β)- (9CI) (CA INDEX NAME)

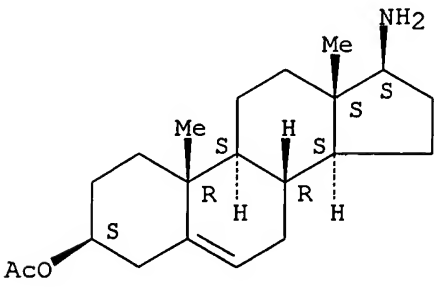
Absolute stereochemistry.



RN 33640-28-7 CAPLUS

CN Androst-5-en-3-ol, 17-amino-, acetate (ester), (3β,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



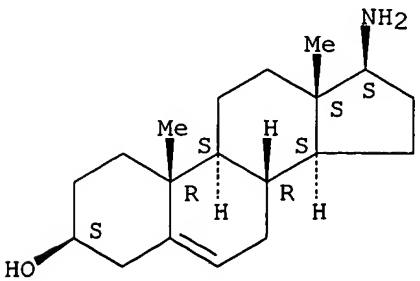
L14 ANSWER 9 OF 54 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:1127711 CAPLUS
DOCUMENT NUMBER: 144:184884
TITLE: Anti-inflammatory and immune regulatory properties of 5-androsten-3β, 17β-diol (HE2100), and synthetic analogue HE3204: implications for treatment of autoimmune diseases
AUTHOR(S): Auci, D.; Nicoletti, F.; Mangano, K.; Pieters, R.; Nierkens, S.; Morgan, L.; Offner, H.; Frincke, J.; Reading, C.
CORPORATE SOURCE: Hollis-Eden Pharmaceuticals, San Diego, CA, USA
SOURCE: Annals of the New York Academy of Sciences (2005), 1051(Autoimmune Diseases and Treatment), 730-742
CODEN: ANYAA9; ISSN: 0077-8923
PUBLISHER: New York Academy of Sciences
DOCUMENT TYPE: Journal
LANGUAGE: English

AB 5-Androsten-3β, 17β-diol (HE2100), and a synthetic analog HE3204 are regarded as immune-regulating hormones, because both induce changes in the reporter antigen-popliteal lymph node assay (RA-PLNA). Mice were injected in the footpad with either HE2100 or HE3204 (0.01-3 mg), and a nonsensitizing dose of trinitrophenyl ovalbumin (TNP-OVA) was used as bystander reporter antigen. Seven days later, nodes were removed and nos. of cells (CD3, CD4, CD8, CD19; flow cytometry), TNP-specific IgM, IgG1, and IgG2a antibody-forming cells (AFCs; ELISPOT assay), and cytokines (interleukin-4 [IL-4], interferon-γ [IFN-γ]; ELISA) were measured. HE2100 and HE3204 increased cell nos. in a dose-dependent fashion. T (helper and suppressor) cells and B cells were increased (>5-fold). HE3204 was apparently twice as potent as HE2100. Both increased the B/T ratio (fivefold), increased TNP-specific IgM and IgG1 (.apprx.50-fold), and induced IgG2a AFCs. Both increased IL-4 and IFN-γ secretion (up to threefold). Both displayed anti-inflammatory activity in the murine model of carrageenan-induced pleurisy, as evidenced by reduced neutrophil nos. and exudate vols. Our observations suggest that both HE2100 and HE3204 are immune-regulating steroid hormones that exhibit anti-inflammatory properties. HE2100 (1 mg/mouse per day) provided significant benefit when given at disease onset in the SJL/J female mouse model of exptl. autoimmune encephalomyelitis. These compds. and their analogs are candidates for further testing in autoimmune diseases.

IT 4350-66-7
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(HE3204 had greater anti-inflammatory activity than HE2100 in carrageenan-induced pleurisy by reducing neutrophil and exudate volume and HE2100 reduced lethality during LPS-induced shock and provided benefit from EAE in mouse)

RN 4350-66-7 CAPLUS
CN Androst-5-en-3-ol, 17-amino-, (3β,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

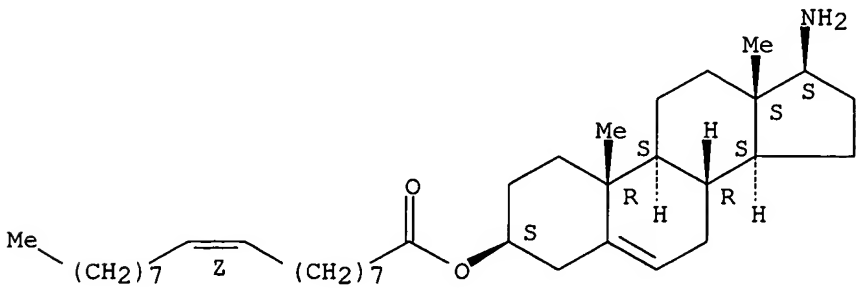
L14 ANSWER 10 OF 54 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:373699 CAPLUS
DOCUMENT NUMBER: 143:74191
TITLE: Near-infrared optical imaging of B16 melanoma cells via low-density lipoprotein-mediated uptake and delivery of high emission dipole strength tris[(porphinato)zinc(II)] fluorophores
AUTHOR(S): Wu, Sophia P.; Lee, Intae; Ghoroghchian, P. Peter; Frail, Paul R.; Zheng, Gang; Glickson, Jerry D.; Therien, Michael J.
CORPORATE SOURCE: Department of Chemistry, University of Pennsylvania, Philadelphia, PA, 19104-6323, USA
SOURCE: Bioconjugate Chemistry (2005), 16(3), 542-550
CODEN: BCCHES; ISSN: 1043-1802
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 143:74191

AB Meso-to-meso ethyne-bridged tris[(porphinato)zinc(II)] (PZn3) near-IR (NIR) fluorophores (λemmax .apprx.800 nm) can be rendered sufficiently amphiphilic to enable their facile incorporation into the hydrophobic core of the apo form of low-d. lipoprotein (apo-LDL). These NIR fluorophores are notable in that they manifest low energy excited states polarized exclusively along the long axis of the supermol., broad spectral coverage of the visible and high energy NIR spectral domains, intense S0→S1 transition moments, and comparably large S1→S0 emission dipole strengths. The reconstituted LDL(PZn3) proteins can be used to deliver rapidly hundreds of copies of PZn3 to a given murine B16 melanoma cell via LDL receptor-mediated endocytosis. PZn3-based NIRFs and their corresponding LDL(PZn3) proteins have been shown to display minimal cytotoxicity. Confocal NIR fluorescence microscopy evinces that B16 cells can be imaged at very low doses (.apprx.nM) of NIRF. The highly attractive photophys. properties of PZn3 and closely related chromophores, coupled with their lack of toxicity and compatibility with uptake into apo-LDL and subsequent rapid delivery to B16 cells via LDLr-mediated endocytosis, suggest the potential utility of this platform for NIR optical imaging of cancer cells in vivo.

IT 435336-49-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(near-IR optical imaging of B16 melanoma cells via low-d. lipoprotein-mediated uptake and delivery of high emission dipole strength tris[(porphinato)zinc(II)] fluorophores)

RN 435336-49-5 CAPLUS
CN 9-Octadecenoic acid (9Z)-, (3β,17β)-17-aminoandrost-5-en-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

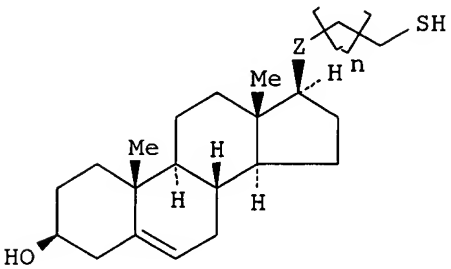


REFERENCE COUNT: 64 THERE ARE 64 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 11 OF 54 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:855086 CAPLUS
DOCUMENT NUMBER: 139:350880
TITLE: Preparation of antiarthritic steroids from dehydroandrostenolone
INVENTOR(S): Wyrwa, Ralf; Haertl, Albert; Braeuer, Rolf
PATENT ASSIGNEE(S): Hans-Knoell-Institut fuer Naturstoff-Forschung E.V., Germany; Friedrich-Schiller-Universitaet Jena
SOURCE: Ger. Offen., 10 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10226311	A1	20031030	DE 2002-10226311	20020611
PRIORITY APPLN. INFO.:			DE 2002-10217836 IA	20020420

OTHER SOURCE(S): MARPAT 139:350880
GI



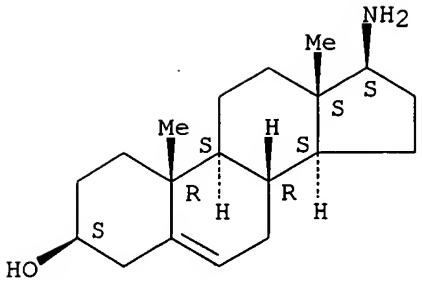
I

AB Dehydroandrostenolone (DHEA) derivs. I·(X-)b-1 [Z = HbN(b-1)+; n = 1 - 3; b = 1, 2; X = halogen (such as fluorine, chlorine or bromine), C1-4-alkanoyloxy, C1-4-perfluoroalkanoyloxy] with antioxidant activity are useful as antiarthritics. Thus, I·-O2CCF3 (Z = H2N+, n = 1) was prepared The antioxidant and antiarthritic activity of I·-O2CCF3 (Z = H2N+, n = 1) was determined [98.6% reduction in chemiluminescence in HRP test at 40 μg/mL; ED = 2.4 mg/mouse].

IT 4350-66-7, 17β-Aminoandrost-5-en-3β-ol
RL: RCT (Reactant); RACT (Reactant or reagent)
(alkylation of, by alkylene monothiocarbonates; preparation of antiarthritic steroids from dehydroandrostenolone)

RN 4350-66-7 CAPLUS
CN Androst-5-en-3-ol, 17-amino-, (3β,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L14 ANSWER 12 OF 54 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:81705 CAPLUS
DOCUMENT NUMBER: 132:222215
TITLE: Zinc porphyrin tweezer in host-guest complexation: determination of absolute configurations of primary monoamines by circular dichroism
AUTHOR(S): Huang, Xuefei; Borhan, Babak; Rickman, Barry H.; Nakanishi, Koji; Berova, Nina
CORPORATE SOURCE: Department of Chemistry, Columbia University, New York, NY, 10027, USA
SOURCE: Chemistry--A European Journal (2000), 6(2), 216-224
CODEN: CEUJED; ISSN: 0947-6539
PUBLISHER: Wiley-VCH Verlag GmbH
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

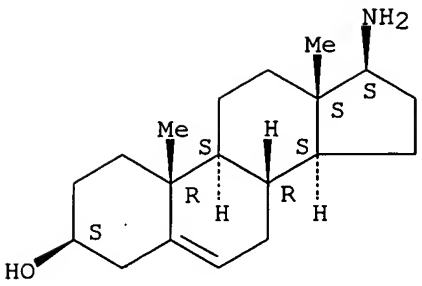
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB A nonempirical exciton chirality circular dichroic (CD) method for determining the absolute configurations of primary monoamines with amino group directly linked to the stereogenic center is described. Conventional exciton chirality CD method cannot be applied to these compds. since they lack the two sites for attaching the interacting chromophores. This was solved by covalently linking the monoamine to a trifunctional bidentate carrier moiety I. Treatment of the carrier/monoamine conjugate with the porphyrin tweezer II consisting of two pentanediol-linked zinc porphyrins gives rise to 1:1 host-guest macrocyclic complexes that exhibit exciton-coupled CD spectra. The sign of the CD couplet can then be correlated with the absolute configuration of the monoamine as follows: a clockwise arrangement of the L, M, and S (large, medium, small) groups in the Newman projection of the monoamine with the amino group in the rear gives rise to a pos. CD couplet, and vice versa; the assignments of L, M, S groups are based on conformational energies (A values). This method is applicable to cyclic and acyclic aliphatic amines, aromatic amines, amino esters, amides, and cyclic amino alcs., and can be performed at the several microgram level.

IT 4350-66-7
RL: PRP (Properties); RCT (Reactant); RACT (Reactant or reagent)
(absolute configuration; zinc porphyrin tweezer in host-guest complexation for determination of absolute configurations of primary monoamines by CD)

RN 4350-66-7 CAPLUS
CN Androst-5-en-3-ol, 17-amino-, (3β,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

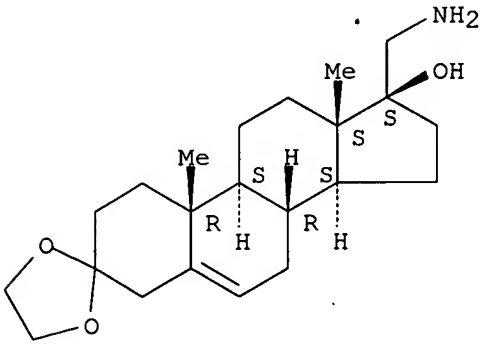
L14 ANSWER 13 OF 54 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1997:581317 CAPLUS
DOCUMENT NUMBER: 127:234474
TITLE: Synthesis and characterization by 1H and 13C nuclear magnetic resonance spectroscopy of 17α-cyano, 17α-aminomethyl, and 17α-alkylamidomethyl derivatives of 5α-dihydrotestosterone and testosterone
AUTHOR(S): Mappus, Elisabeth; Chambon, Christophe; de Ravel, Marc Rolland; Grenot, Catherine; Cuilleron, Claude Y.
CORPORATE SOURCE: Pathologie Hormonale et Moleculaire, Hopital Debrousse, Institut National de la Sante et de la Recherche Medicale U 329, Lyon, 69322, Fr.
SOURCE: Steroids (1997), 62(8/9), 603-620
CODEN: STEDAM; ISSN: 0039-128X
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

AB 17α-Aminomethyl, 17α-acetamidomethyl, and 17α-hemiglutaramidomethyl derivs. of dihydrotestosterone and testosterone have been prepared by hydrocyanation of 3,3'-(ethylenedioxy)-5α-androstan-17-one and 3,3'-ethylenedioxyandrost-5-en-17-one, reduction of the corresponding acetylated 17α-cyanohydrins with lithium aluminum hydride, and acylation of the resulting 17α-aminomethyl derivs. with either acetic anhydride or the mono acid chloride of glutaric acid mono Me ester. Saponification of the 17α-hemiglutaramidomethyl Me esters gave the corresponding hemiglutaramido derivs., while acid hydrolysis of the 3-ethylene ketal group of 17α-acetamidomethyl and 17α-hemiglutaramidomethyl derivs. regenerated the 3-oxo and 3-oxo-4-ene functions. The 17α-configuration of 17-substituted steroids was determined by 1H and 13C NMR and confirmed by comparing with NMR data for 17α- and 17β-cyano-17-hydroxyandrost-4-en-3-one, 17β-cyano-3,3'-(ethylenedioxy)androst-5-en-17-ol, 17α-alkynyl, and 17α-hexanoic derivs. of dihydrotestosterone and testosterone, of known 17-configurations. Several ambiguous assignments of 13C NMR signals of 17α-substituted steroids and unsubstituted 17β-hydroxy or 17-oxo precursor shave been resolved using steroid analogs deuterated at positions C5-7, or C16 for androstane derivs., and at positions C6-7, or C7 for androstene derivs. 17α-Aminomethyl and 17α-alkylamidomethyl derivs. of dihydrotestosterone and testosterone are useful intermediates for the access to potential ligands of androgen-binding proteins necessary for affinity chromatog. purification or affinity-labeling expts.

IT 195203-11-3P
RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and carbon-13 NMR of testosterone derivs.)
RN 195203-11-3 CAPLUS

CN Androst-5-en-3-one, 17-(aminomethyl)-17-hydroxy-, cyclic 1,2-ethanediyl acetal, (17β)- (9CI) (CA INDEX NAME)

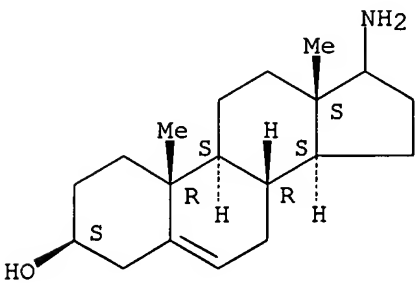
Absolute stereochemistry.



REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 14 OF 54 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1997:266897 CAPLUS
DOCUMENT NUMBER: 126:293484
TITLE: Steroids. Part 53. New routes to amino steroids
AUTHOR(S): Szendi, Z.; Dombi, G.; Vincze, I.
CORPORATE SOURCE: Department Organic Chemistry, Attila Jozsef University, Szeged, H-6720, Hung.
SOURCE: Monatshefte fuer Chemie (1996), 127(11), 1189-1196
CODEN: MOCMB7; ISSN: 0026-9247
PUBLISHER: Springer
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 126:293484
AB Steroidal ketoximes were reduced with NaBH4 in the presence of NiCl2 or MoO3 to yield 17α- and 20α-aminosteroids in higher yields than common reduction methods.
IT 2723-01-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of amino steroids by reduction of ketoximes with sodium borohydride
and nickel chloride or molybdenum trioxide)
RN 2723-01-5 CAPLUS
CN Androst-5-en-3-ol, 17-amino-, (3β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L14 ANSWER 15 OF 54 CAPLUS COPYRIGHT 2006 ACS on STN

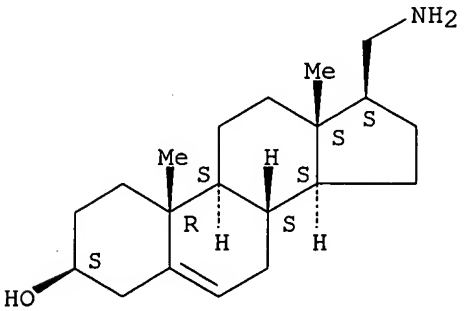
ACCESSION NUMBER: 1996:620336 CAPLUS
DOCUMENT NUMBER: 125:266170
TITLE: 20-Amino and 20,21-aziridinyl pregnene steroids: development of potent inhibitors of 17α-hydroxylase/C17,20-lyase (P450 17)
AUTHOR(S): Njar, Vincent C. O.; Hector, Markus; Hartmann, Rolf W.
CORPORATE SOURCE: Fachrichtung 12.1 Pharmazeutische Chemie, Univ. Saarlandes, Saarbruecken, D-66041, Germany
SOURCE: Bioorganic & Medicinal Chemistry (1996), 4(9), 1447-1453
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

AB In the search for potent inhibitors of P 450 17, the key enzyme of androgen biosynthesis, the 20,21-aziridinyl- and 20-aminopregnene steroids 1-11 were synthesized and tested toward rat testicular P 450 17. Only the aziridinyl-substituted pregnenolones (1 and 2) and progesterones (3 and 4), resp., showed inhibitory activity, which strongly depends on C20 stereochem. The most active compound 1 [20(S)-20,21-aziridinylpregn-5-en-3β-ol; IC50 0.21 μM, progesterone 25 μM; Ki = 1.7 nM, Km progesterone = 7.0 μM] is the strongest inhibitor of rat P 450 17 described so far. Using UV-vis difference spectroscopy, complexation of the aziridinyl nitrogen to the heme iron, Fe3+, of P 450 17 was observed, which could not be reversed by high concns. of substrate. Preincubation of the enzyme with 1 in the absence and presence of NADPH followed by charcoal treatment results in a strong decrease of enzyme activity within 30 s. However, a recovery of enzyme activity was observed: 90 min after charcoal treatment 75% of the activity was restored.

IT 182552-19-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(20-Amino and 20,21-aziridinyl pregnene steroids: development of potent inhibitors of 17α-hydroxylase/C17,20-lyase (P 450 17))

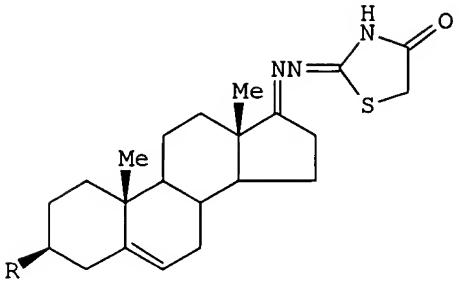
RN 182552-19-8 CAPLUS
CN Androst-5-en-3-ol, 17-(aminomethyl)-, (3β,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L14 ANSWER 16 OF 54 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1990:406666 CAPLUS
DOCUMENT NUMBER: 113:6666
TITLE: Synthesis of extranuclear thiazolidones of androstane series
AUTHOR(S): Siddiqui, A. H.; Rao, K. Venkateshwer; Ramesh, D.
CORPORATE SOURCE: Dep. Chem., Nizam Coll., Hyderabad, 500 001, India

SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1989), 28B(9), 762-3
CODEN: IJSBDB; ISSN: 0376-4699
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 113:6666
GI



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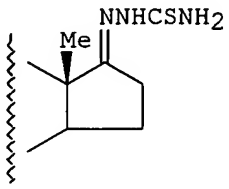
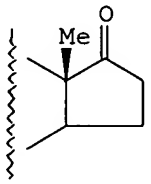


II



III

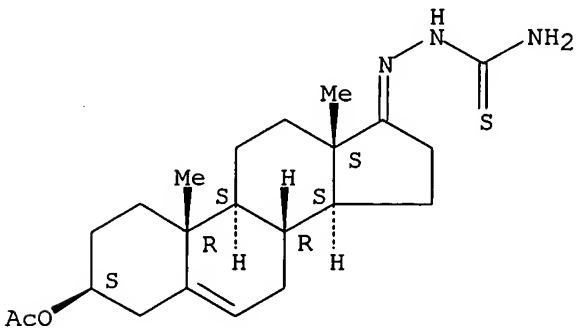
AB The title thiazolidones I (R = OAc, Cl) were prepared by cyclizing thiosemicarbazones II (R = OAc, Cl) with ClC2CO2H in the presence of NaOAc in AcOH. II were prepared by treating 17-oxoanrostenes III (R = OAc, Cl) with NH2NH2 and treating the resulting hydrazones with ammonium thiocyanate.

IT 127460-87-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclization of, with chloroacetic acid)

RN 127460-87-1 CAPLUS

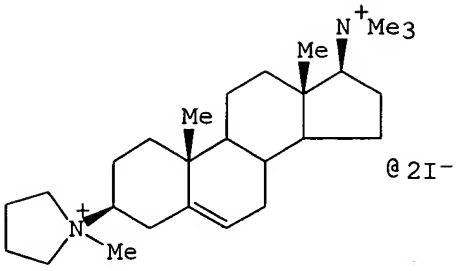
CN Androst-5-en-17-one, 3-(acetyloxy)-, 17-[(aminothioxomethyl)hydrazone], (3β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



L14 ANSWER 17 OF 54 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1989:115170 CAPLUS

DOCUMENT NUMBER: 110:115170
TITLE: Steroids and related studies. Part 82. Chandonium related azasteroidal neuromuscular blockers
AUTHOR(S): Singh, Harkishan; Gupta, Rakesh Kumar; Bhardwaj, Tilak Raj
CORPORATE SOURCE: Dep. Pharm. Sci., Panjab Univ., Chandigarh, 160 014, India
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1988), 27B(6), 508-12
CODEN: IJSBDB; ISSN: 0376-4699
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 110:115170
GI



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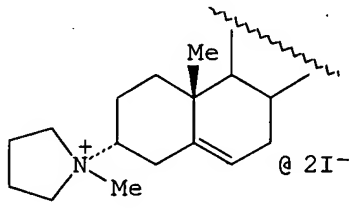

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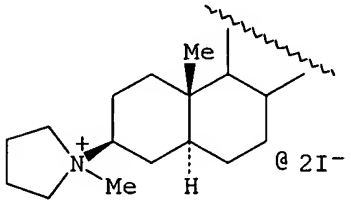
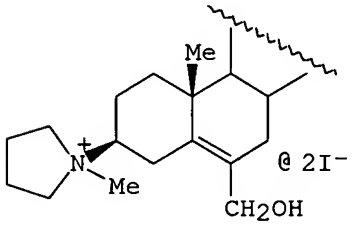


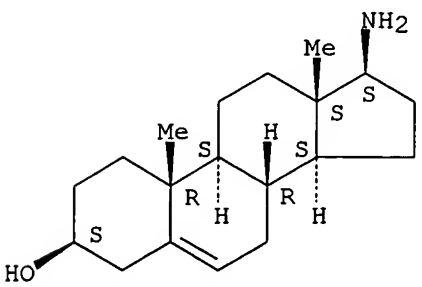
III



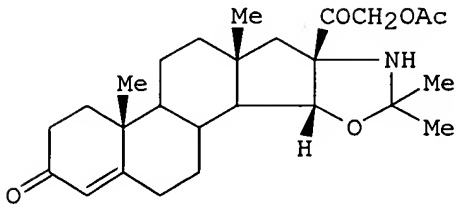
IV

AB Bisquaternary steroids HS-854 (I), HS-1046 (II), HS-944 (III), and HS-892 (IV) were prepared by standard methods. All the new bisquaternary steroids are active as neuromuscular blockers in the rat phrenic nerve diaphragm preparation The structure-activity relationship has been discussed.
IT 4350-66-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reductive methylation of, with formaldehyde)
RN 4350-66-7 CAPLUS
CN Androst-5-en-3-ol, 17-amino-, (3β,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L14 ANSWER 18 OF 54 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1988:529447 CAPLUS
DOCUMENT NUMBER: 109:129447
TITLE: Transformed steroids. 169. Routes to the synthesis of 21-hydroxy(acetoxy)-2',2'-dimethyl-[17α,16α-d]-oxazolidino analogs of 20-keto steroids
AUTHOR(S): Kamernitskii, A. V.; Turuta, A. M.; Vesela, I. V.; Korobov, A. A.
CORPORATE SOURCE: Inst. Org. Khim. im. Zelinskogo, Moscow, USSR
SOURCE: Izvestiya Akademii Nauk SSSR, Seriya Khimicheskaya (1988), (3), 701-4
CODEN: IASKA6; ISSN: 0002-3353
DOCUMENT TYPE: Journal
LANGUAGE: Russian
OTHER SOURCE(S): CASREACT 109:129447
GI



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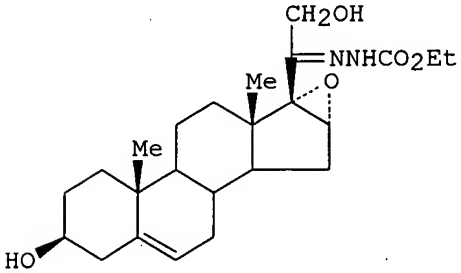


II

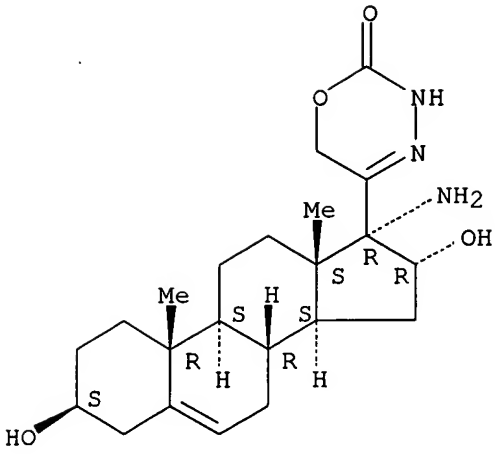
AB The synthesis of several title compds., e.g., I, from, e.g., II, was described.

IT 116292-46-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclocondensation of, with acetic anhydride)

RN 116292-46-7 CAPLUS

CN 2H-1,3,4-Oxadiazin-2-one, 5-[(3β,16α,17α)-17-amino-3,16-dihydroxyandrost-5-en-17-yl]-3,6-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

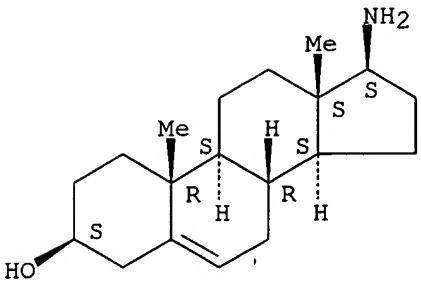


L14 ANSWER 19 OF 54 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1986:567706 CAPLUS
DOCUMENT NUMBER: 105:167706
TITLE: Active site-directed inhibition of rabbit cytochrome P 450 1 by amino-substituted steroids
AUTHOR(S): Johnson, Eric F.; Schwab, George E.; Singh, Jangbir; Vickery, Larry E.
CORPORATE SOURCE: Dep. Basic Clin. Res., Res. Inst. Scripps Clin., La Jolla, CA, 92037, USA
SOURCE: Journal of Biological Chemistry (1986), 261(22), 10204-9
CODEN: JBCHA3; ISSN: 0021-9258
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A variety of amino-substituted steroids were investigated as inhibitors of the rabbit hepatic, steroid 21-hydroxylase, cytochrome P 450 1. It was reasoned that a steroid analog of pregnenolone capable of mimicking the binding of C21-steroids to the enzyme at the active site and bearing an amine moiety on the 17β-side-chain would be a potent inhibitor if the amine were free to interact with the heme Fe. The studies revealed that 22-amino-23,24-bisnor-5-cholen-3β-ol (22-ABC) is a tightly-bound inhibitor of cytochrome P 450 1-catalyzed reactions (Ki <1 nM). Spectral differences elicited by 22-ABC indicated that when bound to the enzyme, the amino moiety of 22-ABC is coordinated to the heme Fe. In contrast, several other hepatic cytochrome P 450s which mediate distinct regiospecific routes of metabolism for progesterone or pregnenolone remained largely unaffected at concns. of 22-ABC that exceeded by 2 orders of magnitude that required to inhibit cytochrome P 450 1. 22-ABC also inhibited the metabolism of benzo[a]pyrene attributable to cytochrome P 450 1 but did not inhibit that induced by treatment with rifampicin or 2,3,7,8-tetrachlorodibenzo-p-dioxin. Analogs of 22-ABC bearing a hydroxyl group or a methylamine in place of the amine moiety exhibited lower affinities for cytochrome P 450 1. In addition, either increasing or decreasing the number of C atoms of the side chain reduced the affinity of the inhibitor for cytochrome P 450 1.

IT 4350-66-7
RL: BIOL (Biological study)
(steroid 21-hydroxylase cytochrome P 450 inhibition by)
RN 4350-66-7 CAPLUS
CN Androst-5-en-3-ol, 17-amino-, (3β,17β)- (9CI) (CA INDEX NAME)

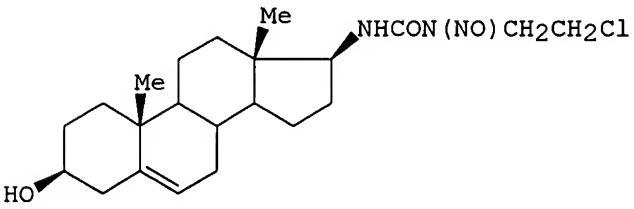
Absolute stereochemistry.



L14 ANSWER 20 OF 54 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1984:121449 CAPLUS
DOCUMENT NUMBER: 100:121449
TITLE: Steroid nitrosoureated with oncostatic activity and its use as a medicine
INVENTOR(S): Imbach, Jean Louis; Chavis, Claude
PATENT ASSIGNEE(S): Centre National de la Recherche Scientifique, Fr.
SOURCE: Eur. Pat. Appl., 12 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 90736	A1	19831005	EP 1983-400629	19830325
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
FR 2523978	A1	19830930	FR 1982-5297	19820329
FR 2523978	B1	19841228		
JP 58219200	A2	19831220	JP 1983-53447	19830329

PRIORITY APPLN. INFO.: FR 1982-5297 A 19820329
OTHER SOURCE(S): CASREACT 100:121449
GI

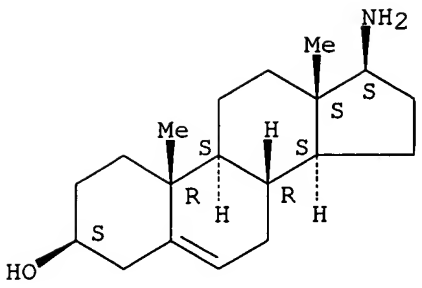


I

AB Treatment of 17β-aminoandrost-5-en-3β-ol with ClCH2CH2N(NO)CO2C6H4NO2-4 in pyridine gave 93% androstenylurea I, which possessed neoplasm-inhibiting activity against leukemia L-1210 with a therapeutic index greater than that of BCNU, CCNU, or chlorozotocin.

IT 4350-66-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(acylation of, by nitrophenylnitrosocarbamate derivative)
RN 4350-66-7 CAPLUS
CN Androst-5-en-3-ol, 17-amino-, (3β,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

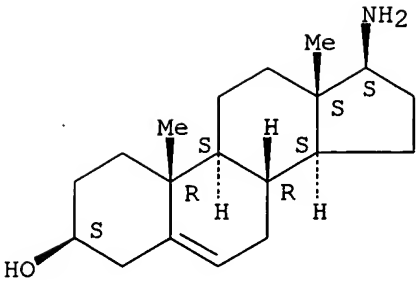


L14 ANSWER 21 OF 54 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1984:2709 CAPLUS
DOCUMENT NUMBER: 100:2709
TITLE: Active site-directed inhibitors of cytochrome P-450scc. Structural and mechanistic implications of a side chain-substituted series of amino-steroids
AUTHOR(S): Sheets, Joel J.; Vickery, Larry E.
CORPORATE SOURCE: Dep. Physiol. Biophys., Univ. California, Irvine, CA, 92717, USA
SOURCE: Journal of Biological Chemistry (1983), 258(19), 11446-52
CODEN: JBCHA3; ISSN: 0021-9258
DOCUMENT TYPE: Journal
LANGUAGE: English

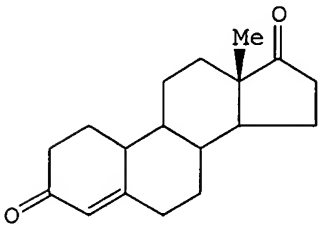
AB A series of analogs of cholesterol, each having a shortened side-chain and a primary amine group, were prepared and tested for their effects on the bovine adrenocortical cholesterol side-chain cleavage cytochrome P 450 (P-450scc) system (steroid 20-22-desmolase). The 23-amine derivative, 23-amino-24-nor-5-cholen-3β-ol, was found to be a potent inhibitor and to be competitive with respect to cholesterol (Ki = 38 nM). Binding of the 23-amine to P-450scc also caused formation of a low spin complex with an absorption maximum at 422 nm, indicative of a N-donor ligand. Other derivs. in which the side-chain amine was linked closer to the steroid, 17β-amino-5-androsten-3β-ol and (20 R + S)-20-amino-5-pregnen-3β-ol, were found to be only very weak inhibitors and did not produce the 422-nm spectral form when bound. Derivs. in which the amine was attached a greater distance from the steroid ring, 24-amino-5-cholen-3β-ol and 25-amino-26,27-bisnor-5-cholesten-3β-ol, caused a progressive decrease in inhibitory potency and a failure to produce the 422-nm form on binding. The dependence of the type of interaction of these amino steroids with P-450scc upon the amine position established that the steroid-binding site and the heme catalytic site of the enzyme are fixed within a specific distance of one another. The heme appeared to be located sufficiently close to the position that the side-chain of cholesterol would occupy to allow for direct attack of an Fe-bound oxidant to occur during hydroxylation and side-chain cleavage.

IT 4350-66-7
RL: BIOL (Biological study)
(cytochrome P 450scc response to)
RN 4350-66-7 CAPLUS
CN Androst-5-en-3-ol, 17-amino-, (3β,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L14 ANSWER 22 OF 54 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1983:416708 CAPLUS
DOCUMENT NUMBER: 99:16708
TITLE: Inhibition of testosterone synthesis in the canine testis in vitro
AUTHOR(S): Pittaway, Donald E.
CORPORATE SOURCE: Sch. Med., Louisiana State Univ., Shreveport, LA, 71130, USA
SOURCE: Contraception (1983), 27(4), 431-6
CODEN: CCPTAY; ISSN: 0010-7824
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

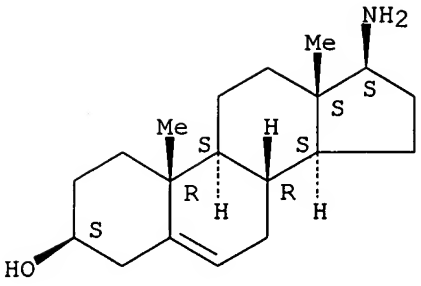


I

AB The inhibitory effects of 20 steroids on testicular 17β-hydroxy steroid oxidoreductase (17β-HOR) [9015-81-0] activity were examined in microsomal prepns. of canine testes. Six steroids inhibited testosterone [58-22-0] formation, but only 4-estrene-3,17-dione (I) [734-32-7] (Ki = 2.4 μM) and 5-androstene-3,17-dione [571-36-8] (Ki = 6.8 μM) had significant inhibitory activity. The following mol. characteristics are apparently necessary for competitive inhibition of 17β-HOR activity: requirement for 17-keto group; relative requirement for 3-keto group; decreased inhibition with unsatn. in position 5-6; and marked loss of inhibitory activity with 6β- or 19-hydroxylation and A-ring aromatization.

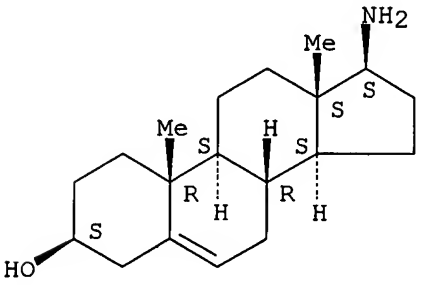
IT 4350-66-7
RL: BIOL (Biological study)
(testosterone formation inhibition by, in testis, structure in relation to)
RN 4350-66-7 CAPLUS
CN Androst-5-en-3-ol, 17-amino-, (3β,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L14 ANSWER 23 OF 54 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1983:161072 CAPLUS
DOCUMENT NUMBER: 98:161072
TITLE: NMR studies of D-ribosylamines in solution: derivatives of primary amines. I
AUTHOR(S): Chavis, Claude; De Gourcy, Chantal; Dumont, Francoise; Imbach, Jean Louis
CORPORATE SOURCE: Lab. Chim. Bio-Org., Univ. Sci. Tech. Languedoc, Montpellier, 34060, Fr.
SOURCE: Carbohydrate Research (1983), 113(1), 1-20
CODEN: CRBRAT; ISSN: 0008-6215
DOCUMENT TYPE: Journal
LANGUAGE: English
AB NMR spectroscopy shows that primary amines condense with D-ribose to give mainly D-ribopyranosylamines in which the α anomer in the 1C4 conformation preponderates; the β anomer assumes mainly the 4C1 conformation. Thus, it is possible to deduce the structures of the N-phenyl-D-ribosylamines and to correlate some of the literature data. For 2,3-O-isopropylidene-D-ribofuranosylamine derivs., the Δδ values for the 13C-NMR signals of the isopropylidene Me groups can be used to establish the anomeric configuration.
IT 4350-66-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(condensation of, with ribose)
RN 4350-66-7 CAPLUS
CN Androst-5-en-3-ol, 17-amino-, (3β,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



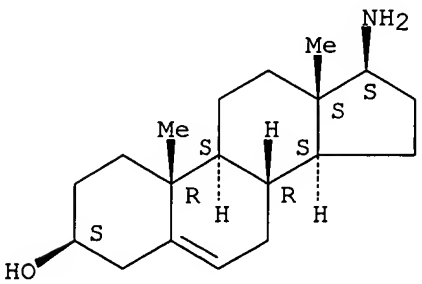
L14 ANSWER 24 OF 54 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1982:406611 CAPLUS
DOCUMENT NUMBER: 97:6611
TITLE: Optically active amines. 30. Application of the salicylidenimino chirality rule to aliphatic and alicyclic amines
AUTHOR(S): Smith, Howard E.; Taylor, Clinton A., Jr.; McDonagh, Antony F.; Chen, Fu Ming

CORPORATE SOURCE: Dep. Chem., Vanderbilt Univ., Nashville, TN, 37235, USA
SOURCE: Journal of Organic Chemistry (1982), 47(13), 2525-31
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English

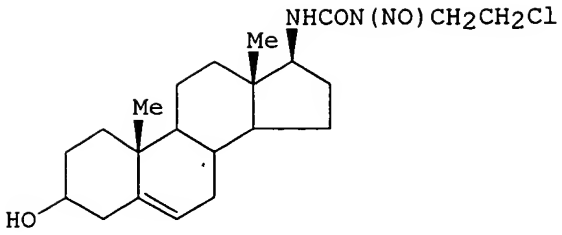
AB The salicylidenimino chirality rule was used to correlate the sign of the observed Cotton effects near 315 and 255 nm in the CD spectra of N-salicylidene derivs. of aliphatic and alicyclic amines with their absolute configurations. The rule is based on the model that the Cotton effects originate from interaction of the resp. transition moments of the hydrogen-bonded salicylidenimino chomophore with bond transition moments in the rest of the mol. C-C and C-O bonds vicinal and homovicinal to the salicyclidenimino attachment bond are the dominant contributors to the Cotton effects, and the sign of the Cotten effects depends on the algebraic sum of these contributions. Since the polarizability of a C-O bond is smaller than that of a C-C bond, the contribution of a vicinal or homovicinal C-O bond is less than that of a corresponding C-C bond. The sign of a particular contribution can be determined by the chirality that the bond has with the attachment bond of the salicyclidenimino group, a pos. contribution for pos. chirality (right-handed screw) and a neg. contribution for neg. chirality (left-handed screw).

IT 4350-66-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(condensation of, with salicylaldehyde)
RN 4350-66-7 CAPLUS
CN Androst-5-en-3-ol, 17-amino-, (3β,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L14 ANSWER 25 OF 54 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1982:211224 CAPLUS
DOCUMENT NUMBER: 96:211224
TITLE: New steroidal nitrosoureas
AUTHOR(S): Chavis, Claude; De Gourcy, Chantal; Borgna, Jean Louis; Imbach, Jean Louis
CORPORATE SOURCE: Lab. Chim. Bio-Org., Univ. Sci., Montpellier, 34090, Fr.
SOURCE: Steroids (1982), 39(2), 129-47
CODEN: STEDAM; ISSN: 0039-128X
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

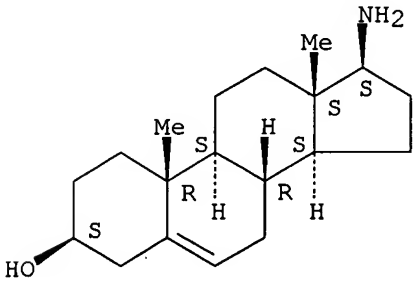
AB 17β- And 20-nitrosourea derivs. of the dehydroepiandrosterone, estrone, and pregnenolone series were synthesized and tested for their binding to uterine estrogen and progesterone receptors. 17β-(N'-2-chloroethyl-N'-nitrosoureyl)-5-androsten-3β-ol (I) [68642-63-7] and 17β-(N'-2-chloroethyl-N'-nitrosoureyl)-3-hydroxy-1,3,5(10)-estratrien-17α-carbonitrile [81912-66-5] had relatively high affinities for the estrogen receptor, but none of the other derivs. was bound to these receptors. Progesterone receptors did not react strongly with any of the tested steroidal nitrosoureas. Structure activity relations for binding to the estrogen receptor are discussed for these potential antitumor alkylating agents.

IT 4350-66-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction with nitrophenyl chloroethylnitrosocarbamoate)

RN 4350-66-7 CAPLUS

CN Androst-5-en-3-ol, 17-amino-, (3β,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L14 ANSWER 26 OF 54 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1981:604265 CAPLUS

DOCUMENT NUMBER: 95:204265

TITLE: Synthesis of 16α-bromoacetoxy androgens and 17β-bromoacetylamino-4-androsten-3-one: potential affinity labels of human placental aromatase

AUTHOR(S): Numazawa, Mitsuteru; Osawa, Yoshio

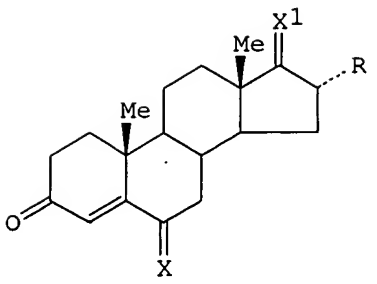
CORPORATE SOURCE: Med. Found. Buffalo, Inc., Buffalo, NY, 14203, USA

SOURCE: Steroids (1981), 38(2), 149-59
CODEN: STEDAM; ISSN: 0039-128X

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



I

AB The treatment of I (X = H2, X1 = O, R = Br; X = X1 = O, R = Br) with 75% aqueous pyridine and N NaOH gave I [X = H2, X1 = O, R = OH (II); X = X1 = O, R = OH (III)]. Reductive amination of 3β-hydroxyandrost-5-en-17-one and 3-methylandrosta-3,5-dien-7-one gave 17β-aminoandrost-5-en-3β-ol acetate salt and 17β-aminoandrost-4-en-3-one hydrochloride (IV), resp. II, III and IV were converted to their bromoacetyl derivs. I [X = H2, X1 = O, R = BrCH2CO2 (V); X = X1 = O, R = BrCH2CO2 (VI)] and 17β-(bromoacetylamino)androst-4-en-3-one. V and VI are active as competitive inhibitors of partially purified human placental aromatase II, and their inhibitory effect is weaker than that of 17β-(bromoacetoxy)androst-4-en-3-one.

IT 79862-64-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

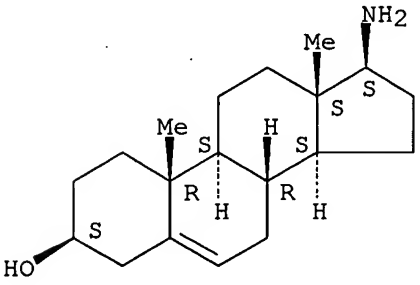
RN 79862-64-9 CAPLUS

CN Androst-5-en-3-ol, 17-amino-, (3β,17β)-, acetate (salt) (9CI) (CA INDEX NAME)

CM 1

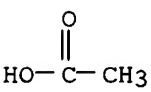
CRN 4350-66-7
CMF C19 H31 N O

Absolute stereochemistry.



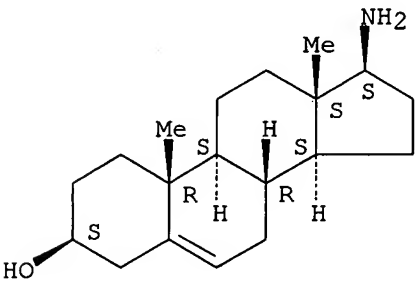
CM 2

CRN 64-19-7
CMF C2 H4 O2



L14 ANSWER 27 OF 54 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1980:567040 CAPLUS
DOCUMENT NUMBER: 93:167040
TITLE: Simple methods to identify proton(s) on a carbon holding an amino group
AUTHOR(S): Narayanan, C. R.; Naik, D. G.
CORPORATE SOURCE: Natl. Chem. Lab., Poona, 411 008, India
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1980), 19B(3), 209-10
CODEN: IJSBDB; ISSN: 0376-4699
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Amine protonation deshields the protons on the C atom α to an amino N atom and nitrosation causes a very large deshielding. But methylation of the amine shields these protons, the di-Me derivative shielding more than the mono-Me derivative Attachment of electroneg. groups such as OH, NH2, and SH deshields adjacent protons, but methylation of these groups shields the same protons, the shielding effect increasing with increasing electronegativity of the atom.
IT 4350-66-7
RL: PRP (Properties)
(NMR of, effect of methylation, protonation of nitrosation on)
RN 4350-66-7 CAPLUS
CN Androst-5-en-3-ol, 17-amino-, (3β,17β)- (9CI) (CA INDEX NAME)

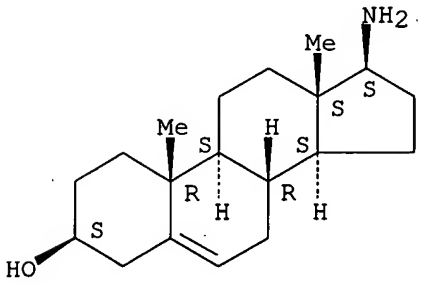
Absolute stereochemistry.



L14 ANSWER 28 OF 54 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1980:407080 CAPLUS
DOCUMENT NUMBER: 93:7080
TITLE: Shielding effect on adjacent proton on methylation of primary amines
AUTHOR(S): Narayanan, C. R.; Naik, D. G.
CORPORATE SOURCE: Natl. Chem. Lab., Poona, 411 008, India
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1979), 18B(6), 533
CODEN: IJSBDB; ISSN: 0376-4699
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The methine proton on a secondary C holding a primary amine is shielded by .apprx.0.5 ppm when the primary amine is dimethylated. As the same proton is deshielded by .apprx.1 ppm when the amine is converted to an amide. Methylation can be used as a complementary or as an independent method to identify the proton.
IT 4350-66-7
RL: PRP (Properties)
(NMR spectrum of)
RN 4350-66-7 CAPLUS

CN Androst-5-en-3-ol, 17-amino-, (3β,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L14 ANSWER 29 OF 54 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:	1978:51082 CAPLUS
DOCUMENT NUMBER:	88:51082
TITLE:	Synthesis of chemical compounds with possible schistosomicidal activity. Part IX. Sultamo steroids. II
AUTHOR(S):	Doss, S. H.; Dimitry, S. S. A.
CORPORATE SOURCE:	Natl. Res. Cent., Cairo, Egypt
SOURCE:	Organic Preparations and Procedures International (1977), 9(6), 299-303 CODEN: OPPIAK; ISSN: 0030-4948
DOCUMENT TYPE:	Journal
LANGUAGE:	English

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

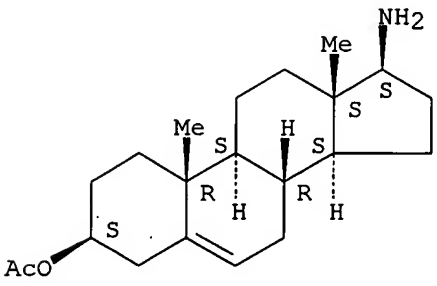
AB 17β-Aminoandrost-5-en-3β-yl acetate (I, R = R1 = H) in benzene was treated with Cl(CH2)4SO2Cl overnight to give I [R = H, R1 = SO2(CH2)4Cl], which when treated with 10% NaOH on a water bath 2 h gave I [RR1 = (CH2)4SO2]. Also prepared were II [R2 = 3-, 4-(1,1-dioxotetrahydrothiazin-2-yl)] and III.

IT 33640-28-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(sulfonylation of, by chlorobutanesulfonyl chloride)

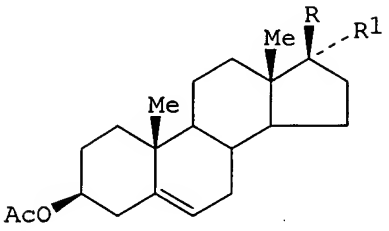
RN 33640-28-7 CAPLUS

CN Androst-5-en-3-ol, 17-amino-, acetate (ester), (3β,17β)- (9CI) (CA INDEX NAME)

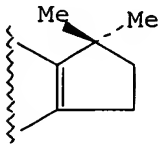
Absolute stereochemistry.



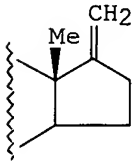
L14 ANSWER 30 OF 54 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1977:5674 CAPLUS
DOCUMENT NUMBER: 86:5674
TITLE: Substitution and elimination reactions of steroid tertiary C-17 trifluoroacetates
AUTHOR(S): Ortar, Giorgio; Romeo, Aurelio
CORPORATE SOURCE: Ist. Chim. Farm., Univ. Rome, Rome, Italy
SOURCE: Journal of Organic Chemistry (1976), 41(25), 4036-8
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



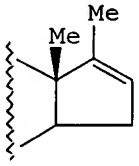
I



II



III



IV

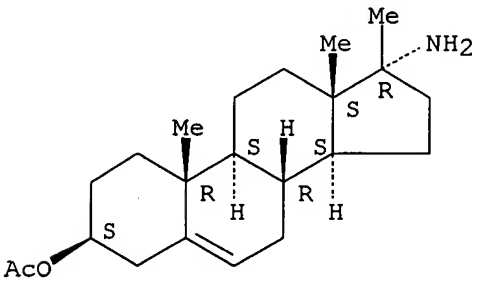
AB Solvolysis of androstenyl trifluoroacetates I (R = O2CCF3, R1 = Me; R = Me, R1 = O2CCF3) in protic and aprotic solvents gave a mixture of elimination products, II, III, and IV, with minor amts. of substitution products. II was produced in larger amts. from the solvolysis of I (R = Me, R1 = O2CCF3).

IT 60756-79-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and acetylation of)

RN 60756-79-8 CAPLUS

CN Androst-5-en-3-ol, 17-amino-17-methyl-, acetate (ester), (3β,17α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



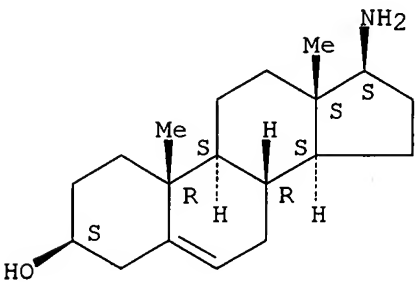
L14 ANSWER 31 OF 54 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1976:587179 CAPLUS

DOCUMENT NUMBER: 85:187179
TITLE: Structure-function activity of azasterols and nitrogen-containing steroids
AUTHOR(S): Kabara, Jon J.; Holzschu, Donald L.; Catsoulacos, Demokritos P.
CORPORATE SOURCE: Dep. Biomech., Michigan State Univ., East Lansing, MI, USA
SOURCE: Lipids (1976), 11(10), 755-62
CODEN: LPDSAP; ISSN: 0024-4201
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Thirty-nine nitrogen-containing steroids were tested against 2 gram-neg., 5 gram-pos., and 2 yeast organisms. Although low minimal inhibitory concentration (MIC) values were recorded for sterol producing yeast, growth of bacteria which contain no sterols was also inhibited. Structure-function studies provided no relation between biol. activity and hypocholesteremic effects of these azasteroids. Amino and azasteroids may be membrane effectors which, in the case of mitochondria, lead to changes in adenosine triphosphate levels and(or) dehydrogenase activity. Their effects on sterol metabolism, therefore, may be of secondary consideration.

IT 4350-66-7
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(antimicrobial activity of)
RN 4350-66-7 CAPLUS
CN Androst-5-en-3-ol, 17-amino-, (3β,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



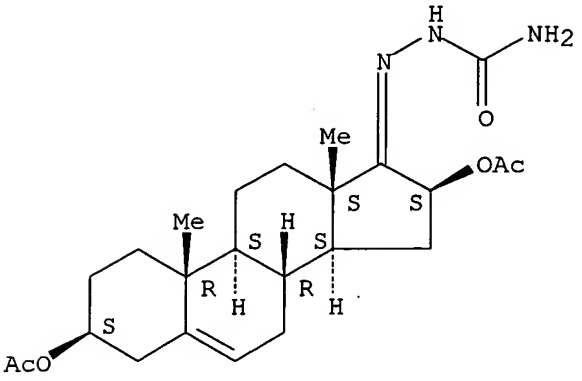
L14 ANSWER 32 OF 54 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1976:494597 CAPLUS
DOCUMENT NUMBER: 85:94597
TITLE: Preparation of 3β,16β-dihydroxyandrost-5-en-17-one: stabilization of its α-ketolic group toward alkali by formation of a semicarbazone
AUTHOR(S): Mattox, Vernon R.; Nelson, Albert N.
CORPORATE SOURCE: Mayo Clin. and Mayo Found., Rochester, MN, USA
SOURCE: Steroids (1976), 27(6), 845-9
CODEN: STEDAM; ISSN: 0039-128X
DOCUMENT TYPE: Journal
LANGUAGE: English

AB 3β,16β-Diacetoxyandrost-5-en-17-one was converted into its semicarbazone (I). Deacetylation of I in alkaline media followed by hydrolysis in the presence of MeCOCO2H-HOAc gave 3β,16β-dihydroxyandrost-5-en-17-one in 65% overall yield.

IT 60533-44-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and alkaline hydrolysis of)

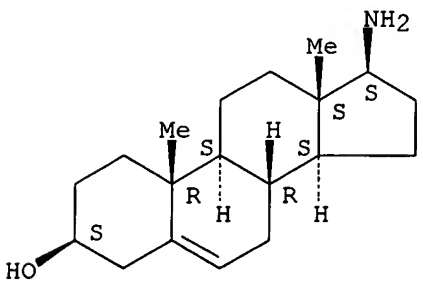
RN 60533-44-0 CAPLUS
CN Androst-5-en-17-one, 3,16-bis(acetyloxy)-, 17-[(aminocarbonyl)hydrazone], (3β,16β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



L14 ANSWER 33 OF 54 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1975:401064 CAPLUS
DOCUMENT NUMBER: 83:1064
TITLE: Inhibition of glucose-6-phosphate dehydrogenase by steroids. VIII. Effects of synthetic C19- and C20-steroids upon placental glucose-6-phosphate dehydrogenase
AUTHOR(S): Belovsky, O.; Benes, P.; Oertel, G. W.
CORPORATE SOURCE: Abt. Exp. Endokrinol., Univ. Frauenklin, Mainz, Fed. Rep. Ger.
SOURCE: Journal of Steroid Biochemistry (1974), 5(7), 697-700
CODEN: JSTBBK; ISSN: 0022-4731
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The alkyl esters of 5-etienic acid [10325-79-8] with a chain length of C1-C4 were effective inhibitors of human placental glucose-6-phosphate dehydrogenase [9001-40-5], whereas the free 5-etienic acid as well as its N-butyl amide [55207-11-9] lacked any inhibitory properties. Thus, the findings support the conclusion that 5-etienic acid methyl ester [7254-03-7] may exert certain biol. effects by suppression of glucose-6-phosphate dehydrogenase activity.
IT 4350-66-7
RL: BIOL (Biological study)
(glucose phosphate dehydrogenase inhibition by, in placenta)
RN 4350-66-7 CAPLUS
CN Androst-5-en-3-ol, 17-amino-, (3β,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

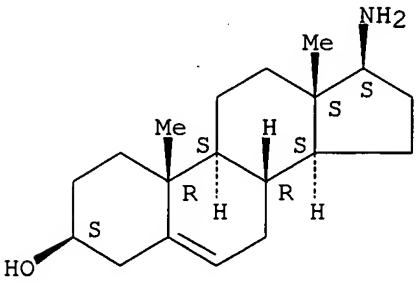


L14 ANSWER 34 OF 54 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1974:505812 CAPLUS
DOCUMENT NUMBER: 81:105812
TITLE: 3-Oxygenated-17-acylamido androstanes
INVENTOR(S): Arth, Genl E.; Sarett, Lewis H.; Patchett, Arthur A.
PATENT ASSIGNEE(S): Merck and Co., Inc.
SOURCE: U.S., 4 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3821374	A	19740628	US 1972-272837	19720718
PRIORITY APPLN. INFO.:			US 1970-68028 A1	19700828

GI For diagram(s), see printed CA Issue.
AB Androstenes I and II (R = AcNH, R1R2 = O) and II (R = H2N, HCONH; R1 = OH, R2 = H) were prepared from pregnenone III (R = Ac, R1 = AcO, R2 = H). Thus, III (R = Ac, R1 = AcO, R2 = H) underwent successive oximation, Beckmann rearrangement, saponification, and Oppenauer oxidation to give androstenone I (R = AcNH, R1R2 = O), which was dehydrogenated to II (R = AcNH, R1R2 = O). Similarly prepared was III (R = HCONH, R1 = OH, R2 = H).
IT 34386-20-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 34386-20-4 CAPLUS
CN Androst-5-en-3-ol, 17-amino-, hydrochloride, (3β,17β)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



● HCl

L14 ANSWER 35 OF 54 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1973:488735 CAPLUS
DOCUMENT NUMBER: 79:88735
TITLE: Inhibitors of human placental C19 and C21 3β-hydroxysteroid dehydrogenases
AUTHOR(S): Goldman, Allen S.; Sheth, Kishore
CORPORATE SOURCE: Div. Exp. Pathol., Child. Hosp., Philadelphia, PA, USA
SOURCE: Biochimica et Biophysica Acta, Enzymology (1973), 315(2), 233-49
CODEN: BBEZAD; ISSN: 0924-1086
DOCUMENT TYPE: Journal

LANGUAGE: English

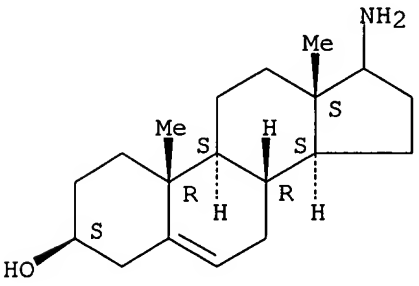
AB The effect of several natural and synthetic steroids on the activity of Δ5,3β-hydroxy steroid dehydrogenase in homogenates of human placenta was measured by a method which determined the conversion of labeled dehydroepiandrosterone to androstenedione, testosterone, 17β-estradiol, and estrone and of labeled pregnenolone to progesterone and 5α-pregnane-3,20-dione. The method utilized thin-layer chromatog. systems and radio-gas-liquid chromatog. which separated each steroidal product from each substrate. Enzymic activity was determined rapidly and efficiently in multiple samples of very small amts. of tissue. It was demonstrated that nucleophilic substituents on, adjacent to, or at some distance from the site on the steroid mol. catalyzed by the enzyme may increase the inhibitory capacity of the parent steroid or confer inhibitory capacity to an inactive parent steroid. Selective inhibition of the conversion of pregnenolone by several steroids demonstrated substrate specificity of the C19- and C21-3β-hydroxy steroid dehydrogenases. The most potent of these selective inhibitors were, in descending order of inhibitory potency: 2α-bromo-17β-hydroxy-5α-androstan-3-one 17β-acetate; 3β,17α-dihydroxy-5-pregnene-3,20-dione-16α-nitrile; 3β-hydroxy-5α-pregnan-20-one-16α-nitrile; and 2α-bromo-5α-androstane-3,17-dione. The most potent inhibitors of both enzymes were 2α-cyano-4,4-dimethyl-2,3α-tetrahydrofuran-2-spiro-17,5-androsten-3-one and 6,16β-dimethyl-3β-hydroxy-5-pregnene-16α-nitrile. The usual form of cyanoketone (2α-cyano-17β-hydroxy-4,4,17α-trimethyl-5-androsten-3-one) did not inhibit either enzyme.

IT 2723-01-5
RL: BIOL (Biological study)
(hydroxy steroid dehydrogenase inhibition by)

RN 2723-01-5 CAPLUS

CN Androst-5-en-3-ol, 17-amino-, (3β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L14 ANSWER 36 OF 54 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1973:11452 CAPLUS

DOCUMENT NUMBER: 78:11452

TITLE: 17-Aminoacylamido steroid antidepressants

AUTHOR(S): Flouret, George; Cole, Wayne; Biermacher, Ursula

CORPORATE SOURCE: Res. Div., Abbott Lab., North Chicago, IL, USA

SOURCE: Journal of Medicinal Chemistry (1972), 15(12), 1281-3
CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 78:11452

AB 17β-(N,N-dimethylglycinamido-5-androsten-3β-ol [37571-74-7], 17β-(L-alaninamido)-5-androsten-3β-ol (I) [37571-75-8], 17β-(β-alaninamido)-5-androsten-3β-ol [37571-76-9], and 17β-(L-threoninamido)-5-androsten-3β-ol [37571-77-0] showed weak to moderate antidepressant activity when given to mice orally or i.p. at

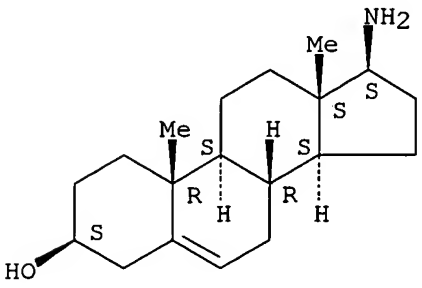
30-50 mg/kg. To synthesize I, 17β-amino-5-androsten-3β-ol was condensed with benzyloxycarbonylalanine p-nitrophenyl ester and the protecting group was reductively removed with Na in liquid NH3-dioxane.

IT 4350-66-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with benzyloxycarbonylalanine p-nitrophenyl ester)

RN 4350-66-7 CAPLUS

CN Androst-5-en-3-ol, 17-amino-, (3β,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L14 ANSWER 37 OF 54 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1971:496995 CAPLUS

DOCUMENT NUMBER: 75:96995

TITLE: Steroidal androgen biosynthesis inhibitors

AUTHOR(S): Arth, G. E.; Patchett, A. A.; Jefopoulus, T.; Bugianesi, R. L.; Peterson, L. H.; Ham, E. A.; Kuehl, F. A., Jr.; Brink, N. G.

CORPORATE SOURCE: Synth. Chem. Dep., Merck Sharp and Dohme Res. Lab., Rahway, NJ, USA

SOURCE: Journal of Medicinal Chemistry (1971), 14(8), 675-9
CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: English

GI For diagram(s), see printed CA Issue.

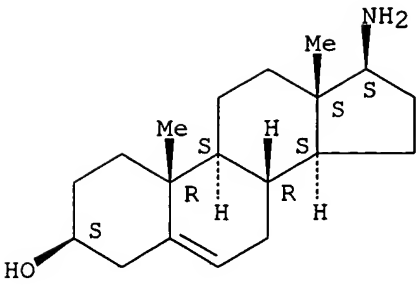
AB By a variety of methods including Beckman rearrangement O-deacylation, and Oppenauer oxidation, a series of 17β-acylaminoandrost-4-en-3-ones, such as 17β-formamidoandrost-4-en-3-one (I), 17β-ureidoandrosta-1,4-diene-3-one, and 17β-acetamidoandrost-4-en-3β-ol, was synthesized and tested as inhibitors of 17,20-lyase. These compds. inhibited androgen synthesis in vitro in a rat testicular microsomal preparation and in vivo. The steroidal androgen synthesis inhibitors were more specific in their action than nonsteroidal inhibitors previously reported. High inhibition was associated with androst-4-3n-3-ones bearing substituents C-17β closely related to CH3CO2 in size and polarity. Larger groups at C-17 were associated with decreased activity as was epimerization at C-17 or by 17α substitution. These inhibitors apparently resembled an intermediate transition state on the enzyme at which a separation of the C-17,20 atoms occurred. The inhibitory compds., however, lack a 17α-OH group and therefore there is no pathway to products.

IT 34386-20-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 34386-20-4 CAPLUS

CN Androst-5-en-3-ol, 17-amino-, hydrochloride, (3β,17β)- (9CI) (CA INDEX NAME)

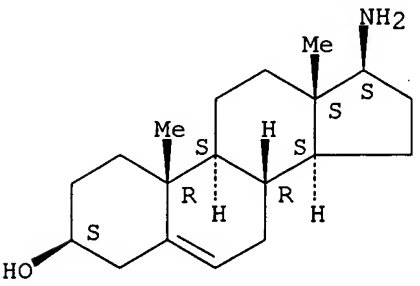
Absolute stereochemistry.



● HCl

L14 ANSWER 38 OF 54 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1971:449429 CAPLUS
DOCUMENT NUMBER: 75:49429
TITLE: Cardiotonic steroid analogs. IX. Synthesis of N-(steroid-17-yl)-maleimide
AUTHOR(S): Nambara, Toshio; Shibata, Toshiyuki; Mimura, Masaaki; Hosoda, Hiroshi
CORPORATE SOURCE: Pharm. Inst., Tohoku Univ., Sendai, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1971), 19(5), 954-8
CODEN: CPBTAL; ISSN: 0009-2363
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Modified cardenolides with the maleimide function, a typical SH-blocking group, were prepared E.g., condensation of 17α-amino-5α-androstan-3β-ol maleic anhydride gave a maleamic acid, which with Ac2O gave the maleimide by intramol. dehydration. Isomaleimides were also described. About 10 compds. were prepared
IT 4350-66-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 4350-66-7 CAPLUS
CN Androst-5-en-3-ol, 17-amino-, (3β,17β)- (9CI) (CA INDEX NAME)

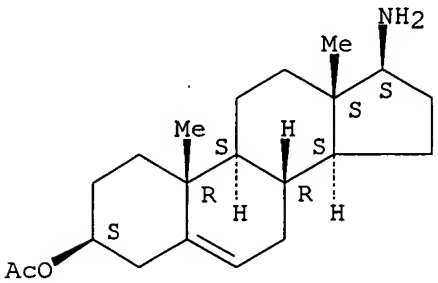
Absolute stereochemistry.



L14 ANSWER 39 OF 54 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1971:416577 CAPLUS
DOCUMENT NUMBER: 75:16577
TITLE: Antimicrobial activities of aminosteroids. II
AUTHOR(S): Yagishita, Koki
CORPORATE SOURCE: Nihon Univ., Tokyo, Japan
SOURCE: Nihon Daigaku Nojuigakubu Gakujutsu Kenkyu Hokoku

(1971), No. 28, 8-17
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Of the 13 steroid compds. tested, 17β-amino-3,5-androstadiene and 17β-amino-5-androstene and their hydrochlorides showed the strongest antimicrobial activity against 44 species of bacteria, mycobacteria, yeast, fungi, molds, and plant pathogens. They inhibited the growth of gram-pos. bacteria at 5-10, gram-neg.. bacteria at 50-100, mycobacteria at 1-5, Candida albicans at 1, Penicillium chrysogenum and P. citricum at 1, and Gibberella fujikuroi at 10 μg/ml medium. 3,5-Androstadien-17-one, 3,5-androstadien-17-ol, 17-hydroxyimino-3,5-androstadiene, and 17β-amino-5-androsten-3β-ol acetate were inactive against all the microorganisms tested. The 17β-amino group played an important role in the antimicrobial activity, but when OH was introduced at C-3 of the A ring, the 17β-amino steroid became inactive.
IT 33640-28-7
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(bactericidal activity of)
RN 33640-28-7 CAPLUS
CN Androst-5-en-3-ol, 17-amino-, acetate (ester), (3β,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L14 ANSWER 40 OF 54 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1968:73128 CAPLUS
DOCUMENT NUMBER: 68:73128
TITLE: X-ray diffraction powder data for steroids. VIII
AUTHOR(S): Parsons, Jonathan; Holcomb, John B.; Beher, William T.
SOURCE: DACWF Title (1967), 15(2), 133-8
CODEN: HEHJAX
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Data on the following 26 new steroids were included in this supplement: 2α-bromo-5α-cholestan-3-one, m. 173.5-74°; androsta-5,16-dien-3β-ol, m. 140-1.5°; androst-5-en-3β-ol, m. 127-8°; 5α-pregnan-20α-ol, m. 143-4.5°; 5α-pregnan-20β-ol, m. 141-3°; androst-5-en-3β,17α-diol, m. 197-9°; 5α-pregnan-3β,20α-diol diacetate, m. 168-70°; 5β-pregnan-3α,20β-diol diacetate, m. 111-13°; androsta-3,5-dien-17-one, m. 83-5°; androsta-4,16-dien-3-one, m. 134-6°; androst-4-en-3-one, m. 105.5-6.5°; androsta-4,6-dien-17β-ol-3-one, m. 203-5°; 17α-methyl-androsta-4,9(11)-dien-17β-ol-3-one m. 170-2°; 5β-androstan-17α-ol-3-one, m. 142-4°; 3α-acetoxy-5β-pregnan-20-one, m. 100-2°; androst-4-en-16α-ol-3,17-dione, m. 184-6°; androst-5-en-3-ol-16,17-dione 16-oxime, m. 148-50°; 3α-acetoxy-5β-pregnan-12,20-dione, m. 131-4°;

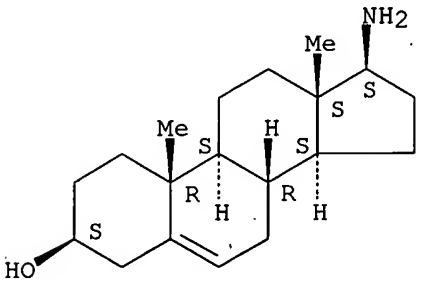
3β-acetoxy-5α-pregnan-16-en-12,20-dione-3β-acetoxy, m. 177-9°; androst-4-en-11α,17β-diol-3-one, m. 180-2°; 17α-methyl-androst-4-en-11α,17β-diol-3-one, m. 156-9°; 5β-pregnan-3α,21-diol-20-one 21-acetate, m. 182-4°; pregn-4-en-17α,20β,21-triol-3-one, m. 188-90°; pregn-4-en-11β,17α,20α, 21-tetrol-3-one, m. 258-60°; 17β-amino-androst-5-en-3β-ol, m. 165-7°.

IT 4350-66-7
RL: PRP (Properties)
(x-ray diffraction data for)

RN 4350-66-7 CAPLUS

CN Androst-5-en-3-ol, 17-amino-, (3β,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L14 ANSWER 41 OF 54 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1967:95379 CAPLUS

DOCUMENT NUMBER: 66:95379

TITLE: Steroids and related natural products. XXXVI. Structural biochemistry. 4. 3β-Hydroxy-17β-(L-prolyl)aminoandrost-5-ene

AUTHOR(S): Pettit, George R.; Smith, Robert Lawrence; Das Gupta, Arun K.; Occolowitz, John L.

CORPORATE SOURCE: Univ. of Maine, Orono, ME, USA

SOURCE: Canadian Journal of Chemistry (1967), 45(5), 501-7
CODEN: CJCHAG; ISSN: 0008-4042

DOCUMENT TYPE: Journal

LANGUAGE: English

GI For diagram(s), see printed CA Issue.

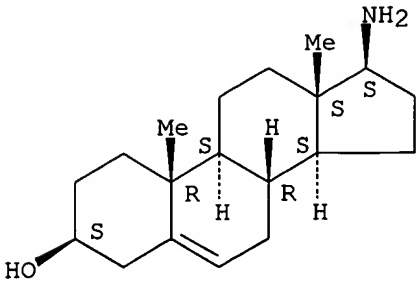
AB cf. CA 65, 20208f; 66, 76285e. The synthesis of the title compound I was studied in detail and the following combination of methods was found reliable and convenient. The oxime derivative Ib of ketone Ia was reduced with Na-EtOH to 3β-hydroxy-17β-amino-androst-5-ene. The configurational assignment for amine IIa was supported by the results of a comparison with the 17α-epimer and by a proton magnetic resonance study of both isomers. Selective reaction between amine IIa and carbobenzyloxy-L-proline was achieved with Woodward's reagent K. Of several procedures explored for removing the carbobenzyloxy protecting group from amide IIc, Pd-catalyzed hydrogenolysis proved quite satisfactory. Hydrogenolysis of carbamate IIb to yield prolyl amide I was realized without affecting the Δ5-olefin system. A mass spectral study of amine I and the corresponding 5α-derivative (III) confirmed the latter observation. A brief review of procedures for the synthesis of steroidal amines is also presented.

IT 4350-66-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 4350-66-7 CAPLUS

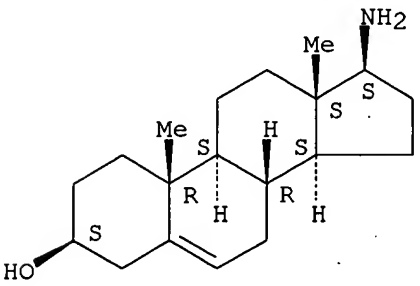
CN Androst-5-en-3-ol, 17-amino-, (3β,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L14 ANSWER 42 OF 54 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1966:4310 CAPLUS
DOCUMENT NUMBER: 64:4310
ORIGINAL REFERENCE NO.: 64:778h,779a
TITLE: The synthesis of 17α-amino-5-androsten-3β-ol. N.M.R. spectra of 17-substituted androstanes
AUTHOR(S): Robinson, C. H.; Ermann, C.; Hollis, D. P.
CORPORATE SOURCE: Johns Hopkins Univ., School of Med., Baltimore, MD
SOURCE: Steroids (1965), 6(5), 509-18
CODEN: STEDAM; ISSN: 0039-128X
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The synthesis of 17α-amino-5-androsten-3β-ol is described. Assignment of configuration at C-17, in 17-substituted 16-unsubstituted steroids, by N.M.R. spectroscopy has been put on a firm basis.
IT 4350-66-7, Androst-5-en-3β-ol, 17β-amino-
(nuclear magnetic resonance of)
RN 4350-66-7 CAPLUS
CN Androst-5-en-3-ol, 17-amino-, (3β,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L14 ANSWER 43 OF 54 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1965:454913 CAPLUS
DOCUMENT NUMBER: 63:54913
ORIGINAL REFERENCE NO.: 63:10028g-h,10029a-c
TITLE: 3-Glycosides of 17-amino-3-hydroxy-5-androstenes
INVENTOR(S): MacPhillamy, Harold B.; Lucas, Robert A.
PATENT ASSIGNEE(S): CIBA Corp.
SOURCE: 4 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3189597		19650615	US 1959-797040	19590304
PRIORITY APPLN. INFO.:			US	19590304

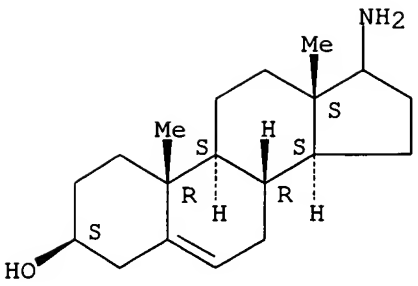
AB The title compds. can be used as hypertensive agents. A solution of 2 g. of 3β-hydroxy-17ξ-trifluoroacetamido-5α-androstane in 125 cc. of dry CHCl3, was stirred for 24 hrs. at room temperature with 5 g. of Ag2O, 5 g. acetobromglucose, and 5 g. of pulverized anhydrous CaSO4. The mixture was filtered, and the filtrate concentrated in vacuo and recrystd. from EtOH. The 3-D-β-tetra acetylglucoside of 3β-hydroxy-17ξ-trifluoroacetamido-5α-androstane (I), m. 227-9.5° after recrystn. from EtOH. A mixture of 1.27 g. of I, 20 cc. of EtOH, 2 cc. of H2O, and 1 g. of KOH was refluxed for 3 hrs. The solution was poured into ice-H2O and the 3-D-β-glucoside of 17ξ-amino-3β-hydroxy-5α-androstane, m. 225-60°, was filtered off. The crystals were dissolved in a little EtOH containing a few drops of concentrated HCl. The HCl salt of 3-D-βglucoside of 17ξ-amino-3βhydroxy-5α-androstane was filtered off and washed with EtOH, m. <300°. The starting material used above was prepared by taking a solution of 10 g. of 3β-hydroxy-5-androsten-17-one in 150 cc. of hot absolute EtOH and treating with a solution of 2.78 g. of NH2OH.HCl in a min. amount of hot H2O followed by a solution of 3.28 g. anhydrous NaOAc in a min. amount of hot H2O. The mixture was refluxed for 2 hrs., cooled, and diluted with 350 cc. of cold H2O. The mixture was chilled, filtered and the crystalline 3β-hydroxy-17-oximino-5-androstene (II), was washed with H2O, m. 198-200°. A hot solution of 11.3 g. of II in 830 cc. of glacial AcOH was cooled and treated with H at atmospheric pressure in the presence of 2 g. of PtO2. The catalyst was filtered off, the filtrate concentrated to dryness in vacuo, the residue dissolved in warm MeOH, and made basic with dilute aqueous NaOH. The crystalline 17ξ-amino-3β-hydroxy-5α-androstane (III) was filtered off and recrystd. from aqueous MeOH, m. 163-4.5°. Four and 16 hundredths g. of III was dissolved in 35 cc. of dry pyridine and 7 cc. of trifluoroacetic anhydride was added. The solution was allowed to stand at room temperature for 2 hrs. and poured into cold H2O. The yellow gum crystallizes with stirring. The crystals were filtered off, dissolved in Et2O, and the solution washed with dilute aqueous HCl and H2O. On concentration it yields 6.9 g. of yellow crystals. These were dissolved in 350 cc. of EtOH to which was added 13.6 g. of KHCO3 in 175 cc. of cold H2O. After standing at room temperature for 48 hrs., H2O was added and filtered, m. 2025° yield 3.67 g. Similarly prepared were: 3-D-β-tetraacetylglucoside of 3β-hydroxy-17ξ-trifluoroacetamido-5-androstene, m. 204-8°; 3-D-β-glucoside of 17ξamino-3β-hydroxy-5-androstene, m. 276° (decomposition); the HCl salt of 3-D-β-glucoside of 17ξ-amino-3β-hydroxy-5-androstene, m. >300°; 17ξ-amino-3βhydroxy-5-androstene; m. 161-4°; 3β-hydroxy-17ξ-trifluoroacetamido-5-androstene, m. 222-7°; 3-D-β-tetraacetylarabinoside of 3β-hydroxy-5α-androstan-17-one, m. 186°; 3-D-β-tetraacetylarabinoside of 17ξ-amino-3β-hydroxy-5α-androstane, m. 100-5°; 3-D-β-arabinoside of 17ξ-amino-3β-hydroxy-5α-androstane, m. 235° (decomposition).

IT 2723-01-5, Androst-5-en-3β-ol, 17-amino-
(preparation of)

RN 2723-01-5 CAPLUS

CN Androst-5-en-3-ol, 17-amino-, (3β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L14 ANSWER 44 OF 54 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1965:3300 CAPLUS
DOCUMENT NUMBER: 62:3300
ORIGINAL REFERENCE NO.: 62:631a-e
TITLE: Dimedon (5,5-dimethylcyclohexane-1,3-dione) as a protecting agent for amine groups in peptide synthesis
AUTHOR(S): Halpern, B.; James, L. B.
CORPORATE SOURCE: Australian Natl. Univ., Canberra
SOURCE: Australian Journal of Chemistry (1964), 17(11), 1282-7
CODEN: AJCHAS; ISSN: 0004-9425
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 62:3300

AB cf. CA 61, 1932g. Dimedon (I) with amino acid esters yielded optically pure enamine derivs., which could be converted through their hydrazides into the corresponding azides. The protecting group can easily be removed from the N-protected peptides with aqueous Br with the formation of 2,2-dibromodimedon (II) and the HBr salt of the corresponding peptide ester. (R = 5,5-dimethyl-2-cyclohexen-1-on-3-yl throughout this abstract) I (0.7 g.) in 15 cc. CHCl3 treated with 1.23 g. H2NCH2CO2CH2Ph.HBr (III.HBr) and 0.5 g. Et3N overnight yielded 1 g. RNHCH2CO2CH2Ph (IV), m. 132° (C6H6). Similarly were prepared the dimedon derivs. of the following compds. [m.p. and [α]D (1%, CHCl3 given]: DL-alanine thiophenyl ester, 115°, --; L-alanine thiophenyl ester, 142°, -263°; L-leucine thiophenyl ester, 147°, -252°; L-leucine Me ester, 129°, -80°; L-valine thiophenyl ester, 133°, -325°; DL-valine nitrophenyl ester, 156°, --; DL-phenylalanine Et ester, 96°, --. The dimedon derivative of the last compound (0.6 g.) stirred 2 hrs. at room temperature with 3.5 cc. 80% N2H4.H2O yielded 0.5 g. DL-phenylalanine hydrazide, m. 148°. Similarly were prepared glycine hydrazide (V), m. 202° (EtOH), DL-leucine hydrazide, m. 160° (AcOEt), and DL-alanine hydrazide, m. 180° (MeOH-Et2O). DL-Alanine thiophenyl ester dimedon derivative (0.3 g.) and III in CHCl3 refluxed 5 hrs. gave 0.3 g. R-DL-Ala-Gly-OCH2Ph, m. 77° (C6H6)(method A). V (0.7g.) in 4 cc. H2O and 3.3 cc. N HCl treated slowly at 0° with 0.23 g. NaNO2 in 5 cc. H2O, the precipitate extracted into CHCl3, and the extract added to 0.8 g. III in 15 cc. CHCl3 at 0°, stirred 1 hr. at 0°, and kept 24 hrs. at room temperature gave 0.8 g. R-Gly-Gly-OCH2Ph, m. 126° (C6H6) (method B). Similarly were prepared the following compds. (m.p. and method of preparation given): R-Gly-DL-Ala-OEt, 140° (C6H6), B; R-L-Leu-Gly-OCH2Ph, 82° (Et2O-petr. ether), A and B [[α]D -44.5° (1%, CHCl3)]; R-DL-Phe-Gly-OCH2Ph, 164° (MeOH-Et2O), B; R-DL-Val-Gly-OCH2Ph, 139° (AcOEt-hexane), A. R-Gly-Gly-OEt (VI) (0.5 g.) in 10 cc. H2O treated with aqueous Br to a persistent yellow color, cooled to 0°, filtered from II, and evaporated gave 0.2 g. Gly-Gly-OEt.HBr, m. 176° (absolute EtOH). Glycine Et ester dimedon derivative (VII) (2 g.) in 10 cc. 5N HCl kept at room temperature overnight yielded glycine-HCl dimedon derivative (VIII.HCl), m. 192°. IV (0.5 g.) treated 1 hr. at room temperature with 5 cc. 36% HBr-AcOH gave VIII.HBr. VIII.HCl (0.9 g.) in CHCl3 treated with 0.55 cc.

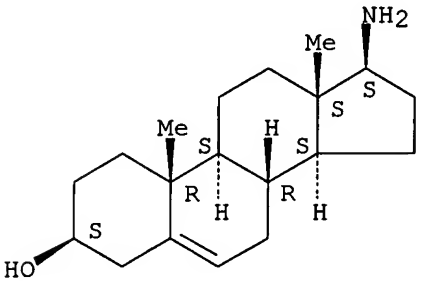
Et3N gave VIII, m. 224° (H2O). VII (0.3 g.) shaken 10 min. with 5 cc. NH4OH (d. 0.88) yielded 0.2 g. glycinamide dimedon derivative, m. 204°. VI (0.4 g.) gave similarly 0.4 g. R-Gly-Gly-NH2, m. 185° (EtOH). VII (0.3 g.) treated overnight at room temperature with 5 cc. 5N NaOH gave glycine dimedon derivative m. 224° (H2O).

IT 4350-66-7, Androst-5-en-3β-ol, 17β-amino-
(peptide derivs.)

RN 4350-66-7 CAPLUS

CN Androst-5-en-3-ol, 17-amino-, (3β,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L14 ANSWER 45 OF 54 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1964:440612 CAPLUS

DOCUMENT NUMBER: 61:40612

ORIGINAL REFERENCE NO.: 61:7075c-e

TITLE: Primary amines

INVENTOR(S): De Ruggieri, Pietro; Gandolfi, Carmelo; Chiaramonti, Domenico

PATENT ASSIGNEE(S): Ormonoterapia Richter Societa per Azioni

SOURCE: 2 pp.

DOCUMENT TYPE: Patent

LANGUAGE: Unavailable

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3137710		19640616	US 1961-123438	19610712
DE 1173484			DE	
GB 960939			GB	
PRIORITY APPLN. INFO.:			IT	19610330

OTHER SOURCE(S): CASREACT 61:40612

AB Primary amines were prepared by the reduction of alkoxyethylideneamino compds., RN:C(OR')Me (R = aliphatic, alicyclic, or araliphatic radical and R' = M or Et), by Na-Hg or Zn-Hg in an acid medium. This methode is effective for compds. such as 16α- or 16β-methyl-17-(alkoxyethylideneamino)androstanes which are subject to strong steric hindrance. Thus, methylamine was prepared by the reaction of 1 part (1-ethoxyethylideneamino)methane, b. 99-100°, in 15 parts 3N HCl with 16 parts Na-Hg for 3 hrs. at 5-10°. The mixture was decanted from the Hg and evaporated to dryness in vacuo to give MeNH2.HCl, m. 226° (alc.-ether). Other amines prepared similarly: ethylamine, b. 16.5°; 1-amino-2-methylpropane, b. 67-9°; 1-aminopentadecane, b2 130-2°; aminocyclohexane, b7 61-3°; 17β-aminoandrost-5-en-3β-ol, b. 166-8°; 3β-acetoxy-17β-aminoandrost-5-ene, m. 133-4° (MeOH); 17β-amino-5α-androstan-3β-ol, m. 160-2° (EtOAc); 3β-acetoxy-17β-amino-5α-androstane, m. 102-5° (MeOH); 16α-methyl-17β-amino-5α-androstan-3β-ol, m. 161-3° (MeOH); 3β-acetoxy-16α-methyl-17β-amino-

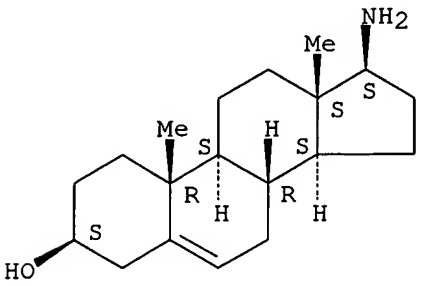
5α-androstane, m. 135-7° (MeOH); 16α-methyl-17β-aminoandrost-5-en-3β-ol, m. 168-71° (MeOH); 16β-methyl-17β-aminoandrost-5-en-3β-ol, m. 194-6° (MeOH); benzylamine, b. 185°.

IT 4350-66-7, Androst-5-en-3β-ol, 17β-amino- (preparation of)

RN 4350-66-7 CAPLUS

CN Androst-5-en-3-ol, 17-amino-, (3β,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L14 ANSWER 46 OF 54 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1964:425599 CAPLUS

DOCUMENT NUMBER: 61:25599

ORIGINAL REFERENCE NO.: 61:4421e-h,4422a

TITLE: Amino steroids. XVI. 17-Monoamino and 3,17-diamino steroids

AUTHOR(S): Schmitt, Josef; Panouse, Jacques J.; Hallot, Andre; Pluchet, Hubert; Comoy, Pierre; Cornu, Pierre Jean

CORPORATE SOURCE: (Centre Rech. Etablissements, Paris

SOURCE: Bulletin de la Societe Chimique de France (1964), (4), 771-5
CODEN: BSCFAS; ISSN: 0037-8968

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

OTHER SOURCE(S): CASREACT 61:25599

GI For diagram(s), see printed CA Issue.

AB The reductive amination of oxo steroids with an amine, Al, HgCl2, and a hydroxylated solvent was applied to I. The reactivity varies in accordance with the nature of the amine as opposed to the steroid, but the only basic substances isolated up to now possess a 17β-amine group. Considerable amts. of neutral by-products are also formed. 3β,17β-Diamino-5β-androstane (II) was prepared by the Beckmann rearrangement of 3β-acetylamino-20-hydroxyimino-5β-pregnane (III). I (5.77 g.) and 10 cc. 20% alc. MeNH2 refluxed 7 hrs. with 5.8 g. Al, 0.3 g. HgCl2, 100 cc. 95% EtOH, and 25 cc. H2O yielded 3.35 g. 17β-methylamino-5-androsten-3β-ol (IV), m. 206-8° (MeOH), [α]20.5D -67.4° (c 1.0) (all rotations were measured in CHCl3). IV (3g.), 9 g. HCO2H, and 3 cc. 40% aqueous CH2O refluxed 6 hrs. while being treated with an addnl. 3 cc. aqueous CH2O gave 2.0 g. 17β-Me2N analog of IV, m. 212-14° (AcOEt). IV (9.06 g.) oxidized during 12 hrs. with 48 cc. cyclohexanone and 3 g. (isoPrO)3Al in 225 cc. refluxing MePh gave 5.4 g. 17β-methylamino-4-androsten-3-one, m. 97-100° (petr. ether), [α]23D 115.1° (c 1.0). I (3.3 g.), 1.5 g. Al, 0.5 g. HgCl2, 7.5 cc. 95% EtOH, 1.5 cc. H2O, and 2 cc. pyrrolidine refluxed 4 hrs. yielded 0.3 g. 17β- pyrrolidino analog of IV, m. 181-5° (petr. ether), [α]28D -54.5° (c 0.5). I (5.8 g.), 3 g. Al, I g. HgCl2, 150 cc. 95% EtOH, 4 cc. H2O, and 2.6 g. N2H4.H2O refluxed 2.5 hrs., and the crude product (6 g.), m. 161-2°, dissolved in 10% aqueous AcOH left 1.2 g. insol. material; the

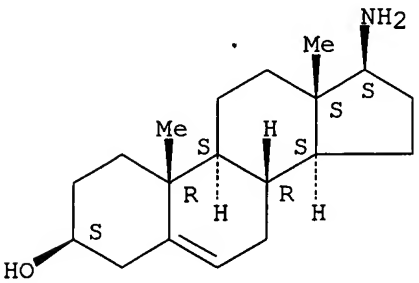
filtrate extracted with AcOEt to remove 1 g. neutral steroids and basified with NH4OH yielded 3.3 g. 17β-NH2 analog of II, m. 158-9° (AcOEt), [α]25D -67.8° (c 0.5, CHCl3), [α]23D -69.4° (c 1.0); N,O-di-Ac derivative m. 192-4° (iso-Pr2O), [α]23D 110 ± 2° (c 0.5); N-benzylidene derivative m. 240° (EtOH). 3β-Acetylamino-20-hydroxyimino-5β-pregnane (5 g.) in 20 cc. dry C5H5N treated with stirring at 0° with 10 cc. POCl3 in 30 cc. dry C5H5N, kept 0.5 hr. at 0° and 4-5 hrs. at room temperature, and poured into 70 cc. concentrated HCl and ice yielded 3.3 g. 3β,17β-diacetylamino-5β-pregnane (V), m. above 270°, sublimed at 240-50°/0.05 mm., [α]24D -13.4° (c 1.0). V (11.2 g.), 54 g. NaOH, 360 cc. 95% EtOH, and 120 cc. H2O heated 4 hrs. at 180° in an autoclave, and the oily product, b0.05 175-90°, treated with 4.7 g. maleic acid yielded the maleate of II, m. 189-90° (decomposition) (H2O).

IT 4350-66-7, Androst-5-en-3β-ol, 17β-amino-
(preparation of)

RN 4350-66-7 CAPLUS

CN Androst-5-en-3-ol, 17-amino-, (3β,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L14 ANSWER 47 OF 54 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1959:67855 CAPLUS

DOCUMENT NUMBER: 53:67855

ORIGINAL REFERENCE NO.: 53:12345b-i,12346a-h

TITLE: Steroids and Walden inversion. XLI. Deamination of some A-nor-, B-nor-, and 17-aminosteroids

AUTHOR(S): Shoppee, C. W.; Sly, J. C. P.

CORPORATE SOURCE: Univ. Coll., Swansea, S. E. Wales

SOURCE: Journal of the Chemical Society (1959) 345-56
CODEN: JCSOA9; ISSN: 0368-1769

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

OTHER SOURCE(S): CASREACT 53:67855

AB cf. C.A. 53, 1412g. NH2 groups attached to flexible 5-membered carbocyclic systems, e.g., cyclopentane, cis-perhydroindan, appear to possess mixed equatorial-axial character. NH2 groups attached to rigid 5-membered carbocyclic systems, e.g. trans-perhydroindan, or to such systems forming part of the nuclei of A-nor-5α-, A-nor-5β- and 14α-steroids, at positions adjacent to a bridgehead, appear to possess either equatorial character disclosed by deamination with retention of configuration, or axial character disclosed by deamination with ready and exclusive elimination (Saytzew orientation); nor steroids with NH2 groups not adjacent to a bridgehead, like aliphatic amino groups, undergo deamination with predominant inversion of configuration accompanied by some elimination. Cholestanol (11 g.) oxidized 2.5 hrs. at 70-5° with 11.5 g. CrO3 in 90% AcOH gave 8.5 g. 2,3-seco-5α-cholestane-2,3-dioic acid, m. 196-7° (Et2O-pentane), which when refluxed with Ac2O and distilled at

300°/1.5 mm. gave 4.6 g. A-nor-5α-cholestan-2-one (I), m. 100-1° (MeOH); oxime m. 201-3° (EtOAc). I by reduction with excess Na in alc., or with (iso-PrO)3Al in slowly distilling (7 hrs.) PrOH gave a mixture of epimeric alcs., which were separated by overnight treatment with 4% alc. solution of digitonin. The insol. digitonide on decomposition with C5H5N gave A-nor-5α-cholestan-2α-ol (II), m. 128°, [α]D 38° (c 1.2, all rotations determined in CHCl3); acetate, m. 80°, [α]D 1° (c 0.8). The material not precipitated by digitonin gave A-nor-5α-cholestan-2β-ol (III), as solvate, m. 120° with transition to needles m. 135°, and after sublimation at 160°/0.5 mm., m. 153°, [α]D 28° (c 1.0); acetate m. 93°, [α]D 25° (c 0.4). I oxime (0.6 g.) refluxed 2 hrs. in 200 cc. AmOH saturated with Na, left 1.5 hrs., and excess Na destroyed with alc. gave 580 mg. of oil which was chromatographed on Al2O3 to give 430 mg. 2β-amino-A-nor-5α-cholestane (IV), b0.01 150°, [α]D 25.5° (c 0.9); acetyl derivative m. 190-1° (Me2CO), [α]D 39° (c 1.0). I oxime (0.5 g.) hydrogenated 6 hrs. with 200 mg. PtO2 in 50 cc. AcOH, the product acetylated, and chromatographed on Al2O3 gave 410 mg. IV N-Ac derivative 3,4-Seco-5-cholestene-3,4-dioic acid (m. 296°) was converted by refluxing with Ac2O and pyrolyzing at 300-20°/ 1.5 mm. into A-nor-5β-cholesten-3-one (V), m. 95°. Hydrogenation of V with PdO in Et2O-AcOH gave A-nor-5β-cholestan-3-one (VI), m. 74°; oxime m. 129-30°, [α]D 74° (c 0.9). VI (250 mg.) in refluxing alc. treated 2 hrs. with Na, isolated, and chromatographed on Al2O3 gave 200 mg. A-nor-5β-cholestan-3β-ol (VII), m. 89° and 107°, [α]D 51° (c 0.9). VI (85 mg.) refluxed 1 hr. with 50 mg. LiAlH4 in Et2O gave 85 mg. of an oil which when chromatographed gave 69 mg. VII. VI (100 mg.) resisted hydrogenation in the presence of 44 mg. PtO2 in Et2O-AcOH containing 2 drops 60% HClO4 and was recovered unchanged (97 mg.). V oxime (0.6 g.) refluxed 3 hrs. in 120 cc. AmOH saturated with Na, left 1 hr., excess Na destroyed, and the mixture poured into H2O, extracted with Et2O, and worked up through the Et2O-insol. HCl salt gave 400 mg. 3β-amino-A-nor-5β-cholestane (VIII), b0.5 181-5°, [α]D 46° (c 0.8); Ac derivative m. 246-7°, [α]D 48° (c 0.9). V oxime (250 mg.) reduced 0.75 hr. in 35 cc. AcOH with 100 mg. PtO2 and H gave 220 mg. of an oil which when chromatographed on Al2O3 gave 3α-amino-A-nor-5β-cholestane (IX), m. 66-8° (MeOH), [α]D 9° (c 1.1); Ac derivative m. 166-8°, [α]D 67° (c 0.9). 3β-Hydroxy-6,7-seco-5α-cholestane-6,7-dioic acid, m. 239°, was oxidized with CrO3 in AcOH to the 3-oxo acid, m. 254-5°. The 3-oxo acid (8.3 g.) refluxed 1 hr. with 215 cc. (CH2OH)2 containing 7 cc. N2H4.H2O with 8.3 g. Na, the temperature allowed to rise to 185° and refluxing continued 6 hrs. gave 7.3 g. 6,7-seco-5α-cholestane-6,7-dioic acid (X), m. 272-3° (AcOH). The Ba salt of X by pyrolysis 3 hrs. at 400-20°/1.5 mm. gave B-nor-5β,8α-cholestan-6-one (XI), m. 92-3° (aqueous Me2CO); oxime m. 185-7° (MeOH). XI (200 mg.) refluxed 1.5 hrs. in 80 cc. AmOH with Na and the crude product chromatographed gave 144 mg. B-nor-5β,8α-cholestan-6α-ol (XII), m. 85-7° (aqueous Me2CO), [α]D 42° (c 1.0). XI (300 mg.) refluxed 14 hrs. with excess LiAlH4 and the 290 mg. of crude product chromatographed on Al2O3 gave 145 mg. unchanged XI and 120 mg. XII. XII left overnight with SOCl2 in C5H5N gave B-nor-8α-cholest-5-ene, an oil. XI oxime (215 mg.) refluxed 4 hrs. with Na and AmOH gave after chromatography 6α-amino-B-nor-5β,8α-cholestane (XIII), b1 220-30°, [α]D 33° (c 1.1); Ac derivative, b0.4 180-90°, m. 178-80° (Me2CO), [α]D 14° (c 1.1).

XI oxime (110 mg.) in 30 cc. dioxane refluxed 16 hrs. with excess LiAlH4 and the crude product acetylated and chromatographed gave XIII Ac derivative

XI oxime (120 mg. resisted hydrogenation in 30 cc. AcOH with 50 mg. PtO2 at 20° and at 55-60° with 4 drops 60% HClO4.

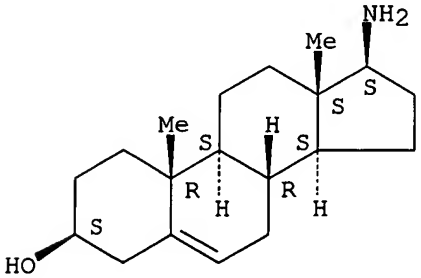
5α-Androstan-17-one oxime (XIV) (1 g.) similarly treated with Na in alc. gave 17β-amino-5α-androstane (XV), m. 138-41° (Me2CO); Ac derivative m. 208-9° (EtOAc). XIV (0.5 g.) in 100 cc. Et2O refluxed 3 hrs. with 1 g. LiAlH4 gave 480 mg. XV. XIV (0.4 g.) hydrogenated 1 hr. with 50 cc. AcOH, 100 mg. PtO2, and 2 drops 60% HClO4 gave 380 mg. XV. 3β-Acetoxy-5-androsten-17-one oxime (XVI) (1.5 g.) similarly reduced with 100 cc. alc. and Na gave 1.3 g. 17β-amino-5-androsten-3β-ol (XVII), m. 160° (EtOAc), [α]D -80° (c 1.0); N,O-di-Ac derivative m. 196°, [α]D -88° (c 0.5). XVI (0.5 g.) in 50 cc. Et2O refluxed 3 hrs. with excess LiAlH4 gave 450 mg. XVII. 3β-Acetoxy-5-etienic acid (0.5 g.) in 20 cc. C6H6 refluxed 2 hrs. with 1 cc. purified SOCl2, the chloride in 60 cc. 2:1 Me2CO-dioxane treated 0.5 hr. with 300 mg. NaN3 in 1.2 cc. H2O, and this material heated 1.5 hrs. in C6H6 gave the 17β-isocyanate, which was refluxed 2 hrs. with 20 cc. AcOH and 7 cc. concentrated HCl, evaporated, and the product refluxed 1 hr. with 15% MeOHNaOH, and the base isolated through the Et2O-insol. HCl salt and chromatographed to give 175 mg. XVII. In the following 6 expts. the steroid amine was dissolved in 50% AcOH and where necessary dioxane added to give full solution NaNO2 (2-3 times the weight of amine) in 50% AcOH was added dropwise at 20°, the mixture left overnight, after basification with 4N NaOH, and the product isolated by extraction with Et2O, and then hydrolysis 0.5 hr. with 5% MeOH-KOH, or acetylation at 100°. (1) IV (205 mg.) gave a product which by chromatography on Al2O3 gave 5 mg. of an oil which did not crystallize, but gave a pos. test for unsatn. with C(NO2)4 in CHCl3, and is probably A-nor-5α-cholest-1(and/or -2)-ene, 125 mg. of II, and 60 mg. of an oil which by acetylation gave IV Ac derivative (2) VIII (0.6 g.) gave a product from which most of the basic material was separated by treatment with dry HCl in Et2O. The Et2O-insol. HCl salt (290 mg.) gave on acetylation VIII Ac derivative The 315 mg. of residue by chromatography gave: (a) 177 mg. A-norcholest-3(5)-ene (XVIII), m. 80°, [α]D 53° (c 1.1); (b) 119 mg. VII; and (c) 14 mg. of oil, which on acetylation gave VII Ac derivative (3) IX (210 mg.) gave 195 mg. of crude product which on chromatography gave (a) 82 mg. XVIII, and (b) 105 mg. oils which on acetylation gave IX Ac derivative (4) XIII (300 mg.) gave 280 mg. crude product which on chromatography gave (a) 50 mg. B-nor-8α-cholest-5-ene, noncryst. but gave a pos. C(NO2)4 test; (b) 146 mg. of a substance, C26H46ON2, m. 121° and 136-8°, and (c) 75 mg. of oil which on acetylation gave XIII Ac derivative (5) XV (130 mg.) gave 125 mg. 5α-androstan-17β-ol, m. 168-70° (hexane). (6) XVII (0.5 g.) gave 485 mg. androst-5-ene-3β,17β-diol, m. 177-80° (EtOAc). Complete absence of elimination products in the deamination of 17β-amino steroids may reflect the presence of the angular Me group on the adjacent bridgehead C atom and suggests that a diazonium ion, rather than a carbonium ion, is the important intermediate.

IT 4350-66-7, Androst-5-en-3β-ol, 17β-amino-
(preparation of)

RN 4350-66-7 CAPLUS

CN Androst-5-en-3-ol, 17-amino-, (3β,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



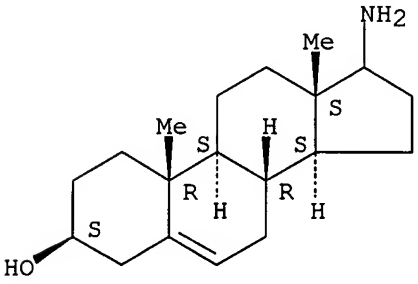
L14 ANSWER 48 OF 54 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1956:20135 CAPLUS
DOCUMENT NUMBER: 50:20135
ORIGINAL REFERENCE NO.: 50:4181f-i
TITLE: The Beckmarnn rearrangement of 20-oxo steroid oximes
AUTHOR(S): Schmidt-Thome, Josef
SOURCE: Chemische Berichte (1955), 88, 895-900
CODEN: CHBEAM; ISSN: 0009-2940
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
OTHER SOURCE(S): CASREACT 50:20135

AB The Beckmann rearrangement of 20-oxo steroid oximes leads to the corresponding amines. The best yield is obtained when a mixture of 5-10 parts pyridine to 1 part POCl3 at 0° to -10° is used. 3β-Acetoxy-17-acetamido-5-androstene (I), m. 193°, is obtained quantitatively from the oxime of pregnenolone acetate. No trace of 3β-acetoxy-5-etiocholenic acid methylamide was found, indicating that the OH group on the N is trans to ring D. In a similar manner 17-acetamido-5-androsten-3β-ol (II), m. 268-71°, is obtained from pregnenolone oxime. II may also be obtained from I by partial saponification

Mixts. of pyridine with other acid chlorides lead to lower yields. The 17-acetamido group in I and II is very stable and acid hydrolysis proceeds only with low yields and partial decomposition Alkali hydrolysis at 160-80°, using alc. alkali in a sealed tube or saponification at normal pressure in boiling glycol yields 90% 17-amino-5-androsten-3β-ol (III). The reaction proceeds with equally good yield in the saturated series. Thus 17-aminoandrostan-3β-ol (acetate, m. 228°) is obtained from the oxime of allopregnan-3β-ol-20-one acetate with 3β-acetoxy-17-acetamidoandrostane (IV), m. 195-6°, as the intermediate. 17-Aminoetiocholan-3β-ol is obtained from the oxime of pregnan-3β-ol-20-one acetate. IV may also be obtained on reduction of I.

IT 2723-01-5, 5-Androsten-3β-ol, 17-amino-
(preparation of)
RN 2723-01-5 CAPLUS
CN Androst-5-en-3-ol, 17-amino-, (3β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L14 ANSWER 49 OF 54 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1954:77780 CAPLUS
DOCUMENT NUMBER: 48:77780
ORIGINAL REFERENCE NO.: 48:13738b-i
TITLE: 17-Amino steroids
INVENTOR(S): Schmidt-Thome, Joseph
PATENT ASSIGNEE(S): Farberke Hoechst AG vorm. Meister Lucius & Bruning
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2655519		19531013	US 1952-278131	19520322

AB 17-Acetamido steroids (I) are prepared in almost quant. yields by the rearrangement with POCl3 and pyridine of 20-oximes of steroids which contain a OH group or an AcO group in the 3-position. Thus, 3β-acetoxy-5-pregnen-20-one oxime 5 g. in dry pyridine 20 treated dropwise with cooling and stirring with a mixture of pyridine 30 and POCl3 10, the mixture let stand 3 hrs. at 0°, poured into ice and concentrated HCl 70 cc., let stand some time, and the precipitate washed with H2O and recrystd. from MeOH gave 3β-acetoxy-17-acetamido-5-androstene (II), 474 g. (95%), small crystals, m. 193° (from aqueous EtOH). 3-Hydroxy-5-pregnen-20-one oxime 1 g. rearranged similarly, the crude product dissolved in EtOH, filtered, and the filtrate concentrated with evaporation and gradual addition of H2O yielded 3β-hydroxy-17-acetamido-5-androstene 600 mg. (III), plates, m. 268-71° (from aqueous EtOH). 3β-Acetoxyallopregnen-20-one oxime 300 mg. in pyridine 4 treated with POCl3 1.6 in pyridine 4, and the mixture let stand 2 hrs. at 0° and poured into ice and concentrated HCl 11 cc., and the precipitate washed with H2O yielded crude 3β-acetoxy-17-acetamidoandrostane (IV) 290 mg. (97%), which, recrystd. with C from aqueous EtOH, gave the pure product, m. 195-6°. U.S. 2,655,520 describes the hydrolysis of the I to the 17-amino steroids by treatment with NaOH or KOH in monohydric or polyhydric alcs. or organic bases, such as H2N(CH2)2OH or quinoline. Thus, II 700 mg. in EtOH 20 cc. treated with NaOH 3 g. in H2O 10 cc., the mixture heated 4 hrs. in a sealed tube at 180°, poured into H2O, extracted with Et2O, the extract washed with H2O, dried, evaporated, and the residue treated with glacial AcOH gave the acetate (V) of 3β-hydroxy-17-amino-5-androstene (VI) 620 mg. (95%), m. 227-30° (from EtOH-EtOAc); similar results were obtained with KOH and MeOH, PrOH, iso-PrOH, (CH2OH)2, or glycerol. V 1 g. suspended in MeOH and treated with a few drops of aqueous NaOH, the solution poured into H2O, and the precipitate dried on the water bath yielded crude VI 860 mg. (95%), m. 162° (from aqueous MeOH). II 2 g. hydrolyzed similarly, the mixture poured into H2O, and the precipitate washed with H2O gave directly VI 1.45 g. (91%), m. 162°. Crude VI 500 mg. in EtOH 5 cc. treated with freshly distilled BzH 0.2 cc. deposited the 17-PhCH:N derivative (VII), m. 232° (recrystd. from BuAc, m. 234°). VII 200 mg. in EtOH 5 and concentrated HCl 0.5 cc. refluxed 10 min., cooled, and the precipitated HCl salt filtered off and treated with MeOH and a few drops of aqueous NaOH gave VI. III 1 g. heated 4 hrs. in a sealed tube with EtOH 30 cc. and NaOH 3 g. at 160-80°, the mixture poured into H2O, extracted with Et2O, and the extract washed with H2O, dried, concentrated to about 100 cc., and treated with (CO2H)2 in Et2O gave VI. IV 250 mg. in EtOH 10 cc. heated 2 hrs. in a sealed Cu tube with NaOH 1.25 g. at 180° gave similarly 3β-hydroxy-17-aminoandrostane (VIII) 180 mg. (95%), m. 150°; the crude product dissolved in Et2O, and the solution dried, concentrated, and mixed with a few drops of glacial AcOH gave the acetate of VIII, m. 217° (recrystd. from MeOH-EtOAc, m. 228°). II 1.8 g. in (CH2OH)2 100 cc. refluxed 3 hrs. with KOH 15 g., the solution poured into H2O, extracted with Et2O, and the extract washed with H2O, dried, concentrated to about 100 cc., and treated with AcOH gave V. III 500 mg. refluxed 2 hrs. with KOH 5 g. in (CH2OH)2 30 cc., and the mixture poured into H2O gave VI 370 mg. (85%), m. 160°; similar results were obtained by heating the mixture

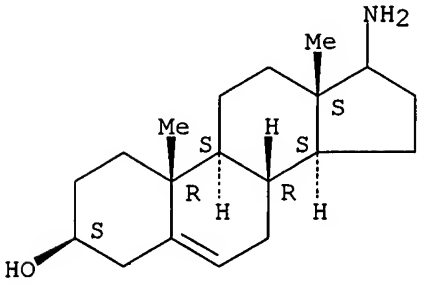
4.5 hrs. at 180°.

IT 2723-01-5, 5-Androsten-3β-ol, 17-amino-
(preparation of)

RN 2723-01-5 CAPLUS

CN Androst-5-en-3-ol, 17-amino-, (3β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L14 ANSWER 50 OF 54 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1951:16717 CAPLUS

DOCUMENT NUMBER: 45:16717

ORIGINAL REFERENCE NO.: 45:2988a-d

TITLE: Rearrangement of steroid oximes

INVENTOR(S): Julian, Percy L.; Cole, John W.; Meyer, Edwin W.; Magnani, Arthur

PATENT ASSIGNEE(S): Glidden Co.

DOCUMENT TYPE: Patent

LANGUAGE: Unavailable

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2531441		19501128	US 1947-749888	19470522

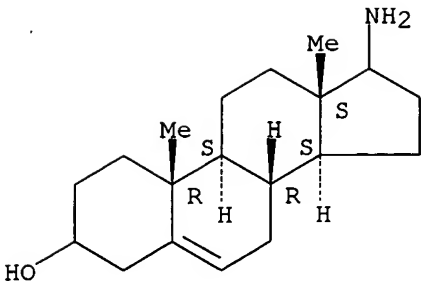
AB The Beckmann rearrangement of oxime sulfonates is conducted in the presence of compds. capable of forming acetates, so that free steroid amines are produced directly, Δ5-Pregnen-3-ol-20-one acetate oxime (I) is treated in C5H5N with p-MeC6H4SO2Cl (II) and then with NH2CH2CH2OH (III), giving 87%, 3-hydroxy-5-androsten-17-amine (IV), m. 155-60°. When isolated, pregnenolone acetate O-(p-toluenesulfonyl)oxime m. 126.5-30° (decomposition). II can be replaced by PhSO2Cl, and III by cyclohexylamine, NH3-EtOH, PhNH2, ethylcyclohexylamine, BuNH2, (CH2NH2)2, MeOH, EtONa, PrOH, AmONa, preferably when the oximinosulfonate is isolated. IV acetate with III undergoes no change. 3-Acetoxyallopregnan-20-one oxime is converted to 3-hydroxyandrostan-17-amine; 3-acetoxy-5-ternorcholenyl Me ketone yields 3-hydroxy-5-pregnen-20-amine. i-Pregnenolone Me ether is converted to its oxime, m. 172-5° (frothing), clear at 185°, and to its O-(p-toluenesulfonyl)oxime (V), m. 132-5° (decomposition). V is converted to 6-methoxy-i-androsten-17-amine, an oil which forms an AcOH salt, m. 170-3°.

IT 496858-16-3, 5-Androsten-3-ol, 17-amino-
(preparation of)

RN 496858-16-3 CAPLUS

CN Androst-5-en-3-ol, 17-amino- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

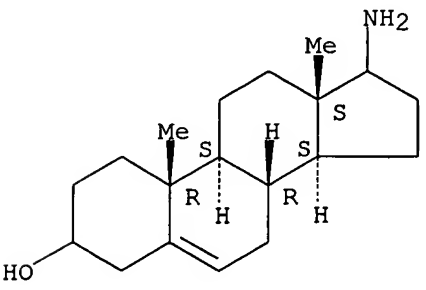


L14 ANSWER 51 OF 54 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1944:33311 CAPLUS
DOCUMENT NUMBER: 38:33311
ORIGINAL REFERENCE NO.: 38:4954c-f
TITLE: Partial synthesis of progesterone by means of the Curtius degradation
AUTHOR(S): Ruschig, Heinrich
SOURCE: Med. u. Chem. (1942), 4, 327-42
From: Chem. Zentr. I, 2689(1943).
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

AB The various syntheses of progesterone (I) are reviewed. A method is proposed for the degradation of hydroxybisnorcholenic acid (II), which can be carried out without difficulty. The Ac derivative of II is transformed into the acid chloride with SOCl2 in C6H6. The chloride does not react readily with NaN3 in anhydrous media but proceeds well in aqueous dioxane or Me2CO; warming the azide yields the corresponding isocyanate, which is saponified by 60% H2SO4 in ether to the amine; the amine may be obtained also by warming the azide with AcOH (i. e., without isolation of the isocyanate). Boiling the amine with HNO3 does not give pregnanediol because H2O is split off and the 5-membered ring is changed into a 6-membered ring with the formation of a tertiary alc. On the other hand, formation of a chloramine (addition of HOCl and removal of H2O) and splitting off of HCl with EtONa gives a ketimine which is easily hydrolyzed (70% yield) to pregnenolone; the yield based on the Ac derivative of II is 45%. Oxidation of the sec. alc. group in the 3-position to an oxo group gives I. I is obtained directly through degradation of 3-oxoternorcholenylamine or by oxidation of the corresponding ketimine. This degradation of amines can be applied to the cyclopentanophenanthrene series; thus 3-oxoetiocholenyl-17-amine gives dehydroandrosterone.

IT 496858-16-3, Etiocholenyl-17-amine, 3-hydroxy-
(degradation of, to dehydroandrosterone)
RN 496858-16-3 CAPLUS
CN Androst-5-en-3-ol, 17-amino- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

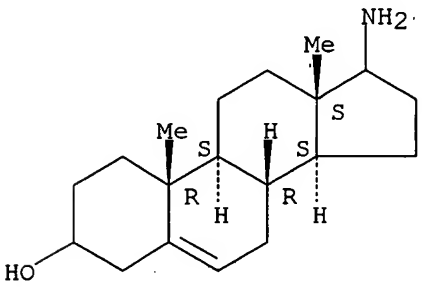


L14 ANSWER 52 OF 54 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1937:36323 CAPLUS
DOCUMENT NUMBER: 31:36323
ORIGINAL REFERENCE NO.: 31:5109h-i
TITLE: Amine
PATENT ASSIGNEE(S): Soc. pour l'ind, chim. a Bale
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CH 187936		19370301	CH	

AB Addition to 182,205 (C. A. 30, 8531.7). A new amine is prepared by treating Δ5,6-dehydro-androsteronoxime with a reducing agent. The product is amine Δ5,6-3-hydroxy-17-aminoandrostene, m. 162°, HCl salt m. 300° with decomposition The reduction is preferably carried out in an alkaline medium e. g. by alkali metal and alc. The compound is used in therapy.
IT 496858-16-3, Δ5-Androstene, 17-amino-3-hydroxy-
(preparation of)
RN 496858-16-3 CAPLUS
CN Androst-5-en-3-ol, 17-amino- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L14 ANSWER 53 OF 54 USPATFULL on STN
ACCESSION NUMBER: 2005:118308 USPATFULL
TITLE: Therapeutic treatment methods 2
INVENTOR(S): Reading, Christopher L., San Diego, CA, UNITED STATES
Ahlem, Clarence N., San Diego, CA, UNITED STATES
Auci, Dominick L., San Diego, CA, UNITED STATES
Dowding, Charles, San Diego, CA, UNITED STATES
Frincke, James M., San Diego, CA, UNITED STATES
Li, Mei, San Diego, CA, UNITED STATES
Page, Theodore M., Carlsbad, CA, UNITED STATES
Stickney, Dwight R., Granite Bay, CA, UNITED STATES
Trauger, Richard J., Leucadia, CA, UNITED STATES
White, Steven K., San Diego, CA, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2005101581	A1	20050512
APPLICATION INFO.:	US 2003-728400	A1	20031205 (10)

RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 2003-651515, filed on 28 Aug 2003, PENDING

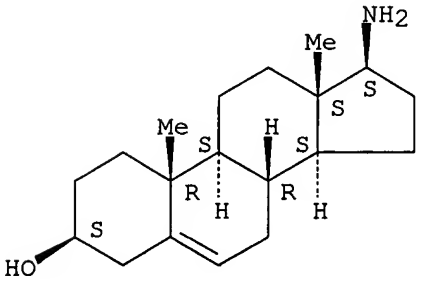
NUMBER	DATE

PRIORITY INFORMATION: US 2002-407146P 20020828 (60)
US 2002-408332P 20020904 (60)
US 2003-479257P 20030617 (60)
DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: HOLLIS-EDEN PHARMACEUTICALS, INC., 4435 EASTGATE MALL, SUITE 400, SAN DIEGO, CA, 92121, US
NUMBER OF CLAIMS: 37
EXEMPLARY CLAIM: 1
LINE COUNT: 18638
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention relates to the use of compounds to ameliorate or treat a condition such as a cystic fibrosis, neutropenia or other exemplified conditions. Exemplary compounds that can be used include 3β-hydroxy-17β-aminoandrost-5-ene, 3β-hydroxy-16α-fluoro-17β-aminoandrost-5-ene, 3α-hydroxy-16α-fluoro-17β-aminoandrost-5-ene, 3β-hydroxy-16β-fluoro-17β-aminoandrost-5-ene, 1α,3β-dihydroxy-4α-fluoroandrost-5-ene-17-one, 1α,3β,17β-trihydroxy-4α-fluoroandrost-5-ene, 1β,3β-dihydroxy-6α-bromoandrost-5-ene, 1α-fluoro-3β,12α-dihydroxyandrost-5-ene-17-one, 1α-fluoro-3β,4α-dihydroxyandrost-5-ene and 4α-fluoro-3β,6α,17β-trihydroxyandrostane.

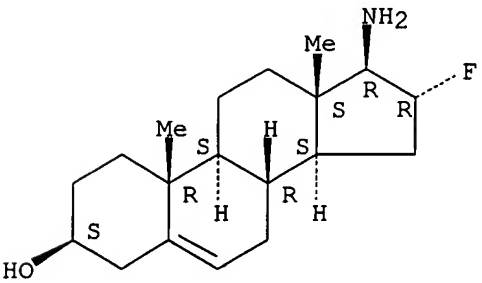
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT 4350-66-7 668987-02-8 668987-03-9
668987-04-0 668987-06-2
(therapeutic use of androgens for various conditions including cardiovascular disease, immune disorders, trauma, and inflammation)
RN 4350-66-7 USPATFULL
CN Androst-5-en-3-ol, 17-amino-, (3β,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



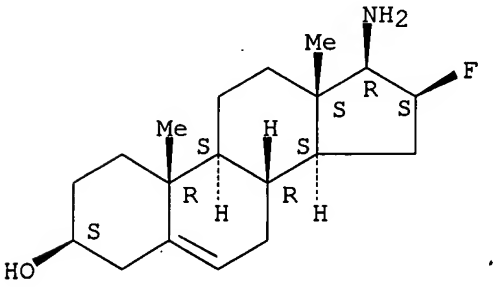
RN 668987-02-8 USPATFULL
CN Androst-5-en-3-ol, 17-amino-16-fluoro-, (3β,16α,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



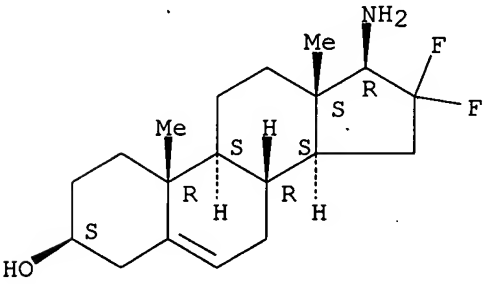
RN 668987-03-9 USPATFULL
CN Androst-5-en-3-ol, 17-amino-16-fluoro-, (3β,16β,17β)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



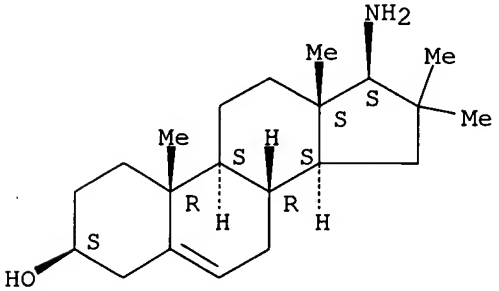
RN 668987-04-0 USPATFULL
CN Androst-5-en-3-ol, 17-amino-16,16-difluoro-, (3β,17β)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



RN 668987-06-2 USPATFULL
CN Androst-5-en-3-ol, 17-amino-16,16-dimethyl-, (3β,17β)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



L14 ANSWER 54 OF 54 USPATFULL on STN
ACCESSION NUMBER: 2004:179017 USPATFULL
TITLE: Therapeutic treatment methods
INVENTOR(S): Reading, Christopher L., San Diego, CA, UNITED STATES
Ahlem, Clarence N., San Diego, CA, UNITED STATES
Auci, Dominick L., San Diego, CA, UNITED STATES
Dowding, Charles, San Diego, CA, UNITED STATES
Frincke, James M., San Diego, CA, UNITED STATES

Li, Mei, San Diego, CA, UNITED STATES
Page, Theodore M., Carlsbad, CA, UNITED STATES
Stickney, Dwight R., Granite Bay, CA, UNITED STATES
Trauger, Richard J., Leucadia, CA, UNITED STATES
White, Steven K., San Diego, CA, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2004138187	A1	20040715
APPLICATION INFO.:	US 2003-651515	A1	20030828 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2002-407146P	20020828 (60)
	US 2002-408332P	20020904 (60)
	US 2003-479257P	20030617 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: HOLLIS-EDEN PHARMACEUTICALS, INC., 4435 EASTGATE MALL, SUITE 400, SAN DIEGO, CA, 92121
NUMBER OF CLAIMS: 37
EXEMPLARY CLAIM: 1
LINE COUNT: 16128
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

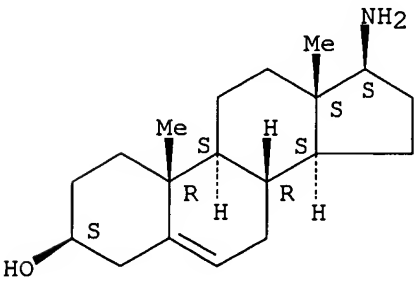
AB The invention relates to the use of compounds to ameliorate or treat an condition such as a cystic fibrosis, neutropenia or other exemplified conditions. Exemplary compounds that can be used include 3β-hydroxy-17β-aminoandrost-5-ene, 3β-hydroxy-16α-fluoro-17β-aminoandrost-5-ene, 3α-hydroxy-16α-fluoro-17β-aminoandrost-5-ene, 3β-hydroxy-16β-fluoro-17β-aminoandrost-5-ene, 1α,3β-dihydroxy-4α-fluoroandrost-5-ene-17-one, 1α,3β,17β-trihydroxy-4α-fluoroandrost-5-ene, 1β,3β-dihydroxy-6α-bromoandrost-5-ene, 1α-fluoro-3β,12α-dihydroxyandrost-5-ene-17-one, 1α-fluoro-3β,4α-dihydroxyandrost-5-ene and 4α-fluoro-3β,6α,17β-trihydroxyandrostane.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 4350-66-7 668987-02-8 668987-03-9
668987-04-0 668987-06-2
(immunostimulatory methods and compns. with androgen derivs. and other therapeutic uses)

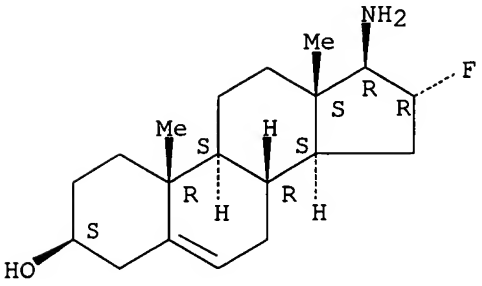
RN 4350-66-7 USPATFULL
CN Androst-5-en-3-ol, 17-amino-, (3β,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



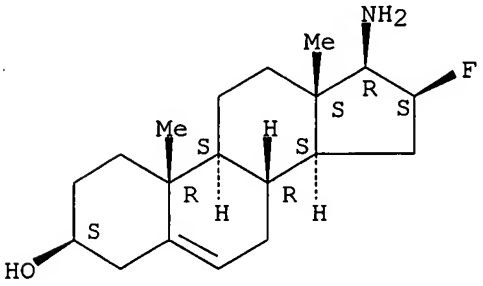
RN 668987-02-8 USPATFULL
CN Androst-5-en-3-ol, 17-amino-16-fluoro-, (3β,16α,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



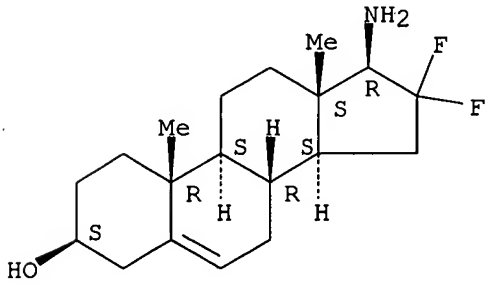
RN 668987-03-9 USPATFULL
CN Androst-5-en-3-ol, 17-amino-16-fluoro-, (3β,16β,17β)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



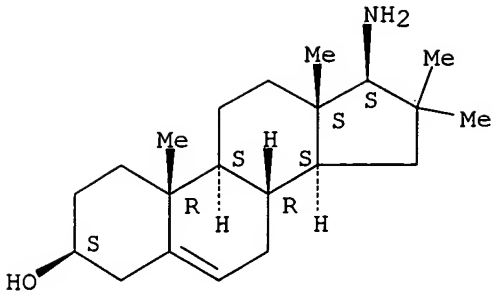
RN 668987-04-0 USPATFULL
CN Androst-5-en-3-ol, 17-amino-16,16-difluoro-, (3β,17β)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



RN 668987-06-2 USPATFULL
CN Androst-5-en-3-ol, 17-amino-16,16-dimethyl-, (3β,17β)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.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FILE 'CAPLUS' ENTERED AT 18:32:23 ON 29 JUL 2006
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=> s l26
L27 261 L26

=> s l27 and (immuno? or immune? or autoimmune? or graft versus host or graft or transplant? or organ failure)
L28 9 L27 AND (IMMUNO? OR IMMUNE? OR AUTOIMMUNE? OR GRAFT VERSUS HOST OR GRAFT OR TRANSPLANT? OR ORGAN FAILURE)

=> dup rem l28
PROCESSING COMPLETED FOR L28
L29 8 DUP REM L28 (1 DUPLICATE REMOVED)

=> focus
PROCESSING COMPLETED FOR L29
L30 8 FOCUS L29 1-

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L30 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:175443 CAPLUS
DOCUMENT NUMBER: 140:403738
TITLE: Effectiveness of 20,25-diazacholesterol, avian gonadotropin-releasing hormone, and chicken riboflavin carrier protein for inhibiting reproduction in Coturnix quail
AUTHOR(S): Yoder, C. A.; Andelt, W. F.; Miller, L. A.; Johnston, J. J.; Goodall, M. J.
CORPORATE SOURCE: National Wildlife Research Center, Fort Collins, CO, 80521-2154, USA
SOURCE: Poultry Science (2004), 83(2), 234-244
CODEN: POSCAL; ISSN: 0032-5791
PUBLISHER: Poultry Science Association, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Contraception may provide a useful nonlethal management tool when it is desirable to reduce populations of birds. The authors tested the efficacy of 20,25-diazacholesterol, and immunization with avian gonadotropin-releasing hormone (AGnRH-I) and chicken riboflavin carrier protein (cRCP) as contraceptives and investigated their modes of action in Coturnix quail (Coturnix coturnix japonica). Females that were paired with males treated with 20,25-diazacholesterol produced lower percentages of eggs that were fertile and hatched. Females treated with 20,25-diazacholesterol and paired with control males laid fewer eggs, and lower percentages of their eggs were fertile and hatched. Treatment with 20,25-diazacholesterol reduced testosterone levels in males and progesterone levels in females. Nonesterified cholesterol levels were reduced, whereas desmosterol levels increased in birds treated with 20,25-diazacholesterol. Treatment with AGnRH-I and cRCP immunocontraceptive vaccines did not decrease average egg production and hatchability or hormone levels, but this failure might have been due to the vaccination protocol. If registered, wildlife managers may be able to

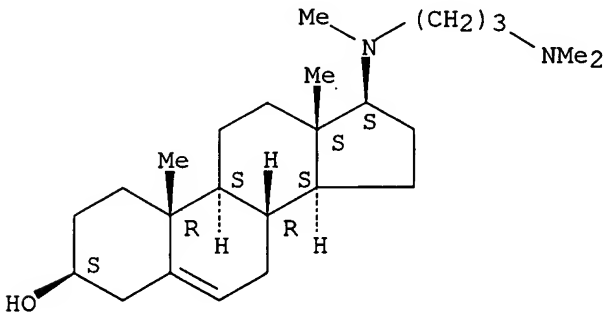
use 20,25-diazacholesterol when other methods, such as lethal control, are undesirable for reducing damage caused by specific breeding behaviors such as the building of nests.

IT 313-05-3, 20,25-Diazacholesterol
RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(diazacholesterol and avian gonadotropin-releasing hormone and chicken riboflavin carrier protein for inhibition of reproduction in Japanese quail Coturnix coturnix japonica)

RN 313-05-3 CAPLUS

CN Androst-5-en-3-ol, 17-[[3-(dimethylamino)propyl]methylamino]-, (3β,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 77 THERE ARE 77 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L30 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:136529 CAPLUS

DOCUMENT NUMBER: 142:212406

TITLE: Method for treating cachexia with RXR retinoid ligands

INVENTOR(S): Jiang, Guang Liang; Yuan, Yang-Dar; Chandraratna, Roshantha A.

PATENT ASSIGNEE(S): Allergan, Inc., USA

SOURCE: PCT Int. Appl., 173 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005013949	A2	20050217	WO 2004-US25564	20040806
WO 2005013949	A3	20050915		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004263156	A1	20050217	AU 2004-263156	20040806
CA 2535260	AA	20050217	CA 2004-2535260	20040806
EP 1653939	A2	20060510	EP 2004-780406	20040806

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR

PRIORITY APPLN. INFO.: US 2003-493138P P 20030807
US 2003-533734P P 20031231
WO 2004-US25564 W 20040806

OTHER SOURCE(S): MARPAT 142:212406

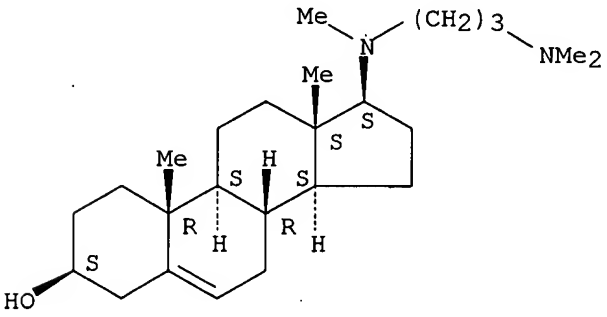
AB The invention discloses a method for the treatment of cachexia in a subject in need of treatment. More specifically, the invention discloses the use of retinoid compds. that act on retinoid X receptors (RXRs) for the treatment of cachexia in a subject in need of treatment. The cachexia is associated with a complication of a primary disease, condition or disorder. Primary diseases, conditions and disorders include, but are not limited to, cancer, AIDS, liver cirrhosis, diabetes mellitus, chronic renal failure, chronic obstructive pulmonary disease, chronic cardiac failure, immune system diseases (e.g., rheumatoid arthritis and systemic lupus erythematosus), tuberculosis, cystic fibrosis, gastrointestinal disorders (e.g., irritable bowel syndrome and inflammatory bowel disease), Parkinson's disease, anorexia nervosa, dementia, major depression, an aged condition, and sarcopenia.

IT 313-05-3, Azacosterol
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(RXR retinoid ligands for cachexia treatment)

RN 313-05-3 CAPLUS

CN Androst-5-en-3-ol, 17-[[3-(dimethylamino)propyl]methylamino]-, (3β,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L30 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1992:400277 CAPLUS

DOCUMENT NUMBER: 117:277

TITLE: Mechanism of allergic cross-reactions. I. Multispecific binding of ligands to a mouse monoclonal anti-DNP IgE antibody

AUTHOR(S): Varga, Janos M.; Kalchschmid, Gertrud; Klein, Georg F.; Fritsch, Peter

CORPORATE SOURCE: Dep. Dermatol., Univ. Innsbruck, Innsbruck, 6020, Austria

SOURCE: Molecular Immunology (1991), 28(6), 641-54
CODEN: MOIMD5; ISSN: 0161-5890

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A recently developed solid-phase binding assay was used to investigate the specificity of ligand binding to a mouse monoclonal anti-dinitrophenyl IgE (I). All DNP-amino acids, that were tested inhibited the binding of the radio-labeled I to DNP covalently attached to polystyrene microplates; however, the concentration for 50% inhibition varied within four orders of magnitude, DNP-L-serine being the most and DNP-L-proline the least potent

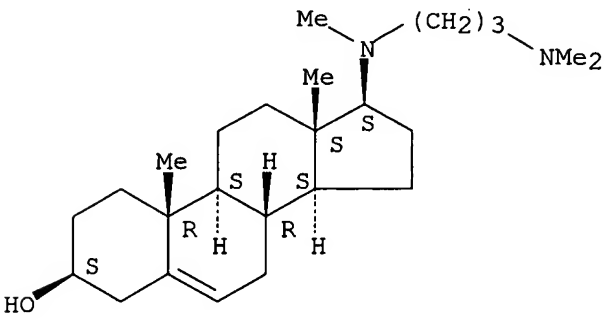
inhibitor. In addition to DNP analogs, a large number of drugs and other compds. were tested for their ability to compete with DNP for the binding site of I. At the concentration used for screening, 59% of compds. had no significant inhibition; 19% inhibited the binding of I more than 50%. Several families of compds. (tetracyclines, polymyxins, phenothiazines, salicylates, and quinones) that were effective competitors were found. Within these families, changes in the functional groups attached to the family stem had major effects on the affinity of ligand binding. The occurrence frequencies of interactions of ligands with I is in good agreement with the semi-empirical model for multispecific antibody-ligand interactions.

IT 1249-84-9, Azacosterol.hydrochloride
RL: BIOL (Biological study)
(binding of, to anti-dinitrophenol monoclonal antibody, allergic cross-reaction mechanisms in relation to)

RN 1249-84-9 CAPLUS

CN Androst-5-en-3-ol, 17-[[3-(dimethylamino)propyl]methylamino]-, dihydrochloride, (3β,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



●2 HCl

L30 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1970:20295 CAPLUS

DOCUMENT NUMBER: 72:20295

TITLE: Effect of hypocholesteremic agents on an experimental brain tumor in mice

AUTHOR(S): Grossi Paoletti, Enrica; Sirtori, C. R.; Weiss, J. F.; Paoletti, R.

CORPORATE SOURCE: Inst. Pharmacol., Univ. Milan, Milan, Italy

SOURCE: Advan. Exp. Med. Biol. (1969), Volume 4, 457-71. Editor(s): Holmes, William L. Plenum Press: New York, N. Y.
CODEN: AEMBAP

DOCUMENT TYPE: Conference

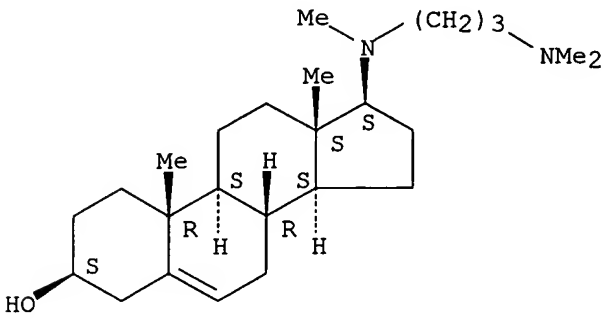
LANGUAGE: English

AB A series of compds. interfering with cholesterol biosynthesis and transport were tested against a transplantable ependymoma of the mouse. The antimitotic agent, vincristine, was also used for comparison. AY-9944 administered in the diet was an effective inhibitor of tumor growth and the possibility of an additive effect of a combined treatment with AY-9944 and vincristine is indicated. Triparanol, injected s.c., also inhibited tumor growth. These drugs drastically altered the sterol pattern of plasma and tumor. The possible correlations between effects on tumor growth and changes in plasma and tumor sterols are discussed.

IT 313-05-3

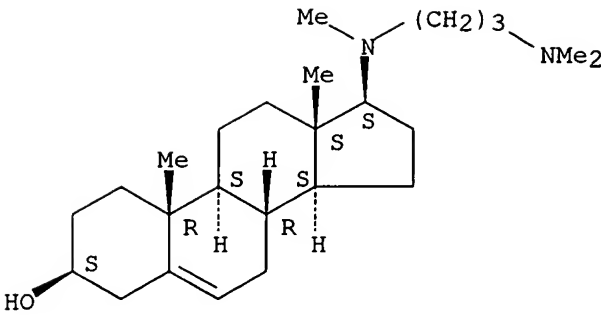
RL: BIOL (Biological study)
(neoplasms of brain in response to)
RN 313-05-3 CAPLUS
CN Androst-5-en-3-ol, 17-[[3-(dimethylamino)propyl]methylamino]-, (3β,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L30 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1986:146549 CAPLUS
DOCUMENT NUMBER: 104:146549
TITLE: Fast to slow transition induced by experimental myotonia in rat EDL muscle
AUTHOR(S): Salviati, G.; Biasia, E.; Betto, R.; Betto, D. Danieli
CORPORATE SOURCE: Cent. Stud. Biol. Fisiopatol. Muscolare, Ist. Patol. Gen., Padua, I-35100, Italy
SOURCE: Pfluegers Archiv (1986), 406(3), 266-72
CODEN: PFLABK; ISSN: 0031-6768
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Exptl. myotonia was induced by feeding rats with 20,25-diazacholesterol for up to 8 mo. Histochem. anal. of myotonic extensor digitorum longus (EDL) muscle showed a progressive decrease of type IIB fibers and a concomitant increase of IIA and type I fibers. A transient hypertrophy of type IIA fibers was observed 6 mo after beginning the treatment. Anal. of the pattern of myosin light chains of single fibers from EDL showed that myotonia caused a progressive decrease of fibers showing a pure fast myosin light chain pattern and an increase of fibers showing coexistence of fast and slow myosin light chains (intermediate fibers). Only a small percentage of intermediate fibers showed coexistence of fast and slow myosin heavy chains. Myotonic fibers presented an increased sensitivity to caffeine which approached that of normal soleus fibers. Furthermore, sarcoplasmic reticulum (SR) vesicles isolated from hind limb fast muscles of myotonic rats demonstrated a decrease of Ca2+-dependent ATPase and Ca2+-transport activities as well as a decrease of immunoreactivity with anti-rabbit SR fast Ca2+-ATPase antibody. Apparently, the increased elec. activity brought about by 20,25-diazacholesterol-induced myotonia, caused a fast to slow transition in the phenotypic expression of myosin and sarcoplasmic reticulum proteins.
IT 313-05-3
RL: BIOL (Biological study)
(myotonia from, myosins and sarcoplasmic reticulum proteins and muscle fiber types in)
RN 313-05-3 CAPLUS
CN Androst-5-en-3-ol, 17-[[3-(dimethylamino)propyl]methylamino]-, (3β,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L30 ANSWER 6 OF 8 USPATFULL on STN

ACCESSION NUMBER:	2004:177886 USPATFULL		
TITLE:	Linear polyethylenimine-sterol conjugates for gene delivery		
INVENTOR(S):	Furgeson, Darin Y., Salt Lake City, UT, UNITED STATES Kim, Sung Wan, Salt Lake City, UT, UNITED STATES		
PATENT ASSIGNEE(S):	The University of Utah Research Foundation (U.S. corporation)		
	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2004137050	A1	20040715
APPLICATION INFO.:	US 2003-623020	A1	20030717 (10)
	NUMBER		DATE
PRIORITY INFORMATION:	US 2002-396966P		20020717 (60)
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	APPLICATION		
LEGAL REPRESENTATIVE:	ALAN J. HOWARTH, P.O. BOX 1909, SANDY, UT, 84091-1909		
NUMBER OF CLAIMS:	53		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	13 Drawing Page(s)		
LINE COUNT:	1213		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Linear polyethylenimine was modified with sterols, such as cholesterol, in three different geometries: linear shaped (L), T-shaped (T), and a combined linear- and T-shaped (LT), to result in linear polyethylenimine-sterol conjugates. These conjugates were mixed with nucleic acids to form complexes for delivery of the nucleic acids into cells. Mammalian cells transfected with these complexes showed protein expression levels higher than linear polyethylenimine alone, and twice that of branched polyethylenimine, but without any significant loss in cell viability. Methods of making these compositions and methods of using them for gene delivery are also described.

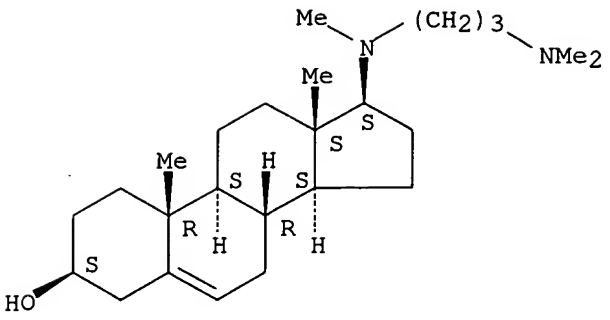
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 313-05-3D, Azacosterol, conjugates with polyethylenimine
(linear polyethylenimine-sterol conjugates for gene delivery)

RN 313-05-3 USPATFULL

CN Androst-5-en-3-ol, 17-[[3-(dimethylamino)propyl]methylamino]-, (3β,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L30 ANSWER 7 OF 8 USPATFULL on STN

ACCESSION NUMBER:	96:118579 USPATFULL
TITLE:	Pharmaceutical or cosmetic composition containing a combination of a retinoid and a sterol
INVENTOR(S):	Reichert, Uwe, Le Bar S/Loup, France Schmidt, Rainer, Mougins, France Shroot, Braham, Antibes, France
PATENT ASSIGNEE(S):	Centre International de Recherches Dermatologiques Galderma (CIRD Galderma), Valbonne, France (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5587367		19961224
APPLICATION INFO.:	US 1995-447776		19950523 (8)
RELATED APPLN. INFO.:	Division of Ser. No. US 1993-962596, filed on 2 Mar 1993		

	NUMBER	DATE
PRIORITY INFORMATION:	FR 1990-8344	19900702

DOCUMENT TYPE:	Utility
FILE SEGMENT:	Granted
PRIMARY EXAMINER:	Spivack, Phyllis G.
LEGAL REPRESENTATIVE:	Cushman Darby & Cushman Intellectual Property Group of Pillsbury Madison & Sutro LLP
NUMBER OF CLAIMS:	23
EXEMPLARY CLAIM:	1
LINE COUNT:	1112

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A pharmaceutical or cosmetic composition is disclosed comprising in combination a retinoid and a sterol capable of inhibiting the biosynthesis of cholesterol resulting in a synergistic effect in the treatment of disorders of epidermic keratinization, proliferation and/or sebaceous function.

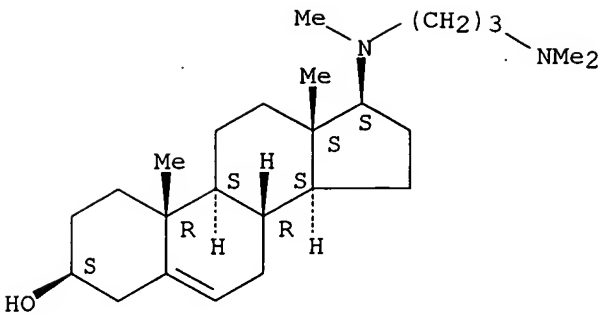
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 313-05-3D, 20,25-Diazacholesterol, mixts. with retinoids
(topical prepns. containing, for skin disease treatment)

RN 313-05-3 USPATFULL

CN Androst-5-en-3-ol, 17-[[3-(dimethylamino)propyl]methylamino]-, (3β,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L30 ANSWER 8 OF 8 USPATFULL on STN

ACCESSION NUMBER:	96:85124 USPATFULL		
TITLE:	Pharmaceutical or cosmetic composition containing a combination of a retinoid and a sterol		
INVENTOR(S):	Reichert, Uwe, Le Bar S/Loup, France Schmidt, Rainer, Mougins, France Shroot, Braham, Antibes, France		
PATENT ASSIGNEE(S):	Centre International De Recherches Dermatologiques Galderma (Cird Galderma), Valbonne, France (non-U.S. corporation)		

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5556844		19960917	
	WO 9200076		19920109	
APPLICATION INFO.:	US 1993-962596		19930302	(7)
	WO 1991-FR526		19910702	
			19930302	PCT 371 date
			19930302	PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	FR 1990-8344	19900702

DOCUMENT TYPE:	Utility
FILE SEGMENT:	Granted
PRIMARY EXAMINER:	Henley, III, Raymond
ASSISTANT EXAMINER:	Spivack, P.
LEGAL REPRESENTATIVE:	Cushman Darby & Cushman, L.L.P.
NUMBER OF CLAIMS:	23
EXEMPLARY CLAIM:	1
LINE COUNT:	1113

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A pharmaceutical or cosmetic composition comprising in combination, a retinoid and a sterol inhibits the biosynthesis of cholesterol, is disclosed wherein a synergistic effect, principally in the treatment of disorders of epidermic keratinization, disorders of epidermic or epithelial proliferation and/or disorders of sebaceous function, is exhibited.

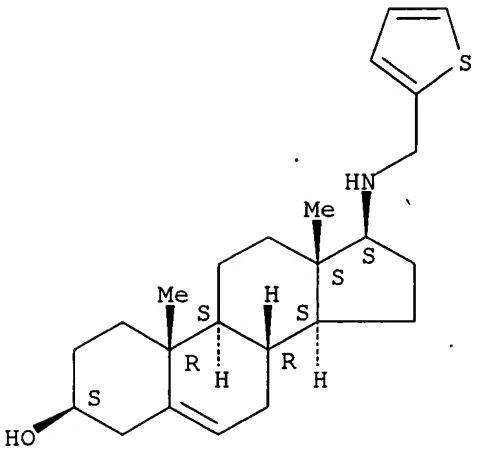
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 313-05-3D, 20,25-Diazacholesterol, mixts. with retinoids
(topical prepns. containing, for skin disease treatment)

RN 313-05-3 USPATFULL

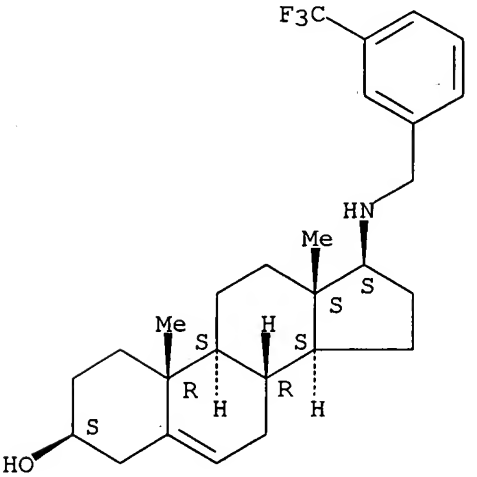
CN Androst-5-en-3-ol, 17-[[3-(dimethylamino)propyl]methylamino]-, (3β,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



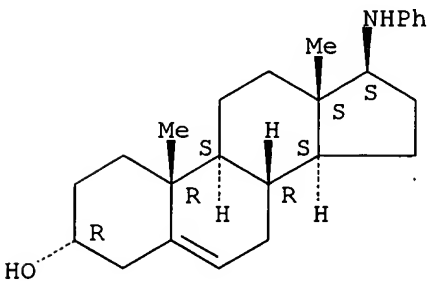
RN 112648-24-5 USPATFULL
CN Androst-5-en-3-ol, 17-[[[3-(trifluoromethyl)phenyl]methyl]amino]-, (3β,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 112710-69-7 USPATFULL
CN Androst-5-en-3-ol, 17-(phenylamino)-, (3α,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L35 ANSWER 15 OF 20 USPATFULL on STN

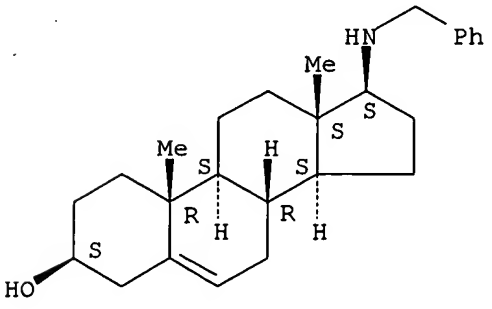
ACCESSION NUMBER: 93:12656 USPATFULL
TITLE: Cyclic hydrocarbons with an aminoalkyl sidechain
INVENTOR(S): Johnson, Roy A., Kalamazoo, MI, United States
Bundy, Gordon L., Portage, MI, United States
Youngdale, Gilbert A., Portage, MI, United States
Morton, Douglas R., Portage, MI, United States
Wallach, deceased, Donald P., late of Portage, MI, United States
Wallach, Legal Representative, by Vera M., Richland, MI, United States
PATENT ASSIGNEE(S): The Upjohn Company, Kalamazoo, MI, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5187299		19930216
APPLICATION INFO.:	US 1991-793486		19911113 (7)

RELATED APPLN. INFO.: Continuation of Ser. No. US 1991-657729, filed on 20 Feb 1991, now abandoned which is a division of Ser. No. US 1989-394396, filed on 15 Aug 1989, now abandoned which is a division of Ser. No. US 1987-117851, filed on 16 Jun 1987, now patented, Pat. No. US 4917826 which is a continuation-in-part of Ser. No. US 1986-843120, filed on 24 Mar 1986, now abandoned which is a continuation-in-part of Ser. No. US 1985-788995, filed on 18 Oct 1985, now abandoned
DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Cintins, Marianne M.
ASSISTANT EXAMINER: Kestler, Kimberly J.
LEGAL REPRESENTATIVE: Koivuniemi, Paul J., Wright, Debbie K., Wootton, Thomas A.
NUMBER OF CLAIMS: 5
EXEMPLARY CLAIM: 1
LINE COUNT: 4473
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Provided are cyclic hydrocarbons of Formula I ##STR1## with an aminoalkyl sidechain.

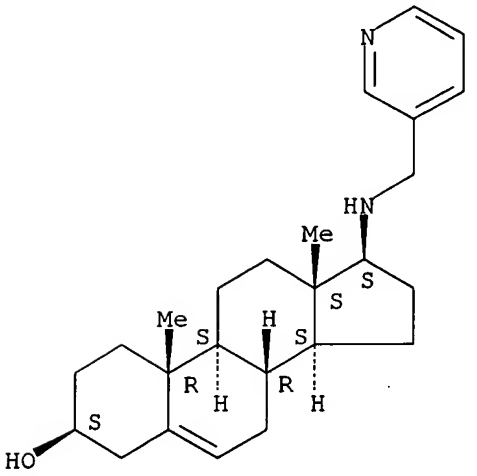
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT 2640-80-4P 112648-10-9P 112648-13-2P
112648-17-6P 112648-21-2P 112648-23-4P
112648-24-5P 112710-69-7P
(preparation of, as phospholipase A2 inhibitor and/or antidiabetic agent)
RN 2640-80-4 USPATFULL
CN Androst-5-en-3-ol, 17-[(phenylmethyl)amino]-, (3β,17β)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



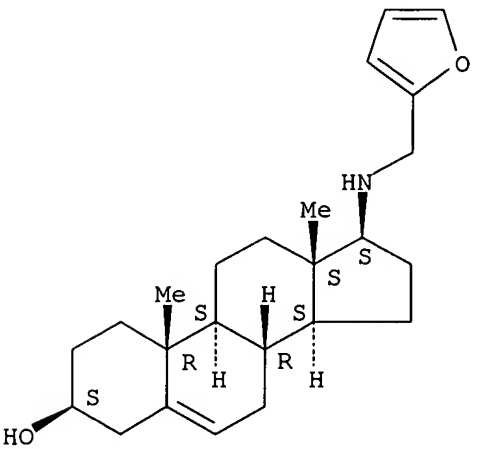
RN 112648-10-9 USPATFULL
CN Androst-5-en-3-ol, 17-[(3-pyridinylmethyl)amino]-, (3β,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



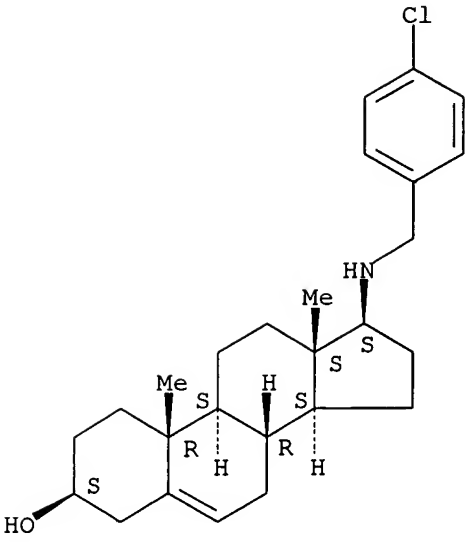
RN 112648-13-2 USPATFULL
CN Androst-5-en-3-ol, 17-[(2-furanylmethyl)amino]-, (3β,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



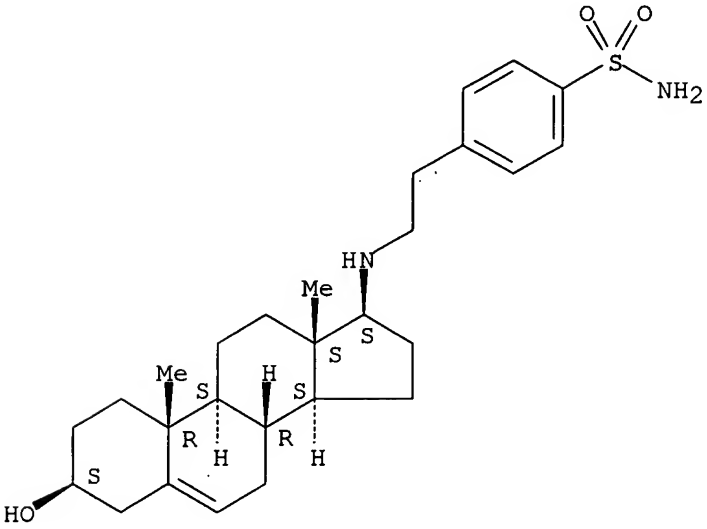
RN 112648-17-6 USPATFULL
CN Androst-5-en-3-ol, 17-[[(4-chlorophenyl)methyl]amino]-, (3β,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



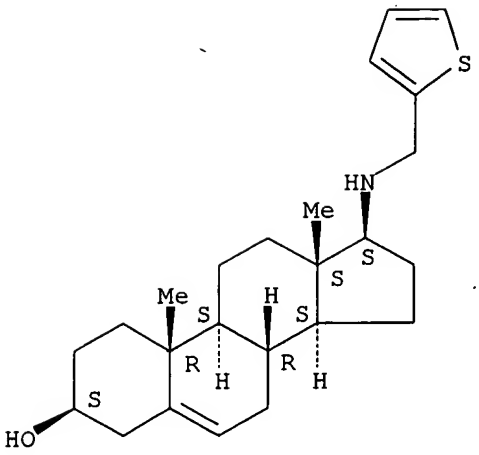
RN 112648-21-2 USPATFULL
CN Benzenesulfonamide, 4-[2-[[(3β,17β)-3-hydroxyandrost-5-en-17-yl]amino]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



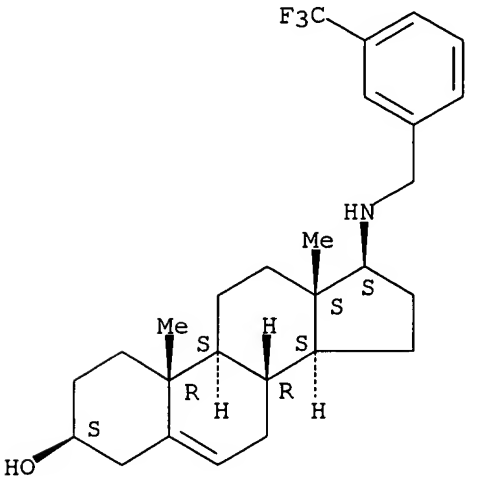
RN 112648-23-4 USPATFULL
CN Androst-5-en-3-ol, 17-[(2-thienylmethyl)amino]-, (3β,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



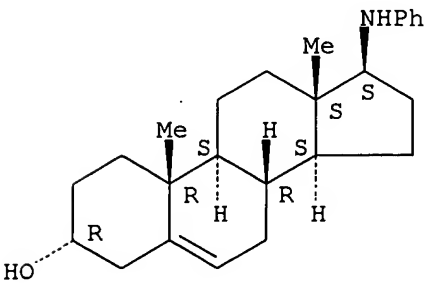
RN 112648-24-5 USPATFULL
CN Androst-5-en-3-ol, 17-[[[3-(trifluoromethyl)phenyl]methyl]amino]-, (3β,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 112710-69-7 USPATFULL
CN Androst-5-en-3-ol, 17-(phenylamino)-, (3α,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L35 ANSWER 16 OF 20 USPATFULL on STN

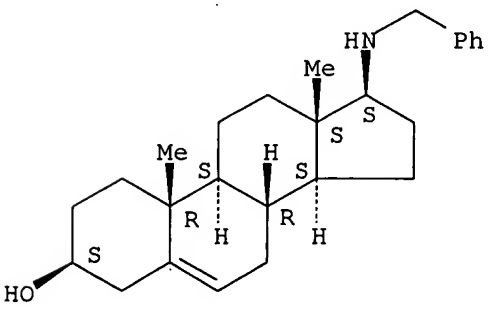
ACCESSION NUMBER: 92:74640 USPATFULL
TITLE: Cyclic hydrocarbons with an aminoalkyl sidechain
INVENTOR(S): Johnson, Roy A., Kalamazoo, MI, United States
Bundy, Gordon L., Portage, MI, United States
Youngdale, Gilbert A., Portage, MI, United States
Morton, Douglas R., Portage, MI, United States
Wallach, deceased, Donald P., late of Kalamazoo, MI, United States
Wallach, legal representative, by Vera M., Richland, MI, United States
PATENT ASSIGNEE(S): The Upjohn Company, Kalamazoo, MI, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5145874		19920908
APPLICATION INFO.:	US 1991-663037		19910225 (7)

RELATED APPLN. INFO.: Continuation of Ser. No. US 1989-394396, filed on 15 Aug 1989, now abandoned which is a division of Ser. No. US 1987-117851, filed on 16 Jun 1987, now patented, Pat. No. US 4917826 which is a continuation-in-part of Ser. No. US 1986-843120, filed on 24 Mar 1986, now abandoned which is a continuation-in-part of Ser. No. US 1985-788995, filed on 18 Oct 1985, now abandoned
DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Richter, Johann
LEGAL REPRESENTATIVE: Wootton, Thomas A., Wright, Debbie K., Koivuniemi, Paul J.
NUMBER OF CLAIMS: 8
EXEMPLARY CLAIM: 1
LINE COUNT: 4780
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Provided are cyclic hydrocarbons of Formula I ##STR1## with an aminoalkyl sidechain that are useful for treating phospholipase A2 mediated conditions, diabetes, and obesity.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT 2640-80-4P 112648-10-9P 112648-13-2P
112648-17-6P 112648-21-2P 112648-23-4P
112648-24-5P 112710-69-7P
(preparation of, as phospholipase A2 inhibitor and/or antidiabetic agent)
RN 2640-80-4 USPATFULL
CN Androst-5-en-3-ol, 17-[(phenylmethyl)amino]-, (3β,17β)- (9CI)
(CA INDEX NAME)

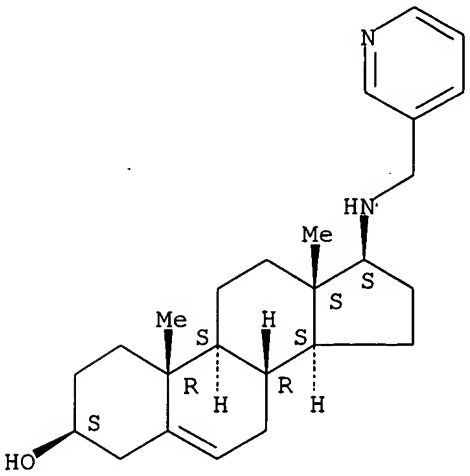
Absolute stereochemistry.



RN 112648-10-9 USPATFULL
CN Androst-5-en-3-ol, 17-[(3-pyridinylmethyl)amino]-, (3β,17β)-

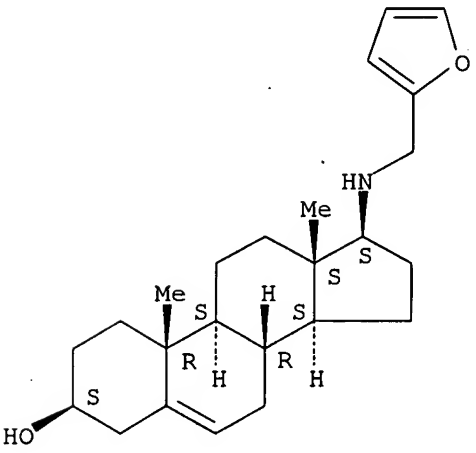
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



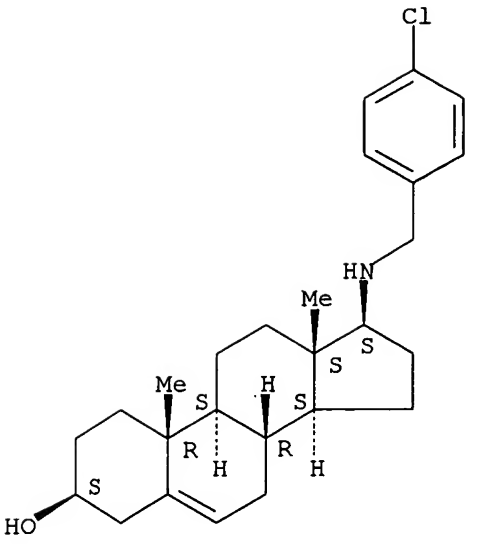
RN 112648-13-2 USPATFULL
CN Androst-5-en-3-ol, 17-[(2-furanylmethyl)amino]-, (3β,17β)- (9CI)
    (CA INDEX NAME)

Absolute stereochemistry.



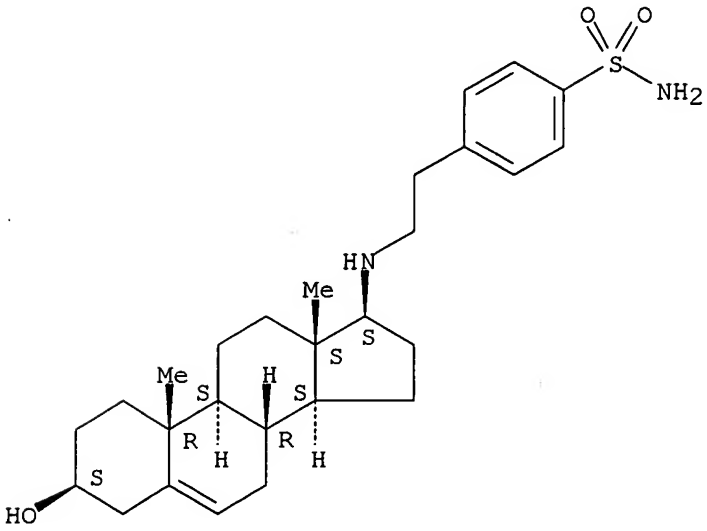
RN 112648-17-6 USPATFULL
CN Androst-5-en-3-ol, 17-[[(4-chlorophenyl)methyl]amino]-,
    (3β,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



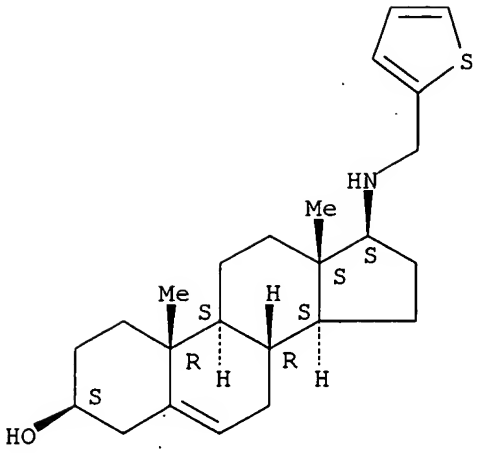
RN 112648-21-2 USPATFULL
CN Benzenesulfonamide, 4-[2-[[(3β,17β)-3-hydroxyandrost-5-en-17-yl]amino]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



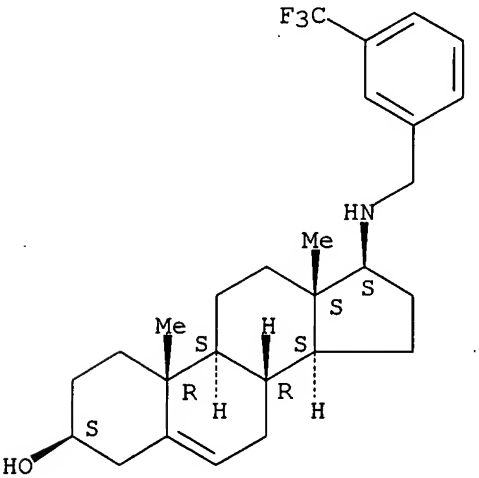
RN 112648-23-4 USPATFULL
CN Androst-5-en-3-ol, 17-[(2-thienylmethyl)amino]-, (3β,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



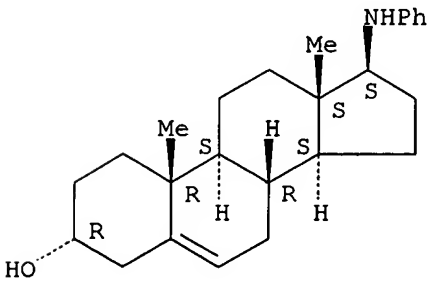
RN 112648-24-5 USPATFULL
CN Androst-5-en-3-ol, 17-[[[3-(trifluoromethyl)phenyl]methyl]amino]-, (3β,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 112710-69-7 USPATFULL
CN Androst-5-en-3-ol, 17-(phenylamino)-, (3α,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L35 ANSWER 17 OF 20 USPATFULL on STN

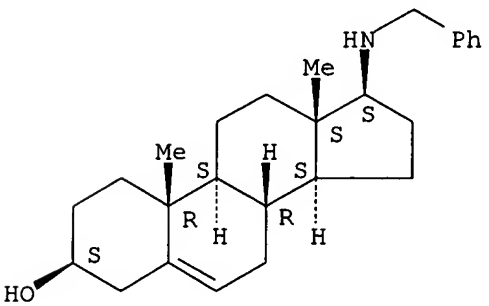
ACCESSION NUMBER: 90:29778 USPATFULL
TITLE: Cyclic hydrocarbons with an aminoalkyl sidechain
INVENTOR(S): Johnson, Roy A., Kalamazoo, MI, United States
Bundy, Gordon L., Portage, MI, United States
Youngdale, Gilbert A., Portage, MI, United States
Morton, Douglas R., Portage, MI, United States
Wallach, deceased, Donald P., late of Kalamazoo, MI, United States by Vera M. Wallach, legal representative
PATENT ASSIGNEE(S): The Upjohn Company, Kalamazoo, MI, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 4917826		19900417	
	WO 8702367		19870423	
APPLICATION INFO.:	US 1987-117851		19870616	(7)
	WO 1986-US2116		19861007	
			19870616	PCT 371 date
			19870616	PCT 102(e) date

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Lee, Mary C.
ASSISTANT EXAMINER: Richter, J.
LEGAL REPRESENTATIVE: Koivuniemi, Paul J.
NUMBER OF CLAIMS: 3
EXEMPLARY CLAIM: 1
LINE COUNT: 4514
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Provided are cyclic hydrocarbons of Formula I ##STR1## with an aminoalkyl sidechain that are useful for treating phospholipase A2 mediated conditions, diabetes, and obesity.

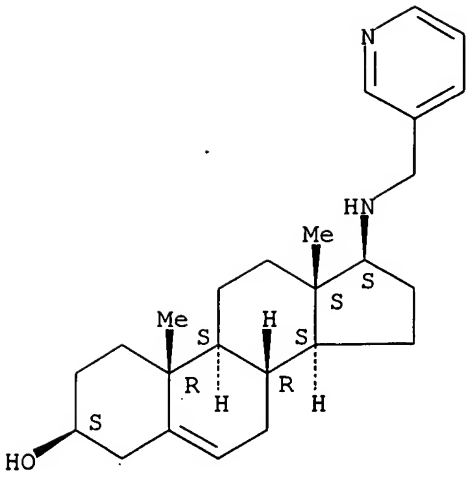
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT 2640-80-4P 112648-10-9P 112648-13-2P
112648-17-6P 112648-21-2P 112648-23-4P
112648-24-5P 112710-69-7P
(preparation of, as phospholipase A2 inhibitor and/or antidiabetic agent)
RN 2640-80-4 USPATFULL
CN Androst-5-en-3-ol, 17-[(phenylmethyl)amino]-, (3β,17β)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



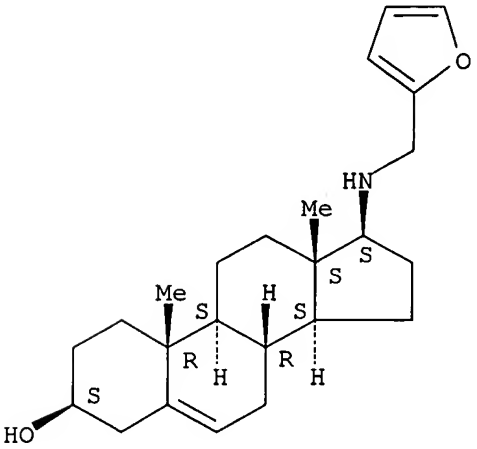
RN 112648-10-9 USPATFULL
CN Androst-5-en-3-ol, 17-[(3-pyridinylmethyl)amino]-, (3β,17β)-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



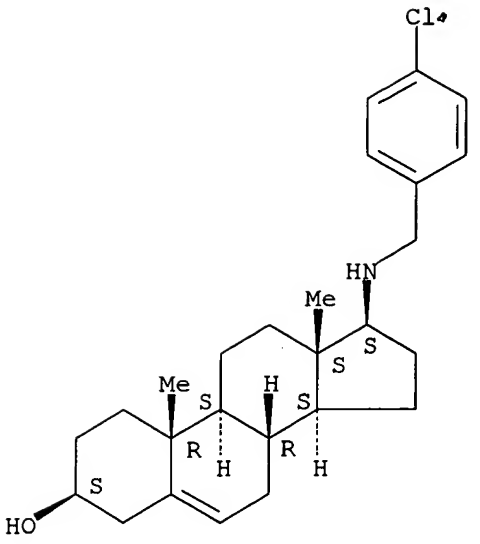
RN 112648-13-2 USPATFULL
CN Androst-5-en-3-ol, 17-[(2-furanylmethyl)amino]-, (3β,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



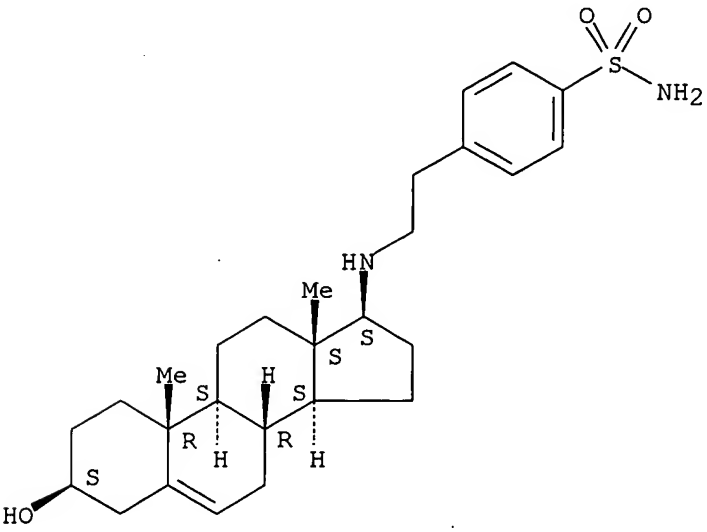
RN 112648-17-6 USPATFULL
CN Androst-5-en-3-ol, 17-[[(4-chlorophenyl)methyl]amino]-, (3β,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



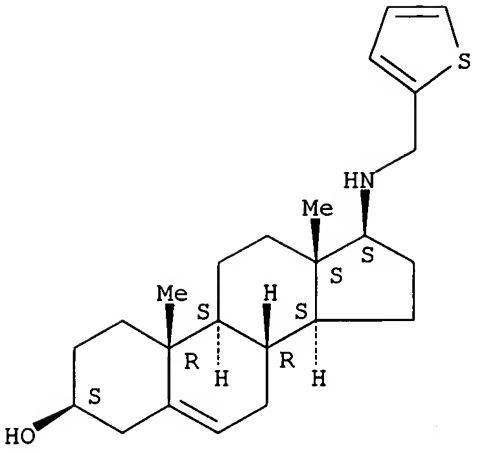
RN 112648-21-2 USPATFULL
CN Benzenesulfonamide, 4-[2-[[(3β,17β)-3-hydroxyandrost-5-en-17-yl]amino]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



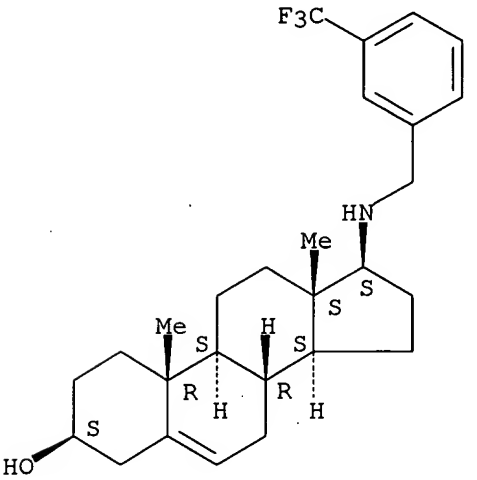
RN 112648-23-4 USPATFULL
CN Androst-5-en-3-ol, 17-[(2-thienylmethyl)amino]-, (3β,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



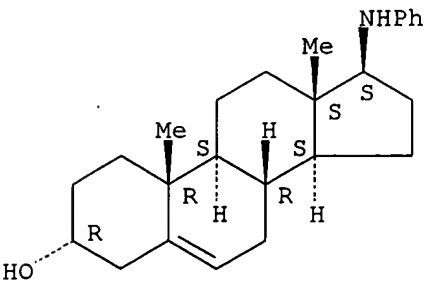
RN 112648-24-5 USPATFULL
CN Androst-5-en-3-ol, 17-[[[3-(trifluoromethyl)phenyl]methyl]amino]-, (3β,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 112710-69-7 USPATFULL
CN Androst-5-en-3-ol, 17-(phenylamino)-, (3α,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L35 ANSWER 18 OF 20 USPATFULL on STN

ACCESSION NUMBER: 2004:177886 USPATFULL
TITLE: Linear polyethylenimine-sterol conjugates for gene delivery
INVENTOR(S): Furgeson, Darin Y., Salt Lake City, UT, UNITED STATES
Kim, Sung Wan, Salt Lake City, UT, UNITED STATES
PATENT ASSIGNEE(S): The University of Utah Research Foundation (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2004137050	A1	20040715
APPLICATION INFO.:	US 2003-623020	A1	20030717 (10)

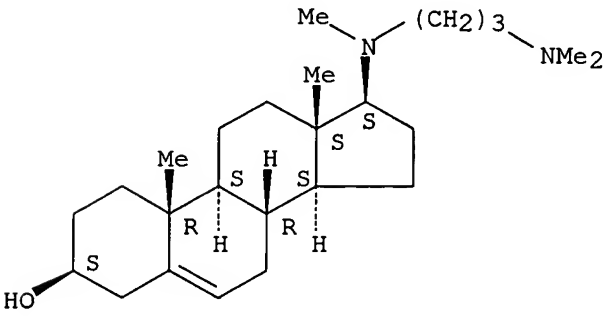
	NUMBER	DATE
PRIORITY INFORMATION:	US 2002-396966P	20020717 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: ALAN J. HOWARTH, P.O. BOX 1909, SANDY, UT, 84091-1909
NUMBER OF CLAIMS: 53
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 13 Drawing Page(s)
LINE COUNT: 1213
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Linear polyethylenimine was modified with sterols, such as cholesterol, in three different geometries: linear shaped (L), T-shaped (T), and a combined linear- and T-shaped (LT), to result in linear polyethylenimine-sterol conjugates. These conjugates were mixed with nucleic acids to form complexes for delivery of the nucleic acids into cells. Mammalian cells transfected with these complexes showed protein expression levels higher than linear polyethylenimine alone, and twice that of branched polyethylenimine, but without any significant loss in cell viability. Methods of making these compositions and methods of using them for gene delivery are also described.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT 313-05-3D, Azacosterol, conjugates with polyethylenimine
(linear polyethylenimine-sterol conjugates for gene delivery)
RN 313-05-3 USPATFULL
CN Androst-5-en-3-ol, 17-[[3-(dimethylamino)propyl]methylamino]-, (3β,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L35 ANSWER 19 OF 20 USPATFULL on STN
ACCESSION NUMBER: 96:118579 USPATFULL
TITLE: Pharmaceutical or cosmetic composition containing a combination of a retinoid and a sterol
INVENTOR(S): Reichert, Uwe, Le Bar S/Loup, France

Schmidt, Rainer, Mougins, France
Shroot, Braham, Antibes, France

PATENT ASSIGNEE(S): Centre International de Recherches Dermatologiques Galderma (CIRD Galderma), Valbonne, France (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5587367		19961224
APPLICATION INFO.:	US 1995-447776		19950523 (8)
RELATED APPLN. INFO.:	Division of Ser. No. US 1993-962596, filed on 2 Mar 1993		

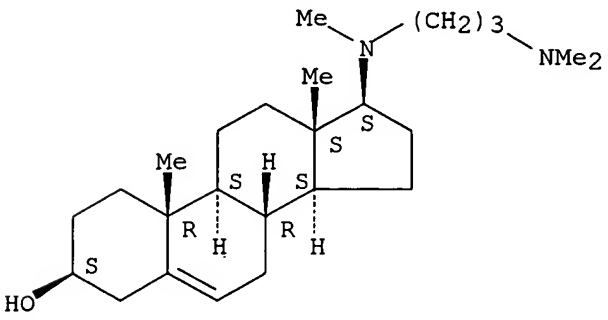
	NUMBER	DATE
PRIORITY INFORMATION:	FR 1990-8344	19900702

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Spivack, Phyllis G.
LEGAL REPRESENTATIVE: Cushman Darby & Cushman Intellectual Property Group of Pillsbury Madison & Sutro LLP
NUMBER OF CLAIMS: 23
EXEMPLARY CLAIM: 1
LINE COUNT: 1112
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A pharmaceutical or cosmetic composition is disclosed comprising in combination a retinoid and a sterol capable of inhibiting the biosynthesis of cholesterol resulting in a synergistic effect in the treatment of disorders of epidermic keratinization, proliferation and/or sebaceous function.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT 313-05-3D, 20,25-Diazacholesterol, mixts. with retinoids
(topical prepns. containing, for skin disease treatment)
RN 313-05-3 USPATFULL
CN Androst-5-en-3-ol, 17-[[3-(dimethylamino)propyl]methylamino]-, (3β,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L35 ANSWER 20 OF 20 USPATFULL on STN
ACCESSION NUMBER: 96:85124 USPATFULL
TITLE: Pharmaceutical or cosmetic composition containing a combination of a retinoid and a sterol
INVENTOR(S): Reichert, Uwe, Le Bar S/Loup, France
Schmidt, Rainer, Mougins, France
Shroot, Braham, Antibes, France
PATENT ASSIGNEE(S): Centre International De Recherches Dermatologiques Galderma (Cird Galderma), Valbonne, France (non-U.S.

corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5556844		19960917	
	WO 9200076		19920109	
APPLICATION INFO.:	US 1993-962596		19930302	(7)
	WO 1991-FR526		19910702	
			19930302	PCT 371 date
			19930302	PCT 102(e) date

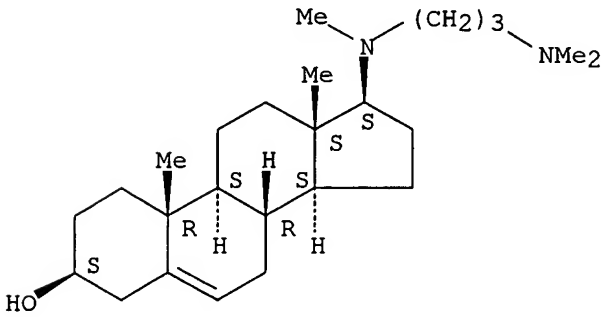
	NUMBER	DATE
PRIORITY INFORMATION:	FR 1990-8344	19900702

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Henley, III, Raymond
ASSISTANT EXAMINER: Spivack, P.
LEGAL REPRESENTATIVE: Cushman Darby & Cushman, L.L.P.
NUMBER OF CLAIMS: 23
EXEMPLARY CLAIM: 1
LINE COUNT: 1113
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A pharmaceutical or cosmetic composition comprising in combination, a retinoid and a sterol inhibits the biosynthesis of cholesterol, is disclosed wherein a synergistic effect, principally in the treatment of disorders of epidermic keratinization, disorders of epidermic or epithelial proliferation and/or disorders of sebaceous function, is exhibited.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT 313-05-3D, 20,25-Diazacholesterol, mixts. with retinoids
(topical prepns. containing, for skin disease treatment)
RN 313-05-3 USPATFULL
CN Androst-5-en-3-ol, 17-[[3-(dimethylamino)propyl]methylamino]-, (3β,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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